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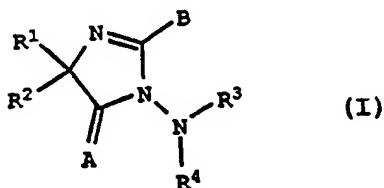
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(54) Title: FUNGICIDAL IMIDAZOLINONES



(57) Abstract

Imidazolinone compounds of formula (I), wherein: A is O; S or N-J; J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸; C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG; G is H; C₁-C₆ alkyl; benzyl optionally substituted with R³⁴ on the phenyl ring; C(=O)(C₁-C₄ alkyl); C(=O)(C₁-C₄)alkoxy; or C(=O)NHR³⁶; B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro; R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)_nR⁴⁸; or SO₂NR⁴⁹R⁶⁰; n is 1 or 2; R¹, R², R³ and R⁴ are various groups, are disclosed with compositions containing them and methods of their use.

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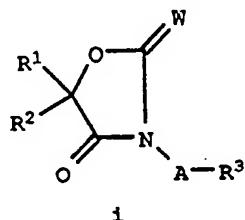
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TITLE
FUNGICIDAL IMIDAZOLINONES

This invention relates to particular imidazolinone compounds useful as fungicides, agriculturally suitable compositions containing such compounds, and methods of use of such compounds or compositions as fungicides in crop plants.

WO90/12791 is drawn to the use of fungicidal compounds of Formula i



wherein:

A is O or NR⁴; and

W is O or S.

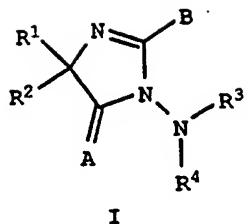
WO90/12791 also relates to processes for the preparation of compounds of Formula i and to certain novel compounds.

The compounds of WO90/12791 are distinct from those of the present invention in that oxygen is incorporated into the central heterocyclic ring of compounds of Formula i and the bonds forming this five-membered ring are all single bonds.

SUMMARY OF THE INVENTION

This invention comprises compounds of Formula I including all geometric and stereoisomers thereof, agricultural compositions containing one or more such compounds, and methods of use of such compounds or compositions as fungicides.

The compounds of the present invention have the following structure:



wherein:

A is O; S or N-J;

J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸;

C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG;

G is H; C₁-C₆ alkyl; benzyl optionally substituted with R³⁴ on the phenyl ring; C(=O)(C₁-C₄ alkyl); C(=O)(C₁-C₄ alkoxy); or C(=O)NHR³⁶;

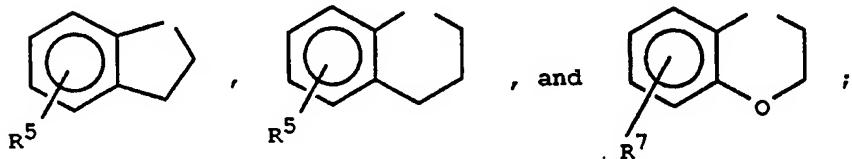
B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro; R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)ₙR⁴⁸; or SO₂NR⁴⁹R⁶⁰;

n is 1 or 2;

R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆ cycloalkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy carbonyl; or phenylmethyl optionally substituted with R⁶ on the phenyl ring and with R⁸ on the benzylic carbon;

R² is C₁-C₂₀ alkyl optionally substituted with R²²; C₂-C₂₀ alkoxyalkyl optionally substituted with R³⁵; C₂-C₂₀ alkenyl optionally substituted with R⁴²; C₂-C₂₀ alkynyl optionally substituted with R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-; (CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇ cycloalkenyl; phenyl optionally substituted with R⁵ and R⁷; 2-naphthalenyl; thiienyl optionally substituted with R⁵ and R⁷; furyl optionally substituted with R⁷; or pyridyl optionally substituted with R⁵ and R⁷; or

R^1 and R^2 can be taken together to form a structure selected from the group consisting of
 $-\text{CH}_2(\text{CH}_2)_2\text{CH}_2-$, $-\text{CH}_2(\text{CH}_2)_3\text{CH}_2-$, $-\text{CH}_2(\text{CH}_2)_4\text{CH}_2-$,
 $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH}_2-$,



R^3 is phenyl, pyridyl, or pyrimidinyl each optionally substituted with R^{10} ; or phenylmethyl;

R^4 is H or methyl;

R^5 is halogen; nitro; cyano; $C_1\text{-}C_6$ alkyl; $C_5\text{-}C_6$ cycloalkyl; $C_1\text{-}C_6$ haloalkyl; $C_1\text{-}C_6$ alkylthio; $C_1\text{-}C_6$ haloalkylthio; $C_1\text{-}C_6$ alkoxy; $C_1\text{-}C_6$ haloalkoxy; $C_5\text{-}C_6$ cycloalkyloxy; $C_2\text{-}C_6$ alkoxyalkyl; $C_2\text{-}C_6$ alkoxyalkoxy; $C_3\text{-}C_6$ alkenyl; $C_3\text{-}C_6$ haloalkenyl; $C_3\text{-}C_6$ alkenyloxy; $C_3\text{-}C_6$ alkynyl; $C_3\text{-}C_6$ haloalkynyl; $C_3\text{-}C_6$ alkynyloxy; $C_1\text{-}C_6$ alkylsulfonyl; $C_1\text{-}C_6$ haloalkylsulfonyl; phenyl or phenylthio each optionally substituted with R^{24} ; phenylmethyl, phenoxy-methyl, phenethyl, or styryl each optionally substituted with R^{24} on the phenyl ring; phenoxy optionally substituted with R^{27} ; benzyloxy optionally substituted with R^{30} on the phenyl ring; $-\text{OC}(=\text{O})\text{NHR}^{28}$; $-\text{C}(=\text{O})\text{OR}^{28}$; or $-\text{OC}(=\text{O})\text{R}^{28}$;

R^6 , R^7 , R^{12} , R^{13} , R^{24} , R^{26} and R^{34} are independently 1-2 halogen; nitro; $C_1\text{-}C_4$ alkyl; trifluoro-methyl; methylthio; or $C_1\text{-}C_4$ alkoxy;

R^8 , R^{14} , R^{20} , R^{38} and R^{40} are independently H or $C_1\text{-}C_4$ alkyl;

R⁹ is C₁-C₁₈ alkyl; or phenyl optionally substituted with R⁷;

R¹⁰, R²⁵ and R³³ are each independently 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkylthio, C₁-C₄ alkoxy and trifluoromethoxy;

R¹¹ and R³⁶ are independently C₁-C₆ alkyl; or phenyl optionally substituted with R¹²;

R¹⁵ is H; C₁-C₈ alkyl optionally substituted with C₁-C₂ alkoxy; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; phenyl optionally substituted with R¹³; benzyl optionally substituted with R¹³ on the phenyl ring and with R²⁰ on the benzylic carbon; or pyridyl optionally substituted with R¹³;

R¹⁶ is H; C₁-C₁₇ alkyl optionally substituted with R³¹; C₂-C₁₇ alkenyl optionally substituted with R³²; C₂-C₇ alkynyl; C₃-C₈ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₄-C₈ cycloalkylalkyl; phenyl optionally substituted with R³³; naphthalenyl, furanyl, thienyl, benzoyl, or pyridyl each optionally substituted with R³⁴; or C₂-C₅ alkoxy carbonyl;

R¹⁷ and R¹⁸ are independently C₁-C₁₈ alkyl optionally substituted with R²³; C₂-C₁₀ alkenyl optionally substituted with R³²; C₃-C₈ alkynyl; C₃-C₁₂ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₆-C₇ cycloalkylalkyl; or phenyl, naphthalenyl, or thienyl each optionally substituted with R³⁴;

R¹⁹ is H; C₁-C₁₀ alkyl; C₅-C₆ cycloalkyl; or phenyl optionally substituted with R³⁴; or

R¹⁹ and R²⁰ can be taken together to form a structure selected from the group consisting of -CH₂(CH₂)₂CH₂-, -CH₂(CH₂)₃CH₂-, -CH₂(CH₂)₄CH₂-,

-CH₂CH₂OCH₂CH₂-, -CH₂CH(Me)CH₂CH(Me)CH₂-, and
-CH₂CH(Me)OCH(Me)CH₂-;

R²¹ is C₁-C₈ alkyl optionally substituted with R⁵¹;
C₂-C₈ alkenyl or C₂-C₈ alkynyl each optionally
substituted with R⁶⁹; C₃-C₆ cycloalkyl
optionally substituted with 1-3 halogen;
C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)SR⁵³;
C(=NR⁵⁵)OR⁵³; C(=S)SR⁵³; C(=O)NR⁵³R⁵⁶; or
C(=NR⁵⁵)NR⁵³R⁵⁶;

V is O; NR⁵⁵; or a direct bond;

R²² is cyano; nitro; C₁-C₁₉ alkylthio; C₁-C₁₉
alkylsulfinyl; C₁-C₁₉ haloalkoxy; C₅-C₆
cycloalkyloxy; C₃-C₁₉ alkenyloxy; C₃-C₁₉
alkynyloxy; C₁-C₁₉ alkylsulfonyl; C₂-C₁₉
alkoxycarbonyl; hydroxyl; hydroxycarbonyl;
R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R³⁹R⁴⁰N;
(C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺;
phenyl, phenylthio, phenoxy, phenylsulfonyl,
phenylsulfinyl, pyridyl or pyridyloxy each
optionally substituted with R³⁰; thienyl,
pyrimidinyl, furanyl, naphthalenyl,
pyrimidinyloxy, naphthalenyloxy each optionally
substituted with R⁷; tetrahydropyranyl; C₃-C₆
cycloalkyl; 2-tetrahydropyranyloxy; or
C(=Q)R⁴⁰;

E is O or S;

Q is O or N-T-W;

T is O; NR³⁷; or a direct bond;

W is H; C₁-C₈ alkyl, C₃-C₈ alkenyl; phenylmethyl
optionally substituted with R⁷ on the phenyl
ring and R¹⁴ on the benzylic carbon; phenyl or
pyridyl each optionally substituted with R⁷;
C(=O)R²⁸; C(=O)OR²⁸; or C(=O)NR²⁸R¹⁴;

R²³ is 1-3 halogen; C₁-C₁₂ alkoxy; C₁-C₁₂ alkylthio;
phenyl or naphthalenyl each optionally
substituted with R³⁴; or phenoxyethyl

optionally substituted with R³⁴ on the phenyl ring;

R²⁷ is 1-2 halogen; nitro; cyano; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₄ alkylsulfonyl; C₂-C₆ alkoxyalkyl; C₁-C₄ alkylthio; C₅-C₆ cycloalkyl; C₅-C₆ cycloalkyloxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; hydroxycarbonyl; C₂-C₄ alkoxycarbonyl; or phenoxy optionally substituted with R²⁴;

R²⁸ is C₁-C₈ alkyl; or phenyl or pyridyl each optionally substituted with R³⁰;

R²⁹ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹; C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄ alkyl)]OR⁵³; C(=O)NR⁵³R⁵⁶; N=CR⁶⁸R⁶⁷; or SO₂R⁵²;

R³⁰ is 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkoxy and trifluoromethoxy; or phenoxy optionally substituted with R²⁶;

R³¹ is 1-3 halogen; C₁-C₁₈ alkoxy; allyloxy; C₁-C₁₈ alkylthio; phenyl, phenoxy, benzyloxy, or phenylthio each optionally substituted with R³⁴ on the phenyl ring; acetyl; or C₂-C₅ alkoxy-carbonyl;

R³² is 1-3 halogen; or C₁-C₄ alkoxy;

R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyoxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy-carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N;

(C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺;
phenyl, phenoxy, phenylthio, phenylsulfonyl,
phenylsulfinyl, pyridyl or pyridyloxy each
optionally substituted with R³⁰; thienyl,
pyrimidinyl, furanyl, naphthalenyl,
pyrimidinyloxy, naphthalenyloxy each optionally
substituted with R⁷; tetrahydropyranyl;
2-tetrahydropyranyloxy; C₁-C₁₇ alkoxy; C₂-C₁₇
alkoxyalkoxy; C₃-C₁₇ alkynyl; C₃-C₆ cycloalkyl;
or C₂-C₁₇ haloalkoxyalkoxy;

R³⁷ is H; C₁-C₆ alkyl; or phenyl optionally
substituted with R⁷;

R³⁹ is C₁-C₁₉ alkyl; C₂-C₁₉ alkylcarbonyl; C₂-C₁₉
alkoxycarbonyl; (R⁹R⁴⁰N)C=O; phenyl optionally
substituted with R²⁵; or phenoxy carbonyl
optionally substituted with R⁷;

R⁴¹ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇
alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆
cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇
alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇
alkoxycarbonyl; hydroxyl; hydroxycarbonyl;
R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N;
(C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺;
phenyl, phenoxy, phenylsulfonyl, phenyl-
sulfinyl, pyridyl or pyridyloxy each optionally
substituted with R³⁰; thienyl, pyrimidinyl,
furanyl, naphthalenyl, pyrimidinyloxy,
naphthalenyloxy each optionally substituted
with R⁷; tetrahydropyranyl; 2-tetrahydro-
pyranyloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇
alkoxyalkoxy; or C₃-C₆ cycloalkyl;

R⁴² is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇
alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆
cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇
haloalkynyl; C₃-C₁₇ alkynyloxy; C₁-C₁₇
alkylsulfonyl; C₂-C₁₇ alkoxycarbonyl; hydroxyl;

hydroxycarbonyl; $R^{28}C(=O)O$; $R^{28}OC(=O)O$;
 $R^{28}R^{40}NC(=O)O$; $R^{40}R^{39}N$; (C_1-C_4 alkoxy) $_2P(=E)O$;
 $R^{11}SO_3$; $R^{40}R^{14}R^{38}N^+$; phenyl, phenoxy, phenyl-
thio, phenylsulfonyl, phenylsulfinyl, pyridyl
or pyridyloxy each optionally substituted with
 R^{30} ; thienyl, pyrimidinyl, furanyl,
naphthalenyl, pyrimidinyloxy, naphthalenyloxy
each optionally substituted with R^7 ; tetra-
hydropyranyl; 2-tetrahydropyranyloxy; C_1-C_{17}
alkoxy; 1-3 halogen; C_2-C_{17} alkoxyalkoxy; C_3-C_{17}
alkynyl; or C_3-C_6 cycloalkyl;
 R^{44} is 1-3 halogen; cyano; nitro; C_1-C_6 alkoxy;
 C_1-C_6 haloalkoxy; C_2-C_6 alkoxyalkoxy; C_1-C_6
alkylthio; C_1-C_6 alkylsulfonyl; phenyl or
phenoxy each optionally substituted with R^{57}
and R^{59} ; $NR^{49}R^{50}$; or R^{62} ;
 R^{45} is H; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4
alkenyl; C_2-C_6 haloalkenyl; $NR^{54}R^{55}$; or SR^{54} ;
 R^{46} is H; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4
alkenyl; C_2-C_6 haloalkenyl; phenyl optionally
substituted with R^{57} ; $NR^{56}R^{64}$; OR^{65} ; or SR^{65} ;
 R^{47} is C_1-C_8 alkyl optionally substituted with R^{44} ;
 C_3-C_6 alkenyl or C_3-C_6 alkynyl each optionally
substituted with R^{69} ; C_3-C_6 cycloalkyl
optionally substituted with 1-3 halogen; phenyl
optionally substituted with R^{57} and R^{59} ;
 $C(=O)R^{52}$; $C(=NR^{55})R^{52}$; $C(=O)OR^{53}$; $C[=N(C_1-C_4)$
alkyl] OR^{53} ; or $C(=O)NR^{53}R^{56}$;
 R^{48} is C_1-C_6 alkyl; C_2-C_6 alkenyl; C_2-C_6 halo-
alkenyl; C_2-C_6 alkynyl; C_2-C_6 alkoxyalkyl;
phenyl optionally substituted with R^{58} ; or
phenylmethyl optionally substituted with R^{58} on
the phenyl ring;
 R^{49} is H; C_1-C_4 alkyl; C_3-C_4 alkenyl; or cyclo-
propyl;

R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring; or R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-; -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;

R⁵¹ is 1-3 halogen; C₁-C₆ alkoxy; C₂-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₃-C₆ alkenyloxy; C₃-C₆ alkynyoxy; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylsulfonyl; phenylsulfonyl optionally substituted with R⁵⁷; phenyl or phenoxy each optionally substituted with R⁵⁸ and R⁵⁹; OH; SH; nitro; cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;

R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring;

R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄ alkyl;

R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or cyano;

R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl; ethyl; methylthio; cyano; or methoxycarbonyl;

R⁵⁹ is halogen or C₁-C₄ alkyl;

R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; or C(=O)R⁶¹;

R⁶¹ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶;
 C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²;
 SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²;
 N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶;
 OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or
 N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;

R⁶³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl;
 C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl
 optionally substituted with R⁵⁸ and R⁵⁹; or
 phenylmethyl optionally substituted with R⁵⁸
 and R⁵⁹ on the phenyl ring; C(=O)R⁵²;
 C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; OR⁵³; or
 SO₂R⁵²;

R⁶⁴ is C₁-C₄ alkyl; C₃-C₆ alkenyl; or phenyl
 optionally substituted with R⁵⁷ and R⁵⁹;

R⁶⁵ and R⁶⁶ are each independently C₁-C₄ alkyl;
 C₃-C₄ haloalkyl; C₃-C₆ alkenyl; or phenyl
 optionally substituted with R⁵⁷ and R⁵⁹;

R⁶⁷ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
 alkenyl; C₂-C₆ haloalkenyl; phenyl optionally
 substituted with R⁵⁷; OR⁶⁶; SR⁶⁶; or NR⁵⁴R⁶⁶;

R⁶⁸ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
 alkenyl; and

R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴;
 provided that the total number of carbons in R², R¹⁶,
 R¹⁷ and R¹⁸ is each less than or equal to 20.

DETAILED DESCRIPTION OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" denotes straight-chain or branched alkyl; e.g., methyl, ethyl, n-propyl, i-propyl, or the different butyl, pentyl or hexyl isomers.

"Alkenyl" denotes straight-chain or branched alkenes; e.g., 1-propenyl, 2-propenyl, 3-propenyl and the different butenyl, pentenyl and hexenyl isomers.

"Alkenyl" also denotes polyenes such as 1,3-hexadiene and 2,4,6-heptatriene.

"Alkenyloxy" denotes straight-chain or branched alkenyloxy moieties. Examples of alkenyloxy include $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$ and $\text{CH}_2=\text{CHCH}_2\text{CH}_2\text{O}$.

"Alkynyl" denotes straight-chain or branched alkynes; e.g., ethynyl, 1-propynyl, 3-propynyl and the different butynyl, pentynyl and hexynyl isomers.

"Alkynyl" can also denote moieties comprised of multiple triple bonds; e.g., 2,7-octadiyne and 2,5,8-decatriyne.

"Alkynyloxy" denotes straight-chain or branched alkynyloxy moieties. Examples include $\text{HC}\equiv\text{CCH}_2\text{O}$, $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}$ and $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{CH}_2\text{O}$.

"Alkylthio" denotes branched or straight-chain alkylthio moieties; e.g., methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers.

Examples of "alkylsulfonyl" include CH_3SO_2 , $\text{CH}_3\text{CH}_2\text{SO}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SO}_2$, $(\text{CH}_3)_2\text{CHSO}_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers.

"Alkylsulfinyl" denotes both enantiomers of an alkylsulfinyl group. For example, CH_3SO , $\text{CH}_3\text{CH}_2\text{SO}$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SO}$, $(\text{CH}_3)_2\text{CHSO}$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers.

"Alkoxy" denotes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers.

Examples of "alkoxyalkoxyalkoxy" include $\text{CH}_3\text{OCH}_2\text{CH}_2\text{OCH}_2\text{O}$, $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2\text{OCH}_2\text{O}$, and $(\text{CH}_3)_2\text{CHOCH}_2\text{CH}_2\text{OCH}_2\text{O}$.

"Cycloalkyl" denotes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. The term "cycloalkyloxy" denotes the same groups linked through

an oxygen atom such as cyclopentyloxy and cyclohexyloxy. "Cycloalkenyl" denotes groups such as cyclopentenyl and cyclohexenyl.

Examples of "cycloalkylalkyl" include cyclopropylmethyl, cyclohexylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups. "Alkylcycloalkyl" denotes alkyl substitution on a cycloalkyl moiety. Examples include 4-methylcyclohexyl and 3-isopropylcyclopentyl.

The term "halogen", either alone or in compound words such as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , ClCH_2 , CF_3CH_2 and CF_3CF_2 . Examples of "haloalkenyl" include $(\text{Cl})_2\text{C}=\text{CHCH}_2$ and $\text{CF}_3\text{CH}_2\text{CH}=\text{CHCH}_2$. Examples of "haloalkynyl" include $\text{HC}\equiv\text{CCHCl}$, $\text{CF}_3\text{C}\equiv\text{C}$, $\text{CCl}_3\text{C}\equiv\text{C}$ and $\text{FCH}_2\text{C}\equiv\text{CCH}_2$. Examples of "haloalkoxy" include CF_3O , $\text{CCl}_3\text{CH}_2\text{O}$, $\text{CF}_2\text{HCH}_2\text{CH}_2\text{O}$ and $\text{CF}_3\text{CH}_2\text{O}$. Examples of "haloalkylthio" include CCl_3S , CF_3S , $\text{CCl}_3\text{CH}_2\text{S}$ and $\text{CH}_2\text{ClCH}_2\text{CH}_2\text{S}$. Examples of "haloalkylsulfonyl" include CF_3SO_2 , CCl_3SO_2 , $\text{CF}_3\text{CH}_2\text{SO}_2$ and $\text{CF}_3\text{CF}_2\text{SO}_2$. Examples of "haloalkoxyalkoxy" include $\text{CF}_3\text{OCH}_2\text{O}$, $\text{ClCH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{O}$, $\text{Cl}_3\text{CH}_2\text{OCH}_2\text{O}$ as well as branched alkyl derivatives.

The total number of carbon atoms in a substituent group is indicated by the " $\text{C}_i\text{-C}_j$ " prefix where i and j are numbers from 1 to 20. For example, $\text{C}_1\text{-C}_3$ alkylsulfonyl designates methylsulfonyl through propylsulfonyl; C_2 alkoxyalkoxy designates $\text{CH}_3\text{OCH}_2\text{O}$; C_3 alkoxyalkoxy designates, for example, $\text{CH}_3\text{OCH}_2\text{CH}_2\text{O}$ or $\text{CH}_3\text{CH}_2\text{OCH}_2\text{O}$; and C_4 alkoxyalkoxy designates the various isomers of an alkoxy group substituted with a second alkoxy group containing a total of 4 carbon atoms, examples including $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2\text{O}$, and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2\text{O}$.

Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. Examples of "alkoxycarbonyl" include $\text{CH}_3\text{OC}(=\text{O})$, $\text{CH}_3\text{CH}_2\text{OC}(=\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OC}(=\text{O})$, $(\text{CH}_3)_2\text{CHOC}(=\text{O})$ and the different butoxy-, pentoxy- or hexyloxycarbonyl isomers.

In the above recitations, when a compound of Formula I is comprised of one or more pyridyl or pyrimidinyl rings, all bonds to these heterocycles are made through the carbon atom(s) of the moieties. When a substituent for a compound of Formula I is defined to include 1-2 halogen or 1-3 halogen, this denotes the occurrence of the same or different halogens at that substituent position one, two, or three times.

When R^1 and R^2 of the compounds of Formula I are different, then the compounds of Formula I possess a chiral center. This invention, therefore, comprises racemic mixtures as well as pure enantiomers. Compounds of Formula I can also exist as (E)- or (Z)-isomers, or as a mixture of (E)- and (Z)-isomers when compounds of Formula I contain a $\text{C}=\text{C}$ bond or a $\text{C}=\text{N}$ bond. This invention, therefore, also comprises mixtures of geometric isomers as well as the individual isomers.

Preferred compounds of Formula I (denoted as Preferred 1) are those wherein:

R^1 is $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_1\text{-C}_4$ haloalkyl; $\text{C}_3\text{-C}_4$ cycloalkyl; or $\text{C}_2\text{-C}_4$ alkenyl;

R^{21} is $\text{C}_1\text{-C}_4$ alkyl optionally substituted with R^{51} ; $\text{C}_2\text{-C}_4$ alkenyl, $\text{C}_2\text{-C}_8$ alkynyl, or cyclopropyl each optionally substituted with 1-3 halogen; $\text{C}(=\text{N}-\text{V}-\text{R}^{53})\text{H}$; $\text{C}(=\text{N}-\text{V}-\text{R}^{53})(\text{C}_1\text{-C}_4$ alkyl); $\text{C}(=\text{O})\text{OR}^{53}$; $\text{C}(=\text{O})\text{SR}^{53}$; $\text{C}(=\text{S})\text{SR}^{53}$; or $\text{C}(=\text{O})\text{NR}^{53}\text{R}^{56}$;

R^{29} is $\text{C}_1\text{-C}_4$ alkyl optionally substituted with R^{44} ; $\text{C}_3\text{-C}_6$ alkenyl, $\text{C}_3\text{-C}_6$ alkynyl, or cyclopropyl each optionally substituted with 1-3 halogen;

$\text{C}(=\text{O})\text{R}^{52}$; $\text{C}(=\text{NR}^{55})\text{R}^{52}$; $\text{C}(=\text{O})\text{OR}^{53}$; $\text{C}(=\text{O})\text{NR}^{53}\text{R}^{56}$;
 $\text{N}=\text{CR}^{68}\text{R}^{67}$; or SO_2R^{52} ;
 R^{44} is 1-3 halogen; cyano; nitro; $\text{C}_1\text{-C}_4$ alkoxy;
 $\text{C}_1\text{-C}_4$ haloalkoxy; $\text{C}_2\text{-C}_4$ alkoxyalkoxy; $\text{C}_1\text{-C}_4$
alkylthio; or R^{62} ;
 R^{45} is H; $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_1\text{-C}_4$ haloalkyl; $\text{C}_2\text{-C}_4$
alkenyl; or $\text{C}_2\text{-C}_4$ haloalkenyl;
 R^{46} is H; $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_1\text{-C}_4$ haloalkyl; $\text{C}_2\text{-C}_4$
alkenyl; $\text{C}_2\text{-C}_6$ haloalkenyl; $\text{NR}^{56}\text{R}^{64}$; OR^{65} ; or
 SR^{65} ;
 R^{47} is $\text{C}_1\text{-C}_4$ alkyl optionally substituted with R^{44} ;
 $\text{C}_3\text{-C}_4$ alkenyl or $\text{C}_3\text{-C}_4$ alkynyl each optionally
substituted with R^{69} ; $\text{C}_3\text{-C}_6$ cycloalkyl
optionally substituted with 1-3 halogen;
 $\text{C}(=\text{O})\text{R}^{52}$; $\text{C}(=\text{O})\text{OR}^{53}$; or $\text{C}(=\text{O})\text{NR}^{53}\text{R}^{56}$;
 R^{48} is $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_2\text{-C}_4$ alkenyl; $\text{C}_2\text{-C}_4$
haloalkenyl; $\text{C}_2\text{-C}_4$ alkynyl; or $\text{C}_2\text{-C}_6$ alkoxy-
alkyl;
 R^{49} is H; $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_3\text{-C}_4$ alkenyl; or cyclo-
propyl;
 R^{50} is H; $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_3\text{-C}_4$ alkenyl; or $\text{C}_3\text{-C}_4$
alkynyl; or
 R^{49} and R^{50} can be taken together to form $-(\text{CH}_2)_4^-$;
 $-(\text{CH}_2)_5^-$ or $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2^-$;
 R^{51} is 1-3 halogen; $\text{C}_1\text{-C}_4$ alkoxy; $\text{C}_2\text{-C}_4$ haloalkoxy;
 $\text{C}_2\text{-C}_4$ alkoxyalkoxy; $\text{C}_1\text{-C}_4$ alkylthio; $\text{C}_3\text{-C}_4$
alkenyloxy; $\text{C}_3\text{-C}_4$ alkynyoxy; OH; SH; nitro;
cyano; $\text{O}=\text{C}=\text{N}$; $\text{S}=\text{C}=\text{N}$; $\text{NR}^{49}\text{R}^{50}$; or R^{62} ;
 R^{52} is H; $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_1\text{-C}_4$ haloalkyl; $\text{C}_2\text{-C}_4$
alkenyl; or $\text{C}_2\text{-C}_4$ haloalkenyl;
 R^{53} is H; $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_3\text{-C}_4$ alkenyl; or $\text{C}_3\text{-C}_4$
haloalkenyl;
 R^{60} is $\text{C}_1\text{-C}_4$ alkyl; $\text{C}_1\text{-C}_4$ haloalkyl; $\text{C}_2\text{-C}_4$ alkenyl;
 $\text{C}_2\text{-C}_4$ haloalkenyl; $\text{C}(=\text{O})(\text{C}_1\text{-C}_4 \text{ alkyl})$; or
 $\text{C}(=\text{O})\text{H}$;

R^{62} is $C(=N-V-R^{53})R^{52}$; $C(=O)OR^{53}$; $C(=O)NR^{53}R^{56}$;
 $OC(=O)R^{52}$; $SC(=O)R^{52}$; $N(R^{56})C(=O)R^{52}$;
 $OC(=O)OR^{53}$; $OC(=O)NR^{53}R^{56}$; or $N(R^{56})C(=O)OR^{53}$;

R^{63} is H; C_1-C_4 alkyl; C_3-C_4 alkenyl; C_3-C_4 alkynyl;
 C_3-C_4 haloalkenyl; $C(=O)R^{52}$; $C(=NR^{55})R^{52}$;
 $C(=O)OR^{53}$; $C(=O)NR^{53}R^{56}$; or OR^{53} ;

R^{64} is C_1-C_4 alkyl; or C_3-C_4 alkenyl;

R^{65} is C_1-C_4 alkyl; C_3-C_4 haloalkyl; or C_3-C_4 alkenyl; and

R^{67} is H or C_1-C_4 alkyl;

provided that when R^3 is a phenyl or heterocyclic ring disubstituted with two alkyl or alkoxy groups, or one alkyl and one alkoxy group, then at least one of the alkyl and alkoxy groups is methyl or methoxy.

More preferred compounds of Formula I (denoted as Preferred 2) are the compounds of Preferred 1 wherein:

A is O or NH;

B is halogen; cyano; R^{21} ; OR^{29} ; $NR^{49}R^{63}$; $N=CR^{45}R^{46}$;
 SR^{47} ; or $S(O)_2R^{48}$;

R^1 is C_1-C_4 alkyl; C_1-C_4 haloalkyl; or vinyl;

R^2 is C_2-C_{20} alkyl; C_2-C_{20} alkoxyalkyl; C_2-C_{20} haloalkyl; C_3-C_8 alkyl substituted with phenoxy or phenylthio each optionally substituted with R^{30} ; C_5-C_7 cycloalkyl; C_2-C_{20} alkenyl; C_5-C_7 cycloalkenyl; phenyl optionally substituted with R^5 and R^7 ; 2-naphthalenyl; thieryl optionally substituted with R^5 and R^7 ; furyl optionally substituted with R^7 ; or pyridyl optionally substituted with R^5 and R^7 ;

provided that when R^2 is phenyl and R^5 is other than F, then R^5 is attached to the para-position relative to the imidazolinone ring;

R^3 is phenyl optionally substituted with R^{10} ;

R^{44} is 1-3 halogen; C_1-C_4 alkoxy or C_1-C_4 haloalkoxy;

R⁴⁵ is H or C₁-C₄ alkyl;

R⁴⁶ is H; C₁-C₄ alkyl; OR⁶⁵; or SR⁶⁵;

R⁴⁷ is C₁-C₄ alkyl; C₃-C₄ alkenyl; C(=O)R⁵²; or C(=O)OR⁵⁵;

R⁴⁸ is C₁-C₄ alkyl;

R⁵¹ is 1-3 halogen or C₂-C₃ haloalkoxy;

R⁵² and R⁵³ are each independently H; C₁-C₄ alkyl; or C₃-C₄ alkenyl;

R⁶⁰ and R⁶³ are each independently C₁-C₄ alkyl; and

R⁶⁵ is C₁-C₄ alkyl or C₃-C₄ alkenyl.

More preferred compounds of Formula I (denoted as Preferred 3) are the compounds of Preferred 2 wherein:

B is halogen; cyano; C₁-C₄ alkyl, C₁-C₄ alkylthio, or C₁-C₄ alkoxy each optionally substituted with halogen; N=CR⁴⁵R⁴⁶; NR⁴⁹R⁶³; or S(O)₂(C₁-C₄ alkyl);

R¹ is methyl or halomethyl;

R² is C₂-C₁₂ alkyl; C₃-C₈ alkyl substituted with phenoxy optionally substituted with R³⁰; phenyl optionally substituted with R⁵ and R⁷; thienyl optionally substituted with R⁷; or pyridyl optionally substituted with R⁵ and R⁷;

R³ is phenyl optionally substituted with F; Cl; or methyl;

R⁴ is H;

R⁵ is halogen; nitro; C₁-C₆ alkyl; C₁-C₃ haloalkyl; methylthio; C₁-C₆ alkoxy; C₁-C₂ haloalkoxy; C₅-C₆ cycloalkyloxy; phenoxy optionally substituted with R²⁷; phenylthio substituted with R²⁴; phenoxyethyl optionally substituted with R²⁴ on the phenyl ring; benzyloxy optionally substituted with R³⁰ on the phenyl ring; or -OC(=O)R²⁸;

R⁷ and R²⁴ are independently F; C₁-C₂ alkyl; methylthio; or C₁-C₂ alkoxy;

R¹⁶ is C₁-C₆ alkyl;

R¹⁹ is phenyl optionally substituted with R³⁴;
R²⁷ is 1-2 halogen; cyano; C₁-C₄ alkyl; trifluoro-methyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₁-C₄ alkylthio; C₅-C₆ cycloalkyloxy; or allyl;
R³⁰ is 1-2 halogen; cyano; C₁-C₄ alkyl; trifluoro-methyl; C₁-C₄ alkoxy; or trifluoromethoxy;

R³⁴ is 1-2 halogen; nitro; C₁-C₂ alkyl; or C₁-C₂ alkoxy;

R⁴⁶ is H or C₁-C₄ alkyl;

R⁴⁹ and R⁶³ are each independently C₁-C₂ alkyl.

Especially preferred compounds of Formula I (denoted as Preferred 4) are the compounds of Preferred 3 wherein:

B is F; Cl; cyano; C₁-C₂ alkyl; C₁-C₂ alkylthio; C₁-C₂ alkoxy; N=CR⁴⁵R⁴⁶; NMe₂; or S(O)₂(C₁-C₂ alkyl);

R¹ is methyl;

R² is C₁-C₁₂ alkyl; phenyl optionally substituted with R⁵ and R⁷; or thiienyl optionally substituted with R⁵ and R⁷; and

R⁵ is F; Cl; Br; C₁-C₆ alkyl; trifluoromethyl; C₁-C₆ alkoxy; trifluoromethoxy; 2,2,2-trifluoro-ethoxy; C₅-C₆ cycloalkyloxy; methylthio; phenoxy optionally substituted with R²⁷; phenylthio optionally substituted with R²⁴; benzyloxy optionally substituted with R³⁰ on the phenyl ring; or -OC(=O)R²⁸.

Specifically preferred compounds of Formula I are the compounds of Preferred 4 which are:

3,5-dihydro-2-methoxy-5-methyl-5-phenyl-3-(phenylamino)-4H-imidazol-4-one; and

3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one.

It is recognized that some reagents and reaction conditions described below for preparing compounds of Formula I may not be compatible with some

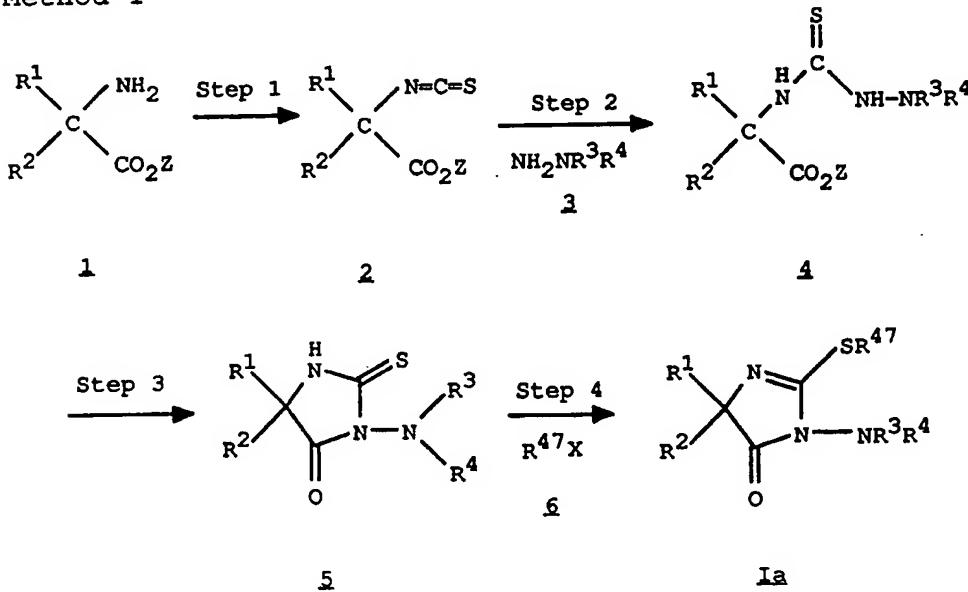
functionalities claimed for R¹, R², R³, R⁴, A and B. In these cases, the incorporation of protection/deprotection sequences into the synthesis may be necessary in order to obtain the desired products. The cases in which protecting groups are necessary, and which protecting group to use, will be apparent to one skilled in chemical synthesis.

In the following description of the preparation of compounds of Formula I, compounds denoted as Formula Ia through Formula Ix are various subsets of the compounds of Formula I, and all substituents for Formula Ia through Ix are as defined above for Formula I.

The compounds of Formula I can be prepared as described below in the following Schemes. The 4(H)-imidazol-4-ones of Formula Ia can be prepared by one or all of the methods illustrated in Scheme I.

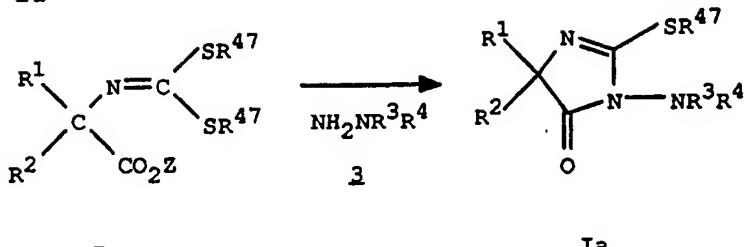
SCHEME I

Method I

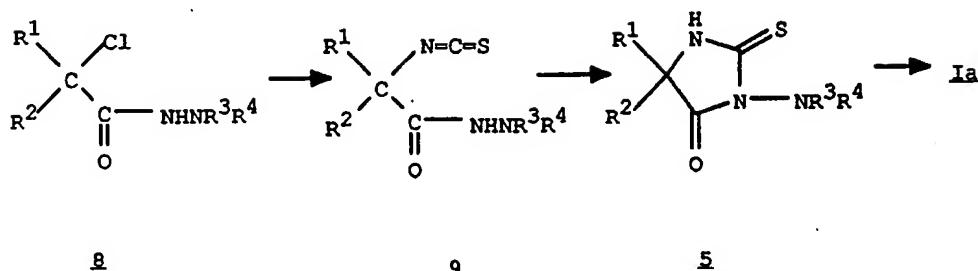


Z=C₁-C₄ alkyl, C₃-C₄ alkenyl, C₃-C₆ cycloalkyl, C₆H₅CH₂
X=Cl, Br, I

Method II



Method III



The esters of α -amino-acids of Formula 1 or their salts are known in the literature and can be prepared by literature methods [J. P. Greenstein, M. Winitz, "Chemistry of the Amino Acids" (S. Patai, Ed.), p 697, John Wiley and Sons, Ltd., London (1961)]. The group Z in compounds of Formula 1 can be C₁-C₄ alkyl; C₃-C₄ alkenyl; C₃-C₆ cycloalkyl; or C₆H₅CH₂. Preferred for ease of synthesis and lower expense are Z=C₁-C₄ alkyl. The preparation of the isothiocyanates of Formula 2 from the α -amino esters or their salts of Formula 1 (Step 1) can also be accomplished by literature methods (H. A. Staab and G. Walther, *Liebigs Ann. Chem.*, 1962, 657, 98; M. L. Moore, F. S. Crossley, *Org. Synth.*, 1941, 21, 81).

Compounds of Formula 4 can be prepared by treatment of an isothiocyanates of Formula 2 with hydrazines of Formula 3 (Step 2). The preparation of substituted hydrazines of Formula 3 can be accomplished by literature methods (J. Timerblake, J. Stowell; "The

Chemistry of the Hydrazo, Azo and Azoxy Groups"
(S. Patai, Ed.) p 69, John Wiley and Sons, Ltd., London
(1975); J. P. Demers, D. J. Klaubert, *Tetrahedron Lett.*, 1987, 4933). To prepare compounds of Formula 4, the compounds of Formula 2 are dissolved in an inert solvent such as 1-chlorobutane or dichloromethane, and a hydrazine of Formula 3 is added, at a temperature from -50° to 50°C. When the reaction is complete, the resulting mixture is poured into a water-immiscible solvent and washed successively with dilute aqueous mineral acid, water, and brine. The organic phase of this mixture is separated, dried, and the solvent is evaporated to provide the products of Formula 4.

As shown in Step 3, the 2-thioxo-4-imidazolidinone compounds of Formula 5 can be prepared by dissolving compounds of Formula 4 in an inert solvent, such as benzene or toluene, and heating at a temperature from 50° to 200°C. When the reaction is complete, the solvent is evaporated and the resulting mixture is purified to yield products of Formula 5.

In some cases, the isolation of compounds of Formula 4 is unnecessary. For example, compounds of Formula 4 can be converted *in situ* to compounds of Formula 5 by warming the reaction mixture to 50°-200°C. When the reaction is complete, the solvent is removed to provide compounds of Formula 5.

The 4(H)-imidazol-4-ones of Formula Ia can be prepared by dissolving compounds of Formula 5 in an inert solvent, such as chloroform or tetrahydrofuran, and treating the solution with an electrophilic substrate R⁴⁷X wherein X is a leaving group such as a chlorine, bromine, or iodine; followed by a base such as 1,8-diaza-bicyclo[5.4.0]-undec-7-ene, at a temperature from 0° to 100°C (Step 4). When the reaction is complete, the solvent is evaporated and the

resulting mixture is purified to yield products of Formula Ia.

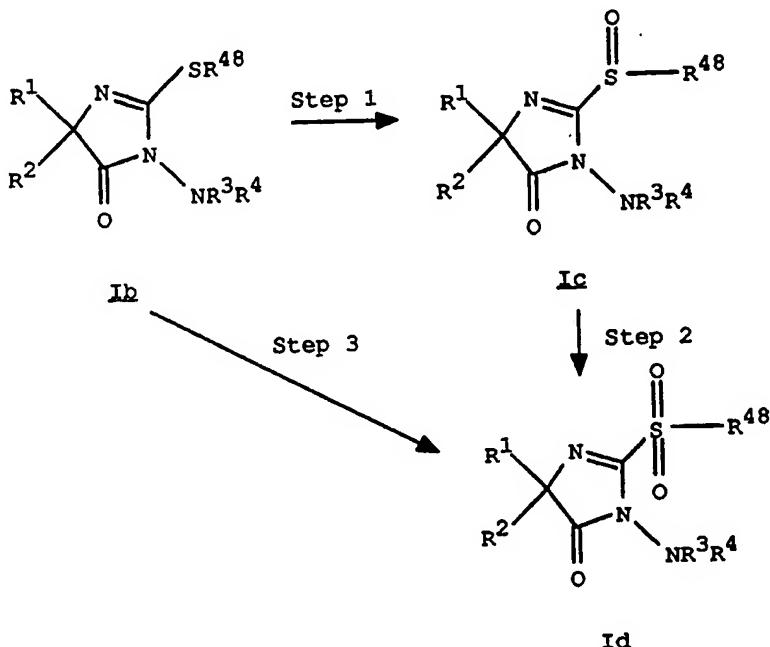
An alternative process for preparing compounds of Formula Ia is illustrated in Method II, Scheme I. The α -bis(thio)methyleneamino acid esters of Formula 7 can be prepared by literature methods (D. Hoppe, *Angew. Chem., Int. Ed. Eng.*, 1975, 14, 426). Compounds of Formula Ia can be prepared by dissolving compounds of Formula 7 in a protic acid, such as acetic acid, with or without an inert diluent, such as dichloromethane, in the presence of a hydrazine of Formula 3, at a temperature from 20° to 100°C. When the reaction is complete, the reaction mixture is poured into water and extracted with a water-immiscible organic solvent such as ethyl acetate. The combined organic extracts are washed first with aqueous base, such as aqueous sodium bicarbonate, and then with water. The organic layer is then dried and evaporated to yield compounds of Formula Ia.

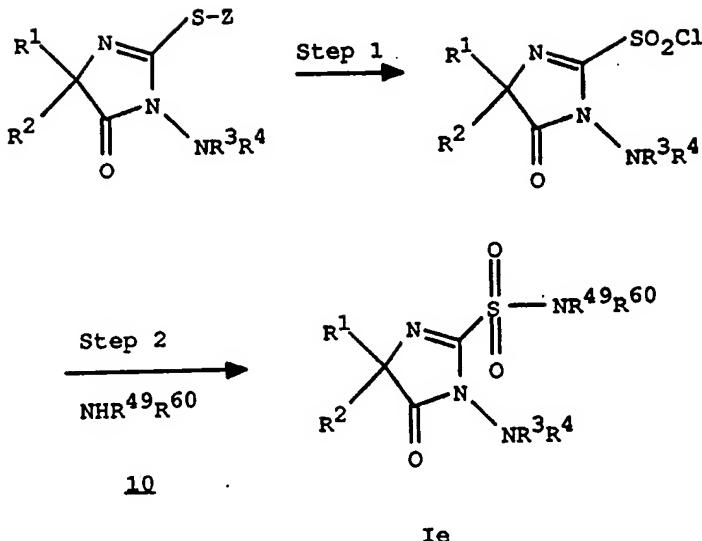
Another route to synthesize compounds of Formula Ia is illustrated in Method III, Scheme I. This method is described in the literature for when R¹ is H and neither R³ nor R⁴ are H (H. Boehme, F. Martin, J. Strahl; *Arch. Pharm.* 1980, 313, 10).

As shown in Step 1, a α -chlorohydrazide, prepared by literature methods (H. Böhme, F. Martin, *Acad. Pharm.*, 1974, 307, 277), is treated with a salt of a thiocyanate, such as potassium thiocyanate, in an inert solvent, such as acetonitrile at a temperature from 0° to 50°C. When the reaction is complete, the reaction mixture is poured into water and extracted with a water-immiscible solvent such as chloroform. The organic extracts are combined and washed with water. The solvent is removed to give a residue which is redissolved and refluxed in an inert organic solvent such as acetonitrile. When the reaction is complete,

the solvent is removed and the resulting mixture is purified to give a compound of Formula 5. The conversion of compounds of Formula 5 to compounds of Formula Ia is described in Step 4, Method I.

SCHEME II



SCHEME III

$Z=C_1-C_4$ alkyl, C_3-C_4 alkenyl, C_3-C_6 cycloalkyl, $PhCH_2$

The sulfoxides and sulfones of Formula Ic and Id, respectively, can be prepared by the methods illustrated in Scheme II. The oxidation of sulfides to sulfoxides and sulfones is described in the literature (D. S. Tarbell, C. Weaver, *J. Am. Chem. Soc.*, 1941, 63, 2939; I. Sircar et al., *J. Med. Chem.*, 1985, 28, 1405). Compounds of Formula Ib are dissolved in an inert solvent such as dichloromethane or benzene and an oxidant such as meta-chloroperoxybenzoic acid or mono-perphthalic acid is added (Step 1). The reaction can be conducted at a temperature from 0° to 100°C. When the reaction is complete, the reaction mixture is added to a water-immiscible solvent, washed sequentially with aqueous sodium thiosulfate solution, aqueous sodium bicarbonate solution, and water. The organic layer is dried and the solvent is evaporated to yield compounds of Formula Ic.

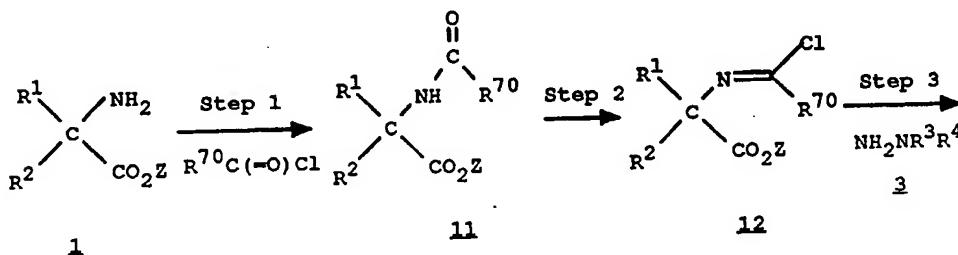
Following the same procedure, the preparation of sulfones of Formula Id can be achieved either from

sulfoxides of Formula Ic (Step 2), or from compounds of Formula Ib with the use of excess oxidant (Step 3).

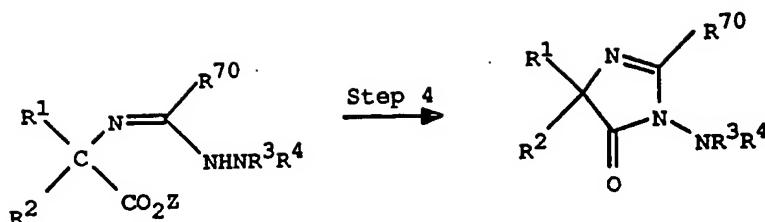
Compounds of Formula Ie can be obtained as described in Scheme III. The oxidation of sulfides to the corresponding sulfonyl chlorides (Step 1) and reaction of the sulfonyl chlorides with an amine (Step 2) provides the sulfonamides. For example, to a solution of the sulfide compounds in a solvent such as acetic acid containing a small amount of water, a source of chlorine, such as chlorine gas is added, at a temperature from 0° to 50°C. When the reaction is complete, the sulfonyl chloride is collected, stirred in an inert solvent such as acetone, at a temperature from -10° to 25°C, and an amine of Formula 10 is added. When the reaction is complete, the solvent is removed to provide compounds of Formula Ie after purification. This sequence is well documented in the literature (R. H. Baker et al., J. Am. Chem. Soc., 1946, 68, 2636; R. F. Langler, Can. J. Chem., 1976, 54, 498; J. S. Grossert, R. F. Langler, Can. J. Chem., 1977, 55, 407, 421). The amines of Formula 10 can be prepared by literature methods [C. A. Buehler, D. E. Pearson, Survey of Organic Syntheses, Vol. 2, p 391, John Wiley and Sons (1977)].

SCHEME IV

Method I

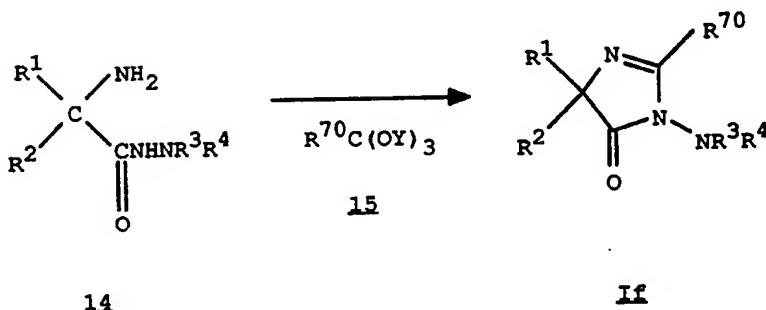


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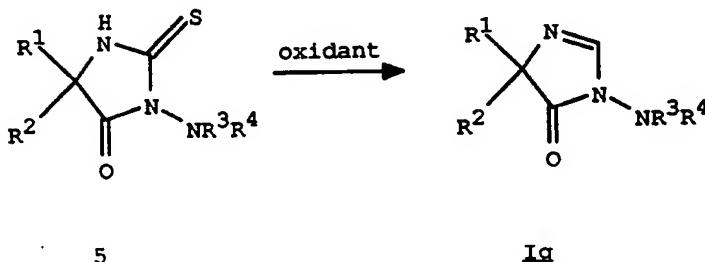
R^{70} is R^{21} or H
 Z is C_1-C_4 alkyl; C_3-C_4 alkenyl;
 C_3-C_6 cycloalkyl; PhCH_2

Method II



Y is C_1-C_4 alkyl

Method III



The 4H-imidazol-4-one compounds of Formula If can be prepared by one or more of the methods shown in Scheme IV. The preparation of compounds of Formula 11

from the amino acids of Formula 1 or their salts (Step 1, Method I) can be accomplished using known procedures in the literature [C. A. Buehler, D. E. Pearson, Survey of Organic Syntheses, Vol. 2, p 813, John Wiley and Sons (1977); V. Prelog, P. Wieland, *Helv. Chim. Acta*, 1946, 29, 1128]. The preparation of the iminochlorides of Formula 12 (Step 2) from the amides of Formula 11 can be accomplished by literature methods (J. W. Williams et al., *Org. Synth.*, 1946, 26, 97; C. C. Price, B. H. Velzar, *J. Org. Chem.*, 1947, 12, 386). The displacement of the chloride in the iminochlorides with the hydrazines of Formula 3 (Step 3) can also be achieved by procedures known in the literature (H. Priewe, A. Polzak, *Ber. Deutsch. Chem. Ges.*, 1955, 88, 1932; J. Berger et al., *Monatsch. Chem.*, 1981, 112, 959). The cyclization of compounds of Formula 13 to heterocycles of Formula If (Step 4) can be accomplished by literature procedures known for the cyclization of N-(aminomethylidene)- α -amino acids to 4H-imidazolin-4-ones (Y. Ito et al., *Synth. Commun.*, 1974, 4, 289; J. R. Ross et al., *J. Heterocycl. Chem.*, 1987, 24, 661).

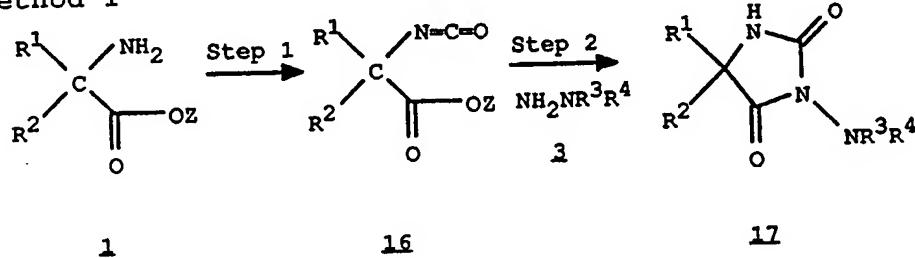
Alternatively, the compounds of Formula If can be prepared by the procedure illustrated in Method II, Scheme IV. The hydrazides of Formula 14 can be prepared by procedures known in the literature (M. Brenner, W. Hofer, *Helv. Chim. Acta*, 1961, 44, 1798; Unit Ika KK, JP 246,362). The condensation of compounds of Formula 14 with ortho-esters of Formula 15 provides compounds of Formula If. For example, an amino-hydrazide of Formula 14 is mixed with an ortho-ester of Formula 15, in the presence of a catalytic amount of acid, such as acetic acid, at a temperature from 50° to 200°C. When the reaction is complete, the mixture is purified to provide the product of

Formula If. The following literature procedures describe the preparation of 4H-imidazol-4-ones from α -amino carboxylic acid amides and ortho-esters (S. Ginsburg, *J. Org. Chem.*, 1962, 27, 4062; J. Brunkens, G. Bach, *Ber. Deutsch. Chem. Ges.*, 1956, 89, 1363). The ortho-esters of Formula 15 can be prepared according to processes described in the literature [C. A. Buehler and D. E. Pearson, *Survey of Organic Syntheses*, Vol. 2, p 711, John Wiley and Sons (1977)].

In addition, a method to prepare the compounds of Formula Ig is shown in Method III, Scheme IV. The 2-thioxo-4-imidazolidinone of Formula 5 is dissolved in an inert solvent such as acetone and an oxidizing agent such as Oxone® (potassium peroxyomonosulfate) is added at a temperature from 0°-100°C. When the reaction is complete, the reaction mixture is poured into a water-immiscible organic solvent such as ethyl acetate and washed with a basic aqueous solution, such as aqueous sodium bicarbonate, and then with water. The organic layer is dried and the solvent is evaporated to give the products of Formula Ig.

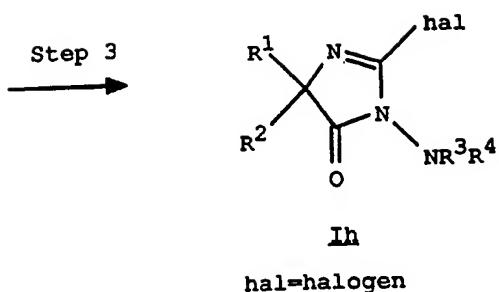
SCHEME V

Method I

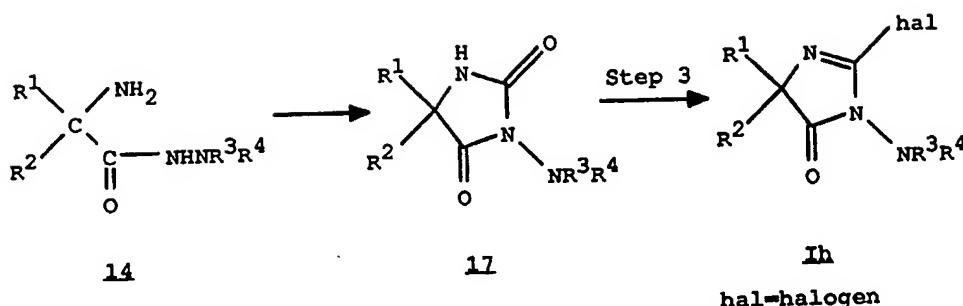


$\text{z} = \text{C}_1-\text{C}_4$ alkyl, C_3-C_4 alkenyl, C_3-C_6 cycloalkyl, $\text{C}_6\text{H}_5\text{CH}_2$

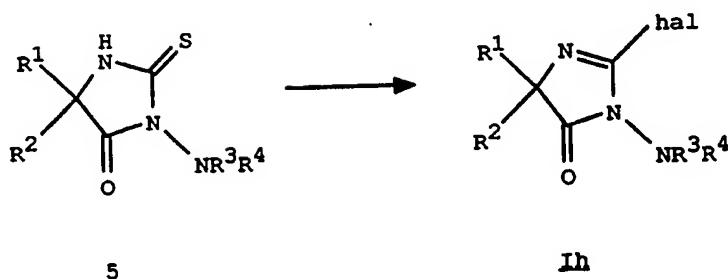
28



Method II



Method III



The compounds of Formula Ih can be prepared by one or more of the methods illustrated in Scheme V. The isocyanates of Formula 16 can be prepared (Step 1, Method I) by literature methods, using reagents such as phosgene (L. C. Raitord, H. B. Freyermuth, *J. Org. Chem.*, 1943, 8, 230; G. Losse, W. Godicke, *Ber. Deutsch. Chem. Ges.*, 1967, 100, 3314).

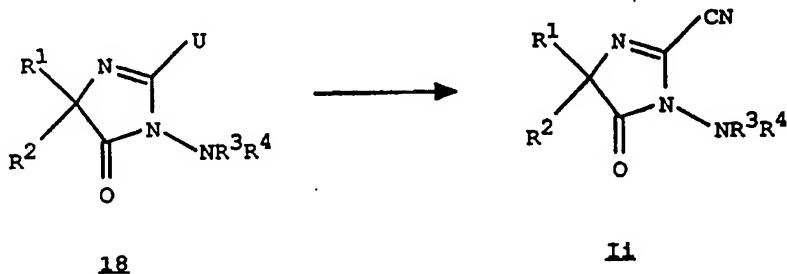
N,N'-carbonyldiimidazole (H. A. Staab, W. Benz, *Angew. Chem.*, 1961, 73, 66), or oxalyl chloride (V. Von Gifycki, *Angew. Chem.*, 1971, 83, 406; M. W. Gittos et al., *J. Chem. Soc., Perkin Trans. 1*, 1976, 141). The compounds of Formula 17 can be prepared by mixing the isocyanates of Formula 16 and hydrazines of Formula 3 in an inert solvent such as chlorobutane or tetrahydrofuran at a temperature of 0° to 50°C (Step 2). When the reaction is complete, the reaction mixture is poured into an organic solvent and washed sequentially with a dilute aqueous mineral acid solution, a dilute aqueous basic solution, and water. The organic fraction is dried and the solvent is evaporated to give a residue which is redissolved in an inert solvent such as toluene and heated at a temperature of 60° to 200°C. When the cyclization is complete, the solvent is removed to provide compounds of Formula 17. The preparation of 4(H)-imidazol-4-ones of Formula I_h from compounds of Formula 17 (Step 3) can be accomplished using literature procedures known to convert NHC(=O) groups to N=C(halogen) groups (D. Harrison et al., *J. Chem. Soc.*, 1963, 2930; R. Appel et al., *Ber. Deutsch. Chem. Ges.*, 1974, 107, 698; H. V. Dobeneck, T. Messerschmitt, *Liebigs Ann. Chem.*, 1971, 751, 32; G. Rio, D. Masure, *Bull. Soc. Chim. Fr.*, 1972, 4604).

Compounds of Formula 17 can also be prepared from compounds of Formula 14 (Method II, Scheme V) using procedures known in the literature for the preparation of hydantoins from α-amino carboxylic acid amides (S. Goldschmidt, M. Wick, *Liebigs Ann. Chem.*, 1952, 575, 217). Conversion of hydantoins of Formula 17 to heterocycles of Formula I_h is discussed in Step 3 above.

Another method to prepare compounds of Formula I_h is illustrated in Method III, Scheme V. This

conversion can be accomplished using literature procedures (C. R. Petrie et al., *J. Med. Chem.*, 1985, 28, 1010; R. E. Holmes, R. K. Robins, *J. Am. Chem. Soc.*, 1964, 86, 1242; R. T. Koda, J. A. Biles, W. Wolf, *J. Pharm. Sci.*, 1968, 57, 2056) known for the conversion of NHC(=S) groups to the corresponding N=C(halogen) groups.

SCHEME VI

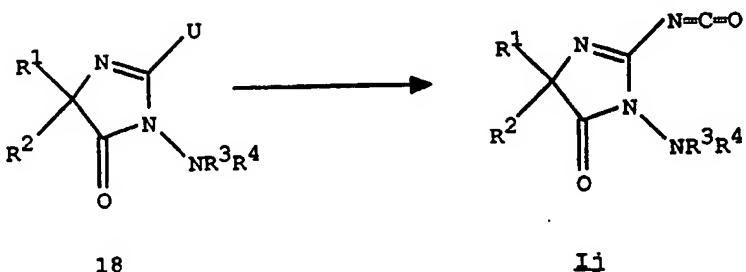
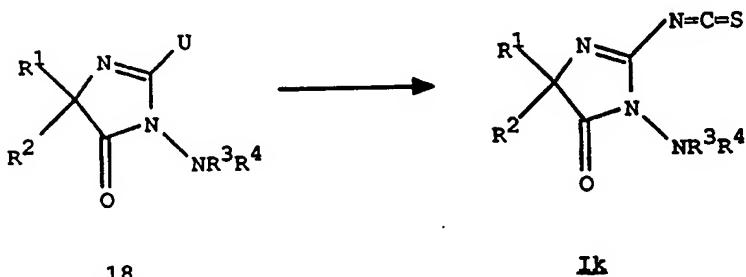


U=Cl, Br, I, SZ or S(O)₂Z

Z=C₁-C₄ alkyl, C₃-C₄ alkenyl, C₃-C₆ cycloalkyl, C₆H₅CH₂

The cyano compounds of Formula II can be prepared according to literature procedures for the conversion of -N=C-U functionalities, wherein U=Cl, Br, I, SZ, S(O)₂Z and Z is defined as described above, to -N=C-C≡N moieties, by displacing the group U with cyanide salts. For example, a sulfonyl compound of Formula 18 ($Q=S(O)_2Z$) is treated with a salt of cyanide, such as potassium cyanide, in an inert solvent, such as dimethylsulfoxide, at a temperature from 20° to 200°C. When the reaction is complete, the reaction mixture is poured into water and extracted with an organic solvent. The organic extracts are combined, and washed with water. The solvent is removed to provide a product of Formula II after purification. (Scheme VI, N. G. Clark, E. Cawkill, *Tetrahedron Lett.*, 1975, 2717;

T. Kato et al., *Chem. Pharm. Bull.*, 1986, 34, 3635;
 P. A. Wade et al., *J. Org. Chem.*, 1983, 48, 1796)

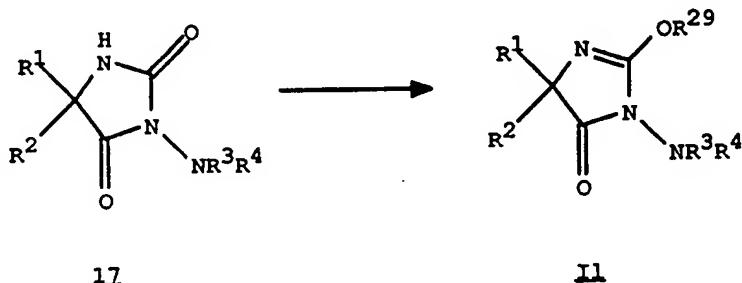
SCHEME VIISCHEME VIII

Compounds of Formulae Ij and Ik can be prepared as illustrated in Schemes VII and VIII, respectively. Compounds of Formula Ij can be prepared by displacement of leaving group U with sources of isocyanate, such as the sodium or potassium salt of isocyanate. For example, to a salt of isocyanate, such as potassium isocyanate, in an inert solvent, such as dichloromethane, at a temperature of 20° to 150°C, a compound of Formula 18 is added, with or without the use of a catalytic amount of crown ether, such as 18-crown-6 ether, or a phase transfer reagent, such as tetra-n-butylammonium iodide. When the reaction is complete, the solvent is removed and the residue is purified to yield an isocyanate of Formula Ij.
 (J. Geordeler, R. Richter, *Synthesis*, 1978, 760)

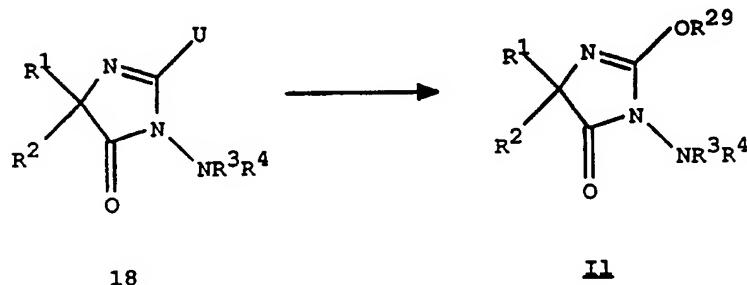
Similarly, the isothiocyanate compounds of Formula I_k can be prepared by displacement of the leaving group U with sources of isothiocyanate such as the ammonium or mercuric salts of isothiocyanate. For example, to a stirred solution of a salt of thiocyanate, such as mercuric thiocyanate, in an inert solvent, such as carbon tetrachloride, at a temperature of 0° to 100°C, a compound of Formula 18 is added. When the reaction is complete, the reaction mixture is filtered and solvent is removed to provide, after purification, a compound of Formula I_k. See, for example, G. Barnikow, W. Abraham, Z. Chem., 1970, 10, 193; J. Goerdeler et al., Ber. Deutsch. Chem. Ges., 1975, 108, 3071; G. Barnikow et al., Z. Chem., 1980, 20, 55.

SCHEME IX

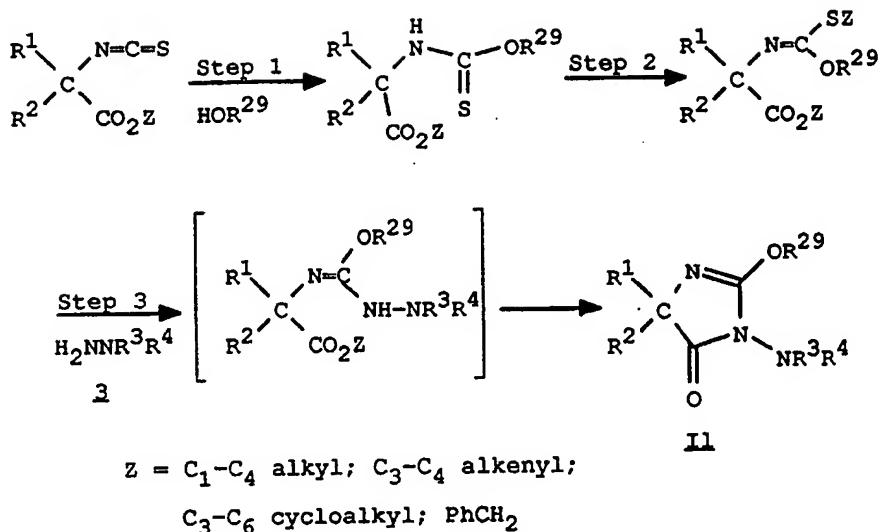
Method I



Method II



Method III



As illustrated in Method I, Scheme IX, 4(H)-imidazol-4-ones of Formula II can be prepared by reaction of compounds of Formula 17 with reactive electrophiles such as alkyl sulfates (R. Menezes, M. B. Smith, *Synth. Commun.*, 1988, 18, 1625; G. H. Rasmusson et al., *J. Med. Chem.*, 1984, 27, 1690); alkyl halides (D. Libermann et al., *C. R. Acad. Sci.*, 1953, 237, 338; S. K. Sihka et al., *Indian J. Chem. B*, 1985, 24, 1035; G. Klein et al., *Helv. Chim. Acta*, 1955, 38, 1412); alkyl sulfonates (W. Ried, E. Schmidt, *Liebigs Ann. Chem.*, 1965, 676, 114, J. A. Warshaw et al., *J. Org. Chem.*, 1989, 54, 1736); activated carbonyl compounds, such as carboxylic acid halides (R. R. Koganty, G. A. Digenis, *J. Labelled Compounds*, 1974, 10, 419; M. Miyake et al., *Synth. Commun.*, 1984, 14, 353), isocyanates (W. Logel, K-H. Pook, *Chem. Rev.*, 1986, 119, 2553); or diazoalkanes (H. Nishiyama et al., *Tetrahedron Lett.*, 1979, 4671).

In addition, compounds of Formula II can be prepared as illustrated in Method II, Scheme IX by

displacing the group U in compounds of Formula 18 with nucleophiles. For example, to a stirred solution of a salt of an alcohol, such as sodium ethoxide, in the corresponding alcohol, in this case, ethanol, or in an inert solvent, such as dimethylformamide, a compound of Formula 18 is added. The reaction is carried out at a temperture of 20° to 200°C. When the reaction is complete, the solvent is removed and the residue purified to provide a compound of Formula II.

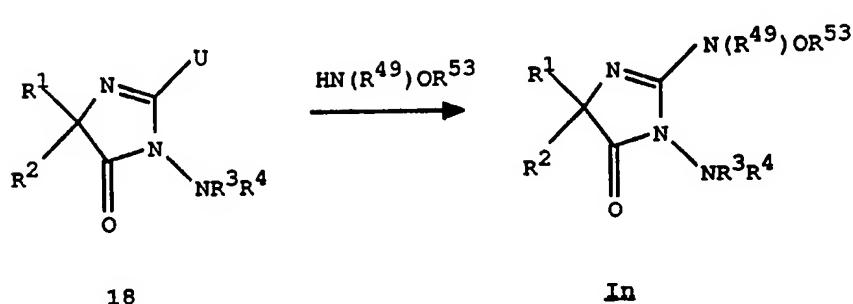
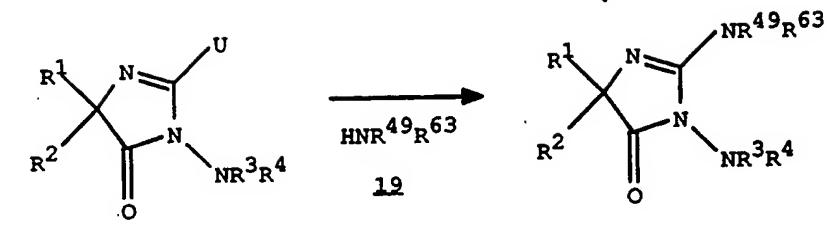
(E. C. Tayler, F. Koenzle, *J. Org. Chem.*, 1971, 36, 233; S. E. Forman et al., *J. Org. Chem.*, 1963, 28, 2653; P. A. Wade et al., *J. Org. Chem.*, 1983, 48, 1796; M. Mori, *Synthesis*, 1987, 278).

In addition, compounds of Formula II can be prepared by the methods illustrated in Method III, Scheme IX. The isocyanates of Formula 2 can be condensed with alcohols of Formula HOR²⁹ using literature procedures to form the corresponding thionocarbamates (E. Campaign, P. K. Nargund, *J. Org. Chem.*, 1964, 29, 224; G. S. Skinner, H. C. Vogt, *J. Amer. Chem. Soc.*, 1955, 77, 5440). The thiono-carbamates can be alkylated as illustrated in Step 2 using known methods (R. Gompper, *Ber. Deutsch. Chem. Ges.*, 1956, 89, 762; M. Kulka, *Can. J. Chem.*, 1982, 47, 1985). Compounds of Formula II can be prepared from treatment of the alkylated thionocarbamate with hydrazines of Formula 3 as illustrated in Step 3. In some instances, the intermediate acyclic compound can be isolated and cyclized in a separate step. Example 3 below describes this synthetic sequence in detail.

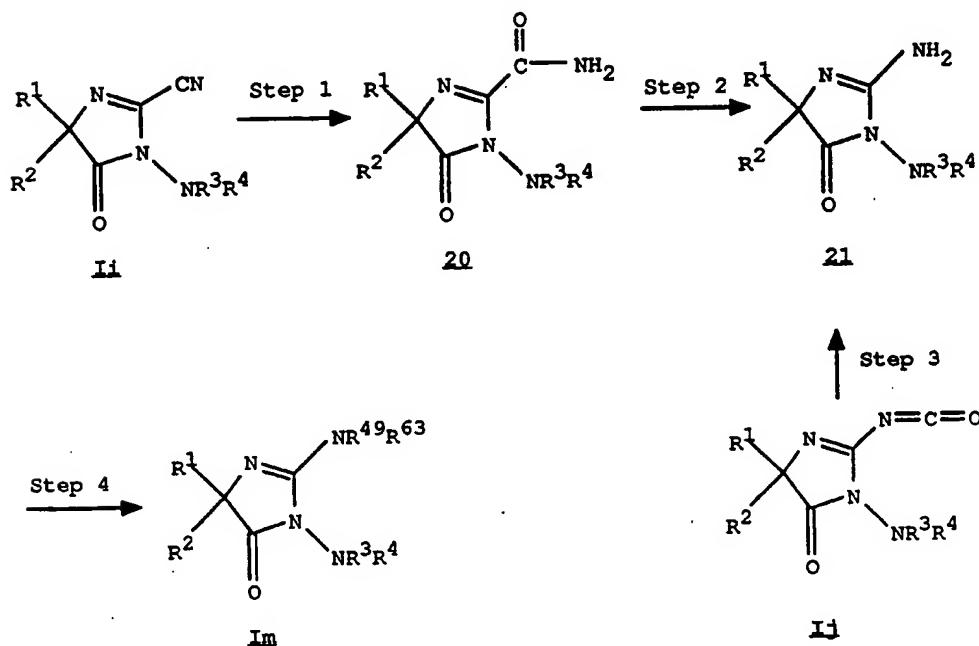
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SCHEME X

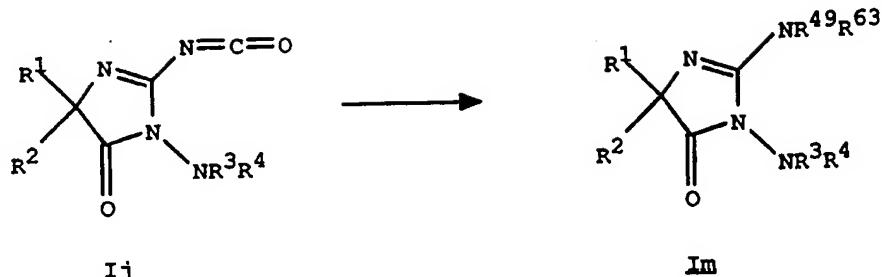
Method I



Method II



Method III



The compounds of Formula I'm can be prepared by one or more of the methods illustrated in Scheme X. One method of preparing compounds of Formula I'm involves the displacement of group U in compounds of Formula 18 with compounds containing a nitrogen bearing at least one hydrogen (Method I) such as ammonia and its salts (A. V. N. Reddy et al., *Synthesis*, 1986, 864; A. Yamazaki et al., *J. Org. Chem.*, 1967, 32, 3032, 3258; T. Ravindranathan et al., *Org. Prep. Proced. Int.*, 1986, 18, 95); primary amines of Formula 19 (M. J. S. Dewar, *J. Chem. Soc.*, 1944, 534; L. Fishbein, J. A. Gallagher, *J. Am. Chem. Soc.*, 1954, 76, 1877); and secondary amines of Formula 19 (S. R. Aspinall, E. J. Bianco, *J. Am. Chem. Soc.*, 1951, 73, 602; ibid., 1957, 79, 2199). For example, to a stirred solution of a compound of Formula 18 in an inert solvent such as chloroform, at a temperature of 0° to 150°C, an amino compound of Formula 19 is added. When the reaction is complete, solvent is removed and the residue thus obtained is purified to give a compound of Formula I'm. Compounds of Formula I'm (Method I) can be prepared in a similar manner by treating compounds of Formula 18 with hydroxylamines of the formula HN(R⁴⁹)OR⁵³ or their salts. For example, to a stirred solution of a compound of Formula 18 in an inert solvent such as tetrahydrofuran, at a temperature of 0° to 100°C, a

hydroxylamine or its salt is added, followed by a base, such as triethylamine. When the reaction is complete, the reaction mixture is poured into water and extracted with an organic solvent. The combined organic extracts are washed with water and the solvent is removed to yield, after purification, a compound of Formula I_n. (L. H. Briggs et al., *Aust. J. Chem.*, 1976, 29, 357; R. A. Long et al., *Biochim. Biophys. Acta*, 1969, 195, 584) The primary and secondary amines of Formula 19 can be prepared by literature methods [C. A. Buehler, D. E. Pearson; *Survey of Organic Synthesis*, Vol. 9, p 391, John Wiley and Sons (1977)]. The hydroxylamines of the formula $\text{HN}(\text{R}^{49})\text{OR}^{53}$ or their salts can also be prepared by literature methods [S. Sandler, W. Karo, *Org. Functional Group Preparation*, Vol. III, p 322, Academic Press (1972)].

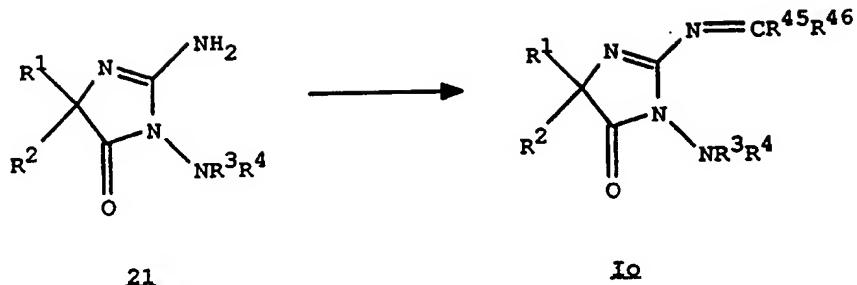
Alternatively, compounds of Formula I_m can be prepared as illustrated in Method II, Scheme X. The compounds of Formula 21 can be prepared by one or both of the procedures shown. Compounds of Formula I_i (for the preparation of I_i, see Scheme VI) can be hydrolyzed (Step 1) by literature methods to amides of Formula 20 [C. A. Buehler, D. E. Pearson, *Survey of Organic Synthesis*, Vol. 2, 813, John Wiley and Sons (1977); H. O. Larson et al., *J. Org. Chem.*, 1969, 34, 525] which in turn can be converted (Step 2) to compounds of Formula 21 by methods described in the literature (R. C. Jones, *J. Am. Chem. Soc.*, 1951, 73, 5610; J. Buchi et al., *Helv. Chim. Acta*, 1949, 32, 1806; F. J. McCarty et al., *J. Med. Chem.*, 1970, 13, 814). Another way to prepare compounds of Formula 21 is to hydrolyze (Step 3) compounds of Formula I_j using procedures known in the literature (J. Weinstock, *J. Org. Chem.*, 1961, 26, 3511; A. Hassner, C. Heathcock, *Tetrahedron*, 1964, 20, 1037). Compounds of Formula I_m can be prepared from compounds of Formula 21 by

derivatization of the amino group at the 2-position of the 4H-imidazol-4-ones of Formula 21 (Step 4) with reactions such as alkylations (A. M. Monro, *Chem. Ind.*, 1964, 1806; P. Nesbitt, P. Sykes, *J. Chem. Soc.*, 1954, 3057; P. Molina et al., *Chem. Ber.*, 1988, 121, 1495), acylations (M. Van Montagu et al., *Bull. Soc. Chim. Belg.*, 1968, 77, 171; M. S. Newman, V. Lee, *J. Org. Chem.*, 1975, 40, 381), sulfonylations (H. J. Barker, *J. Chem. Soc.*, 1943, 101; N. T. Markiewicz et al., *Nucleos. Nucleot.*, 1987, 6, 769), carbamoylations (G. Schwenker, R. Kolb, *Tetrahedron*, 1969, 25, 5437; T. L. Hough et al., *J. Heterocycl. Chem.*, 1986, 23, 1125), reactions with imidoxy chlorides (F. Findeisen, *Synthesis*, 1972, 599; O. Tsuge et al., *J. Org. Chem.*, 1974, 39, 1226), reactions with alkyl chloroformates (W. Hunt, E. C. Wagner, *J. Org. Chem.*, 1951, 16, 1792; D. R. Shridhar et al., *Indian J. Chem.*, 1980, 19, 699; M. Meldal, J. W. Kindtler, *Acta Chem. Scand. B*, 1986, 40, 235); or a combination of these reactions.

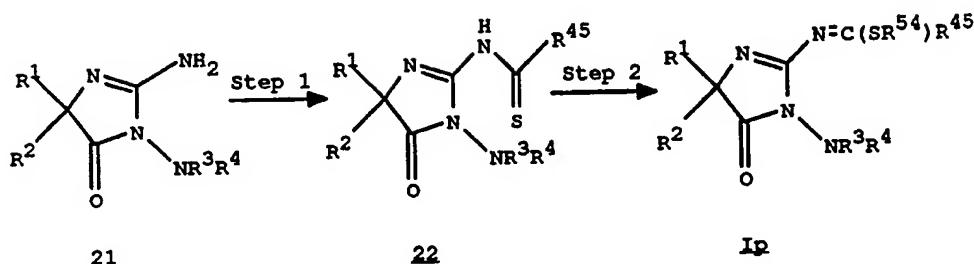
In addition, compounds of Formula I_m, wherein R⁶³ is C(=O)OR⁵³ and C(=O)NR⁵³R⁵⁶, can be prepared by literature procedures from isocyanates of Formula I_j (see Scheme VII for the preparation of I_j) by treatment with alcohols (S. R. Sandler and W. Karo, *Organic Functional Group Preparations*, Vol. II, p 223, Academic Press, Inc. (1971)) or amines (S. R. Sandler and W. Karo, *Organic Functional Group Preparations*, Vol. II, p 135, Academic Press, Inc. (1971)), respectively.

SCHEME XI

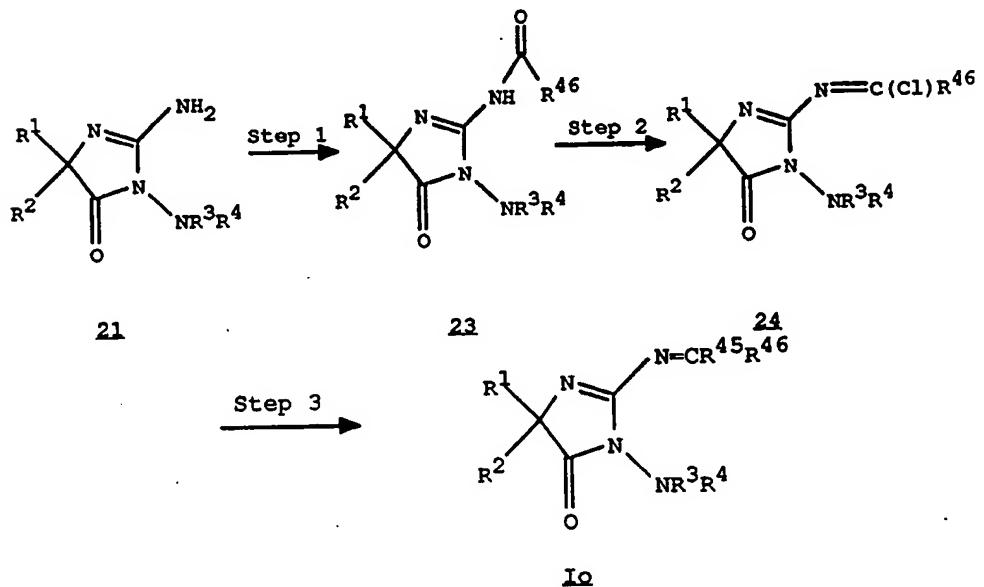
Method I



Method II



Method III



The compounds of Formula I_o can be prepared by one or more of the methods illustrated in Scheme XI. As shown in Method I, the compounds of Formula I_o can be obtained from amino compounds of Formula 21 by condensation with carbonyl compounds of formula R⁴⁵R⁴⁶C=O (H. Van Der Poel, G. Van Koten, *Synth. Commun.*, 1978, 8, 305; M. Chaykowsky et al., *J. Heterocycl. Chem.*, 1977, 14, 661), dialkyl acetals of amides of formula R⁴⁵C(OMe)₂NR⁵⁶R⁶⁴ to form compounds of Formula I_o wherein R⁴⁶=NR⁵⁶R⁶⁴ (J. J. Fitt, H. W. Gischwend, *J. Org. Chem.*, 1977, 42, 2639; J. Zemlicka et al., *Collect. Czech. Chem. Commun.*, 1966, 31, 2198), or ortho-esters of carboxylic acids of formula R⁴⁵C(OR⁶⁵)₃ to form compounds of Formula I_o wherein R⁴⁶=OR⁶⁵. For example, an amino compound of Formula 21 is stirred with an ortho-ester, with or without the use of solvent, at a temperature of 30° to 200°C. When the reaction is complete, the reaction mixture is purified to provide a compound of Formula I_o. (R. A. Crochet, Jr., C. D. Blanton, Jr., *Synthesis*, 1974, 55; F. M. F. Chen, N. L. Benoiton, *Can. J. Chem.*, 1977, 55, 1433). The carbonyl compounds, the ortho-esters, and the dialkyl acetals of amides can be prepared by literature procedures. For carbonyl compounds: C. A. Buehler, D. E. Pearson, *Survey of Organic Synthesis*, Vol. 2, pp 480, 532; John Wiley and Sons (1977); for ortho-esters: *ibid.*, p 711; for dialkyl acetals of amides: W. Kantlehner, P. Speh., *Ber. Deutsch. Chem. Ges.*, 1972, 105, 1340; G. Ege, H. O. Frey, *Tetrahedron Lett.*, 1982, 4217; S. Hanessian, E. Moralioglu, *Can. J. Chem.*, 1972, 50, 233; T. Oishi et al., *Chem. Pharm. Bull.*, 1969, 17, 2314).

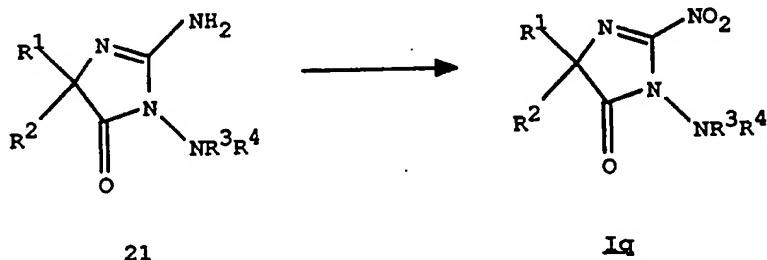
The compounds of Formula I_p can be prepared using the procedure illustrated in Method II, Scheme XI. The compounds of Formula 22 can be prepared from the amino

compounds of Formula 21 by literature methods (Step 1, G. C. Barrett, C. M. O. A. Martins, *J. Chem. Soc. Chem. Commun.*, 1972, 698; W. Walter, M. Radke, *Liebigs Ann. Chem.*, 1970, 739, 201; P. Schlack, G. Keil, *Liebigs Ann. Chem.*, 1963, 661, 164; W. Reid, W. Vond Der Emden, *Liebigs Ann. Chem.*, 1961, 642, 128). Compounds of Formula I_p can be obtained from compounds of Formula 22 by known methods. For example, to a stirred solution of a compound of Formula 22 in an inert solvent, such as dichloromethane, at a temperature of 20° to 100°C, an alkyl halide, such as iodomethane is added. When the reaction is complete, the solvent is removed to provide, after purification, a compound of Formula I_p. (Step 2, H. Nishiyama et al., *Tetrahedron Lett.*, 1979, 4405; M. Bercot-Vatteroni, *Liebigs Ann. Chem.*, 1962, 7, 312; A. A. Goldberg, W. Kelly, *J. Chem. Soc.*, 1948, 1919).

Another method for the preparation of compounds of Formula I_o is illustrated in Method III, Scheme XI. Following literature methods, the compounds of Formula 23 can be prepared from compounds of Formula 21 (Step 1). For R⁴⁶C(=O)N as amides: S. Sandler, W. Karo, *Organic Functional Group Preparations* (Second Edition), Vol. 1, p 316, Academic Press (1983); for R⁴⁶C(=O)N as carbamates: *ibid.*, (First Edition), Vol 2, p 223, Academic Press (1971); for R⁴⁶C(=O)N as ureas: *ibid.*, (First Edition), Vol. 2, p 135, Academic Press (1971); for R⁴⁶C(=O)N as thiolcarbamate: A. Hajos, *Ber. Deutsch. Chem. Ges.*, 1961, 94, 2350; G. Harris, I. C. MacWilliam, *J. Chem. Soc.*, 1961, 2053. Compounds of Formula 24 can be prepared from compounds of Formula 23 (Step 2) by literature methods (R. Appel et al., *Ber. Deutsch. Chem. Ges.*, 1974, 107, 698; K. Fijimoto et al., *Chem. Ind.*, 1971, 175; W. Reid, R. Christ, *Liebigs Ann. Chem.*, 1980, 693). The compounds of Formula I_o can be obtained from compounds

of Formula 24 according to literature methods with the use of reagents such as sulfur nucleophiles (P. Wolkoff et al., *Can. J. Chem.*, 1974, 52, 879; M. Grdinic, V. Hahn, *J. Org. Chem.*, 1965, 30, 2381; J. H. Davies et al., *J. Chem. Soc., C*, 1968, 431), nitrogen nucleophiles (I. Matsuda et al., *J. Chem. Soc., Perkin Trans. I*, 1976, 1528; S. Yanagida et al., *Bull. Chem. Soc. Jpn.*, 1971, 44, 2182; E. Gedlovska et al., *Collect. Czech. Chem. Commun.*, 1976, 41, 3085), oxygen nucleophiles (S. Knapp, D. V. Patel, *Tetrahedron Lett.*, 1982, 23, 3539; J. E. Rowe, *Synthesis*, 1980, 114; L. I. Samarai et al., *Zh. Org. Khim.*, 1987, 23, 455), or carbon nucleophiles (H. Quast et al., *Liebigs Ann. Chem.*, 1979, 83; N. G. Clark, E. Cawkill, *Tetrahedron Lett.*, 1975, 2717; W. Reid, P. Weidemann, *Ber. Deutsch. Chem. Ges.*, 1971, 104, 3329).

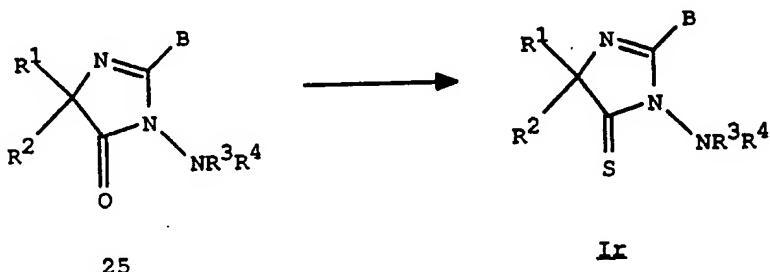
SCHEME XII



The nitro compounds of Formula Iq can be prepared from compounds of Formula 21 by oxidation methods known in the literature using oxidizing reagents such as percarboxylic acids. For example, to a solution of an oxidant, such as meta-chloroperbenzoic acid in an inert organic solvent, such as chloroform, an amino compound of Formula 21 is added. The reaction is maintained at a temperature of 20° to 100°C. When the reaction is complete, the reaction mixture is sequentially washed with sodium thiosulfate aqueous solution, sodium

bicarbonate aqueous solution, and water. The solvent is then removed to provide, after purification, a nitro compound of Formula Iq. (C. H. Robinson, L. Milewicz, P. Hoffer, *J. Org. Chem.*, 1966, 31, 524; E. Keinan, Y. Mazur, *J. Org., Chem.*, 1977, 42, 844; Y. Yost, *J. Med. Chem.*, 1969, 12, 961).

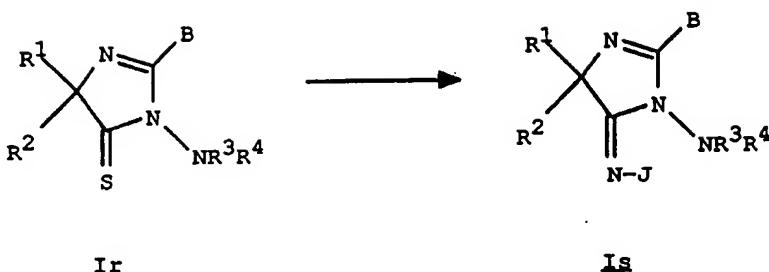
SCHEME XIII



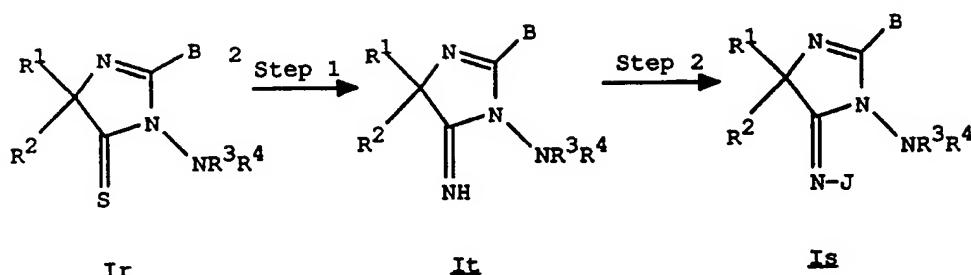
Compounds of Formula Ir can be prepared from compounds of Formula 25, as illustrated in Scheme XIII, following literature methods used to prepare thioamides and thioureas from the corresponding amides and ureas, respectively, using reagents such as sulfur, P_4S_{10} , or Lawesson's reagent. For example, to a solution of a compound of Formula 25 in an inert organic solvent such as benzene or acetonitrile, a solution of a sulfurizing reagent, such as P_4S_{10} in the same solvent is added, followed by an inorganic salt, such as sodium bicarbonate. The reaction temperature is maintained at 0° to 100°C. When the reaction is complete, an organic solvent, such as diethyl ether is added and the mixture is washed with a basic aqueous solution, such as sodium bicarbonate and then water. The solvent is removed to give, after purification, a compound of Formula Ir. (H. Alper et al., *Angew. Chem., Int. Ed. Eng.*, 1978, 17, 689; J. Perregaard et al., *Bull. Soc. Chim. Belg.*, 1977, 86, 321; J. Voss, *Liebigs Ann. Chem.*, 1971, 746, 92; T. Nishio et al., *Synthesis*, 1989, 396; J. M. Kane, *Synthesis*, 1987, 912).

SCHEME XIV

Method I



Method II



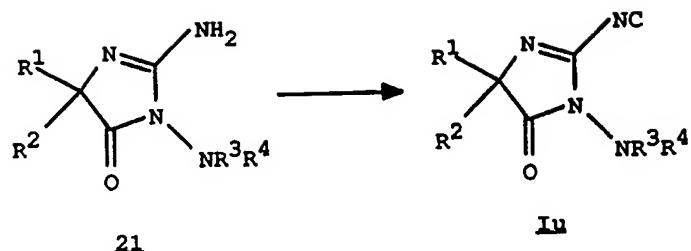
Compounds of Formula Is can be obtained by one or both of the methods illustrated in Scheme XIV. As shown in Method I, compounds of Formula Is can be obtained from compounds of Formula Ir with the use of reagents containing a NH_2 group such as a primary amine or amides (P. N. Bhargava, V. N. Choubey, *Curr. Sci.*, 1968, 37, 645; M. E. Baguley, J. A. Elvidge, *J. Chem. Soc.*, 1957, 709; F. Micheel, W. Brunkhorst, *Ber. Deutsch. Chem. Ges.*, 1955, 88, 481), or hydroxylamines (V. Cerneckij et al., *Collect. Czech. Chem. Commun.*, 1962, 27, 87; R. Hazard, *Bull. Soc. Chim. Fr.*, 1949, 228; Sanwa K. K., EP 268,245; J. D. Brion et al., *Synthesis*, 1983, 220). The amines, amides, and hydroxylamines can be prepared by literature methods. [For amines: G. A. Buehler, D. E. Pearson, *Survey of*

Organic Synthesis, Vol. 2, p 391, John Wiley and Sons (1977); for amides, ibid., p 813; for hydroxylamines (S. Sandler, W. Karo, Org. Functional Group Preparations, Vol. III, p 322, Academic Press, (1972)].

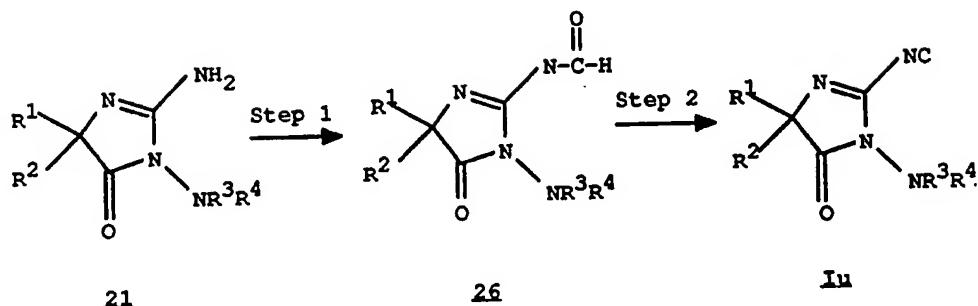
Compounds of Formula Is can also be prepared as illustrated in Method II, Scheme XIV. Compounds of Formula It can be obtained from compounds of Formula Ir by treatment with sources of ammonia. For example, to a stirred solution of a compound of Formula Ir in an inert solvent such as dichloromethane, a source of ammonia, such as ammonia gas or ammonium chloride, is added at a temperature of -20° to 50°C. When the reaction is complete, the solvent is removed to provide, after purification, a compound of Formula It. (Step 1, G. S. Skinner, H. C. Vogt, J. Am. Chem. Soc., 1955, 77, 5440; F. H. S. Curd et al., J. Chem. Soc., 1948, 586; J. S. Morley, J. C. E. Simpson, J. Chem. Soc., 1952, 2617) Compounds of Formula It can be converted to compounds of Formula Is by derivatizing the imino nitrogen. For example, an imino compound of Formula It and an electrophilic reagent such as an acyl chloride are combined and stirred in an inert organic solvent such as chloroform, at a temperature of 0° to 100°C, with or without the use of base, such as pyridine. When the reaction is complete, the reaction mixture is poured into water and extracted with an organic solvent. The solvent is removed to provide, after purification, a compound of Formula Is. (Step 2, J. P. Lokensgaard et al., J. Org. Chem., 1985, 50, 5609; R. Kupfer et al., Chem. Ber., 1985, 118, 3089; R. Allmann et al., Chem. Ber., 1986, 119, 2444; J. P. Chetia et al., Synthesis, 1985, 83; F. Matsuda et al., Tetrahedron Lett., 1982, 23, 4043)

SCHEME XV

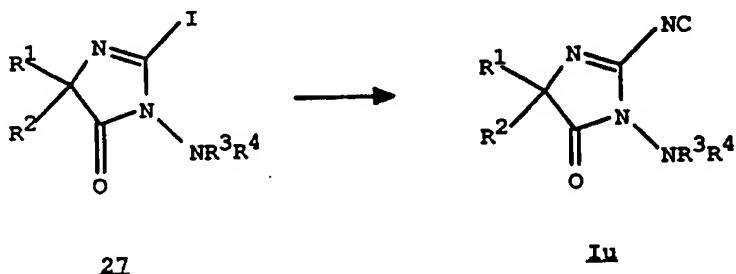
Method I



Method II



Method III



The isonitriles of Formula Iu can be prepared by one or more of the methods illustrated in Scheme XV. Thus, the amino compounds of Formula 21 can be converted to isonitriles of Formula Iu by treatment with dihalocarbenes (Method I). (L. Malatesta, Gazz. Chim., 1947, 77, 238; S. R. Sandler and W. Karo,

Organic Functional Group Preparations, (second edition) Vol. III, p 206, Academic Press, Inc. (1989)). Alternatively, compounds of Formula Iu can be prepared as illustrated in Method II. The formamides of Formula 26 prepared from amino compounds of Formula 21 (Step 1) can be converted to compounds of Formula Iu (Step 2). For example, a compound of Formula 26 and a electrophilic reagent that can serve as a dehydration reagent, such as toluenesulfonyl chloride, and a base, such as pyridine, are mixed at a temperature of 0° to 100°C, with or without the use of an inert organic solvent, such as dichloromethane. When the reaction is complete, the reaction mixture is added to water and extracted with an organic solvent. The combined organic extracts are washed with water. The solvent is removed to provide, after purification, a compound of Formula Iu. This process is well documented in the literature (I. Ugi et al., *Chem. Ber.*, 1961, 94, 2814; W. R. Hertler and E. J. Corey, *J. Org. Chem.*, 1958, 23, 1221; R. Obrecht et al., *Synthesis*, 1985, 400). In addition, compounds of Formula Iu can be prepared from iodo compounds of Formula 27 (Method III) by literature methods with the use of heavy metal cyanides (S. R. Sandler and W. Karo, Organic Functional Group Preparations (second edition), Vol. III, p 206, Academic Press, Inc.; E. G. J. Hartley, *J. Chem. Soc.*, 1916, 109, 1926). The preparation of the iodo compounds of Formula 17 is described in Scheme V.

EXAMPLE 1

Preparation of 3,5-dihydro-5-methyl-2-methylthio-5-phenyl-3-(phenylamino)-4H-imidazol-4-one

To a solution of 5-methyl-5-phenyl-3-phenylamino-2-thioxo-4-imidazolidinone (0.1 g, 0.34 mmol) in dichloromethane (10 mL), iodomethane (0.25 g, 1.75 mmol) and triethylamine (0.18 mL, 1.8 mmol) were added. The mixture was stirred for 48 h, poured into

water (10 mL), and extracted with ethyl acetate (three times with 25 mL). The organic extracts were combined, washed with water, dried ($MgSO_4$), and filtered, and the solvent was removed in vacuo to give the title compound as a slightly yellow solid, (95 mg, 90%), m.p. 132-134°C; 1H NMR ($CDCl_3$): δ 1.77 (s, 3H), 2.61 (s, 3H), 6.15 (s, 1H), 6.70-7.62 (m, 10H)

EXAMPLE 2

Preparation of 3,5-dihydro-5-methyl-5-phenyl-3-(phenylamino)-4H-imidazol-4-one

To a solution of 5-methyl-5-phenyl-2-phenylamino-2-thioxo-4-imidazolidinone (0.2 g, 0.6 mmol) in acetone (6 mL), a solution of Oxone® (49.5% $KHSO_5$) (0.6 g, 4 mmol in 3.5 mL H_2O) was added. The reaction mixture was stirred vigorously for 4 min. Ethyl acetate (100 mL) and water (20 mL) were added. The mixture was neutralized with aqueous sodium bicarbonate solution. The organic layer was separated, dried ($MgSO_4$) and filtered, and the solvent was removed in vacuo to give an oil which was purified on a silica gel column eluting with ethyl acetate/hexane (1:1) to afford the title compound (0.13 g, 80%), as a white solid, m.p. 120-121°C; IR ($CHCl_3$): 1733 cm^{-1} ; 1H NMR ($CDCl_3$): δ 1.77 (s, 3H), 6.20 (s, 1H), 6.67-7.62 (m, 10H), 7.92 (s, 1H).

EXAMPLE 3

Preparation of 3,5-dihydro-2-methoxy-5-methyl-5-phenyl-3-(phenylamino)-4H-imidazol-4-one

To a solution of ethyl α -[(methoxythioxomethyl)-amino]- α -methyl-benzeneacetate (0.2 g, 0.75 mmol) in dichloromethane (1 mL) was added iodomethane (0.5 g, 3.5 mmol) followed by the dropwise addition of 1,8-diazabicyclo[5.4.0]undec-7-ene (0.25 g, 1.6 mmol). The reaction mixture was stirred for 10 minutes, poured into water (10 mL), and extracted with ethyl acetate (3 times with 10 mL). The organic extracts were

combined, washed with water, dried ($MgSO_4$), and filtered. The solvent was removed in vacuo to give 0.2 g of ethyl α -[[methoxy-(methylthio)methylene]-amino]- α -methylbenzeneacetate (95% yield) as an oil. 1H NMR ($CDCl_3$): δ 1.25 (t, $J=7$ Hz, 3H), 1.80 (s, 3H), 2.50 (s, 3H), 4.98 (s, 3H), 4.15 (q, $J=7$ Hz, 2H), 7.35-7.90 (m, 5H).

To a solution of ethyl α -[[methoxy(methylthio)-methylene]amino]- α -methylbenzeneacetate (0.2 g, 0.71 mmol) in glacial acetic acid (1 mL) was added anhydrous phenylhydrazine (0.1 g, 0.93 mmol). The reaction mixture was stirred for 15 minutes, poured into aqueous sodium carbonate, and extracted with ethyl acetate. The ethyl acetate extracts were combined, washed with water, dried ($MgSO_4$), and filtered. The solvent was removed in vacuo to afford an oil which was purified by silica gel chromatography (ethyl acetate:hexanes = 1:2) to give two fractions. Fraction 1 was ethyl α -[[methoxy(2-phenyl-hydrazino)methylene]-amino- α -methylbenzeneacetate ($R_f=0.33$, 40 mg, 16% yield). 1H NMR ($CDCl_3$): δ 1.20 (t, $J=6.7$ Hz, 3H), 2.00 (s, 3H), 3.75 (s, 3H), 4.20 (q, $J=6.7$ Hz, 2H), 5.50 (bs, 1H), 6.75 (bs, 1H), 6.90-7.70 (m, 10H). Fraction 2 was the title compound ($R_f=0.07$, 10 mg, 4.5% yield). m.p. 110-111°C; 1H NMR ($CDCl_3$): δ 1.78 (s, 3H), 4.13 (s, 3H), 6.01 (s, 1H), 6.69-7.67 (m, 10H).

Ethyl α -[[methoxy(2-phenylhydrazino)methylene]-amino- α -methylbenzeneacetate was converted into the title compound by heating it for 30 seconds in a round-bottomed flask with a hot-air gun. The compound was purified by silica gel chromatography (ethyl acetate:hexane = 1:2). An additional 23 mg (3.3% yield) was obtained.

EXAMPLE 4Preparation of 3,5-dihydro-5-methyl-2-methylthio-5-heptyl-3-(phenylamino)-4H-imidazol-4-one

To ethyl 2-[[bis(methylthio)methylene]amino]-2-methylnonanoate (4 g, 12.54 mmol) in acetic acid (100 mL) was added phenylhydrazine (1.6 g, 14.8 mmol) and the mixture was stirred for 5 h. The reaction mixture was then slowly poured into enough aqueous sodium carbonate to neutralize the acetic acid. The aqueous mixture was extracted with ethyl acetate. The ethyl acetate extracts were combined, washed with water, dried ($MgSO_4$), and filtered. The solvent was removed in vacuo to give an oil which was purified by silica gel chromatography (ethyl acetate:hexane = 1:2). The title compound (1.35 g, 32%) was obtained. m.p. 108-110°C, 1H NMR ($CDCl_3$): δ 0.86 (t, $J=2.4$ Hz, 3H), 1.05-1.38 (m, 10H), 1.39 (s, 3H), 1.62 (m, 2H), 2.51 (s, 3H), 6.16 (s, 1H), 6.78-7.25 (m, 5H).

EXAMPLE 5Preparation of 3,5-dihydro-2,5-dimethyl-5-phenyl-3-(phenylamino)-4H-imidazol-4-one

To ethyl α -(acetylamino)- α -methylbenzeneacetate (0.2 g, 0.85 mmol) in carbon tetrachloride (10 mL) was added phosphorus pentachloride (0.26 g, 1.27 mmol). The mixture was stirred and heated at reflux for 5 minutes. The solvent was removed in vacuo. The residue was redissolved in dichloromethane (2 mL) and added dropwise to a solution of phenylhydrazine (0.34 g, 3.15 mmol) in dichloromethane (10 mL). The reaction solution was stirred at room temperature for 24 h, poured into water, and extracted with ethyl acetate. The ethyl acetate extracts were combined, washed with water, dried ($MgSO_4$), and filtered. The solvent was then removed in vacuo to afford a solid which was recrystallized from 1-chlorobutane to give the title compound (0.15 g, 63.2%). m.p. 110-112°C, 1H

NMR (CDCl_3): δ 1.63 (s, 3H), 2.16 (s, 3H), 6.58-7.50 (m, 10H), 8.69 (s, 1H).

EXAMPLE 6

Preparation of 3,5-dihydro-2-methoxy-5-methyl-3-(methyl-phenylamino)-5-phenyl-4H-imidazol-4-one
5-Methyl-5-phenyl-3-(phenylamino)-2,4-imidazolidine-dione (2.5 g, 8.88 mmol), iodomethane (14 mL), and silver oxide (2.6 g, 12.59 mmol) were combined and stirred at room temperature for 2 h. The reaction mixture was filtered, and the solvent was removed in vacuo. The residue obtained was stirred with ethyl acetate. The solid which did not dissolve was filtered off and further washed with ethyl acetate. The ethyl acetate filtrate and washes were combined and evaporated in vacuo to give a residue which was purified by silica gel chromatography (ethyl acetate:hexane = 1:2) to afford the title compound (0.2 g, 7.6% yield). m.p. 183-185°C; ^1H NMR (CDCl_3): δ 1.72 (s, 3H), 3.20 (s, 3H), 4.02 (s, 3H), 6.50-7.80 (m, 10H).

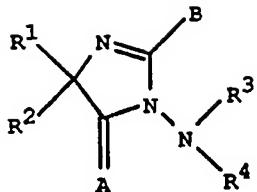
Additional compounds were prepared using the procedures exemplified above in Examples 1-6, and are listed in Index Table A hereinafter.

The compounds referred to in the Tables which follow are illustrated below. The groups R^1 - R^{69} and A, B, E, V, G, J, n, Q, T, and W are as defined in Formula I in the Summary of the Invention. Additional variables are used in the compounds and Tables and are:

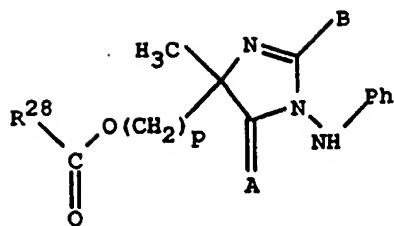
m, which designates the size of a portion of some substituted R^2 alkyl groups, is 0-18;

p, which designates the size of a portion of other substituted R^2 alkyl groups, is 1-19;

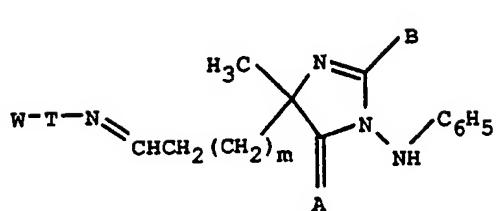
q and r designate the length of some alkoxyalkyl R^2 groups. The sum of q and r is 2-20.



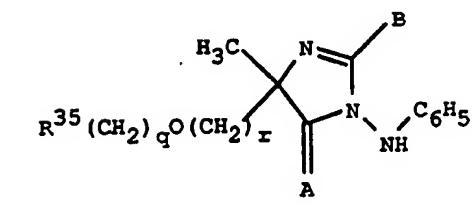
I



IV



IVw



IVx

The following abbreviations are used in the Tables which follow. All alkyl groups are the normal isomers unless indicated otherwise.

Me = methyl

MeO = methoxy

t = tertiary

Et = ethyl

EtO = ethoxy

s = secondary

Ph = phenyl

EtS = ethylthio

i = iso

Bzl = benzyl

MeS = methylthio

CN = cyano

PhCH₂ = benzyl

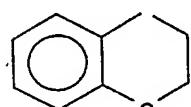
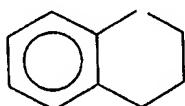
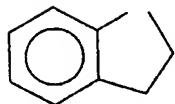
PhS = phenylthio

c = cyclo

TABLE 1

Compounds of Formula I wherein A=O, B=MeS, and:

R^1	R^2	R^3	R^4
Me	2, 4-diF-Ph	Ph	H
Et	2, 4-diF-Ph	Ph	H
n-butyl	2, 4-diF-Ph	Ph	H
CF ₃	2, 4-diF-Ph	Ph	H
cyclopropyl	2, 4-diF-Ph	Ph	H
vinyl	2, 4-diF-Ph	Ph	H
allyl	2, 4-diF-Ph	Ph	H
CO ₂ CH ₃	2, 4-diF-Ph	Ph	H
PhCH ₂	2, 4-diF-Ph	Ph	H
4'-F-Bzl	2, 4-diF-Ph	Ph	H
3'-Me-Bzl	2, 4-diF-Ph	Ph	H
4'-CF ₃ -Bzl	2, 4-diF-Ph	Ph	H



Me	2, 4-diF-Ph	PhCH ₂	H
Me	2, 4-diF-Ph	4-F-Ph	H
Me	2, 4-diF-Ph	3-F-Ph	H
Me	2, 4-diF-Ph	3-Cl-Ph	H
Me	2, 4-diF-Ph	3, 4-diF-Ph	H
Me	2, 4-diF-Ph	2-Me-Ph	H
Me	2, 4-diF-Ph	4-Me-Ph	H

R ¹	R ²	R ³	R ⁴
Me	2, 4-diF-Ph	4-NO ₂ -Ph	H
Me	2, 4-diF-Ph	2-cyano-Ph	H
Me	2, 4-diF-Ph	3-CF ₃ -Ph	H
Me	2, 4-diF-Ph	3-MeO-Ph	H
Me	2, 4-diF-Ph	4-CF ₃ O-Ph	H
Me	2, 4-diF-Ph	2-Me-3-Cl-Ph	H
Me	2, 4-diF-Ph	2-Me-3-F-Ph	H
Me	2, 4-diF-Ph	2-F-3-Cl-Ph	H
Me	2, 4-diF-Ph	2, 4-diMe-Ph	H
Me	2, 4-diF-Ph	2-pyridyl	H
Me	2, 4-diF-Ph	4-Cl-2-pyridyl	H
Me	2, 4-diF-Ph	Ph	Me

TABLE 2
Compounds of Formula I wherein R¹=Me, R³=Ph,
R⁴=H, A=O, B=MeS, and:

R ²	R ²
heptyl	6-(4-pyridyloxy)hexyl
octyl	3-(2, 6-diCl-4-pyridyloxy)-propyl
decyl	3-(2-thienyl)propyl
3-Me-hexyl	7-(4-MeO-2-pyrimidinyl)heptyl
9, 9, 9-triF-nonyl	(5-Et-2-furanyl)(CH ₂) ₄
4-(3-CF ₃ -Ph)Bu	3-(1-naphthalenyl)propyl
4-(4-Cl-Ph)Bu	5-(2-pyrimidinyloxy)pentyl
5-(4-Et-Ph)pentyl	2-octenyl
6-(4-(4-F-PhO)Ph)hexyl	3-EtS-2-propenyl
2-MeS-hexyl	4-c-hexyloxy-2-but enyl
EtOC(=O)(CH ₂) ₄	5-EtO-2-pentenyl
3-(4-F-PhSO ₃)propyl	4-PhO-2-but enyl
MeC(=O)NMe(CH ₂) ₄	(Z)-(4-PhO-2-but enyl)
3-(PhSO ₂)propyl	7-octynyl
(2, 6-diMe-PhSO ₂)(CH ₂) ₄	2-EtO-6-heptyn yl
Me ₂ N(CH ₂) ₆	3-c-hexenyl
8-(2, 6-diMe-4-pyridyl)octyl	

R^2	R^2
$\text{PhOCH}_2\text{CH}_2\text{CH}_2$	4-(cyclohexyl)Ph
$\text{PhO}(\text{CH}_2)_4$	4-CF ₃ -Ph
$\text{PhO}(\text{CH}_2)_5$	4-MeS-Ph
(3-CF ₃ -PhO) (CH ₂) ₃	3-MeO-Ph
(2-F-PhO) (CH ₂) ₃	4-(pentyloxy)Ph
(2-Me-PhO) (CH ₂) ₃	4-CF ₃ O-Ph
(4-(4-F-PhO) PhO) (CH ₂) ₃	4-(cyclohexyloxy)Ph
$\text{PhS}(\text{CH}_2)_3$	4-(BuOCH ₂)Ph
(2, 4-diF-PhS) (CH ₂) ₅	4-MeOCH ₂ -Ph
$\text{FCH}_2\text{CH}_2\text{O}(\text{CH}_2)_6$	4-(2-propenyl)Ph
(c-hexyloxy) (CH ₂) ₆	4-(3-pentenyl)Ph
(CH ₂ =CHCH ₂ O) (CH ₂) ₇	4-(Cl ₂ C=CHCH ₂)Ph
$\text{MeC}(=\text{NOMe})\text{CH}_2\text{CH}_2\text{CH}_2$	4-(2-propenyloxy)Ph
$\text{MeC}(=\text{NNHPh})\text{CH}_2\text{CH}_2\text{CH}_2$	4-(2-hexenyloxy)Ph
hexyl	4-(propargyl)Ph
cyclohexyl	4-(2-butynyloxy)Ph
3-hexenyl	4-BuSO ₂ -Ph
4-F-Ph	4-PhO-Ph
4-Cl-Ph	4-(4-Cl-PhO)Ph
3-Cl-Ph	4-(2, 4-diF-PhO)Ph
3-F-Ph	4-(4-NO ₂ -PhO)Ph
4-Br-Ph	4-(4-Me-PhO)Ph
3, 4-diCl-Ph	4-(3-CF ₃ -PhO)Ph
2, 3-diF-Ph	4-(4-MeS-PhO)Ph
Ph	4-(3-MeO-PhO)Ph
2, 5-diF-Ph	4-(4-CF ₃ O-PhO)Ph
3, 5-diF-Ph	4-PhCH=CH-Ph
2, 6-diF-Ph	4-(2-F-Ph)CH=CH-Ph
3, 4-diF-Ph	4-(2, 4-diF-Ph)CH=CH-Ph
5-Cl-2-F-Ph	4-(3-Me-Ph)CH=CH-Ph
2-F-5-Me-Ph	4-(4-CF ₃ -Ph)CH=CH-Ph
2-F-Ph	4-PhCH ₂ CH ₂ -Ph
4-Me-Ph	4-(3-Cl-Ph)CH ₂ CH ₂ -Ph
4-NO ₂ -Ph	4-(3-Me-Ph)CH ₂ CH ₂ -Ph
4-hexyl-Ph	4-(2-MeS-Ph)CH ₂ CH ₂ -Ph

R^2

4-(4-MeO-Ph)CH₂CH₂-Ph
 4-PhOCH₂-Ph
 4-(3-Br-Ph)OCH₂-Ph
 4-(2-F-Ph)OCH₂-Ph
 4-(4-CF₃-Ph)OCH₂-Ph
 4-PhCH₂-Ph
 4-(2-F-Ph)CH₂-Ph
 4-(3-Cl-Ph)CH₂-Ph
 4-(2, 4-diF-Ph)CH₂-Ph
 4-(4-NO₂-Ph)CH₂-Ph
 4-(3-Me-Ph)CH₂-Ph
 4-PhS-Ph
 4-(3-Cl-Ph)S-Ph
 4-(2, 4-diF-Ph)S-Ph
 4-(3-Me-Ph)S-Ph
 4-(4-CF₃-Ph)S-Ph
 4-Ph-Ph
 4-(3-Cl-Ph)Ph
 4-(2, 4-diF-Ph)Ph
 4-(2-MeS-Ph)Ph
 4-(4-MeO-Ph)Ph
 4-PhCH₂O-Ph
 4-(3-Br-Ph)CH₂O-Ph
 4-(2-F-Ph)CH₂O-Ph
 4-(3-Cl-Ph)CH₂O-Ph
 4-(2, 4-diF-Ph)CH₂O-Ph
 4-(4-NO₂-Ph)CH₂O-Ph
 4-(3-Me-Ph)CH₂O-Ph
 4-(4-CF₃-Ph)CH₂O-Ph
 4-(4-MeO-Ph)CH₂O-Ph
 4-(4-CN-Ph)CH₂O-Ph
 4-(3-PhO-Ph)CH₂O-Ph
 4-(2-F-4-MeO-Ph)CH₂O-Ph
 3-(2-CF₃-4-Et-Ph)CH₂O-Ph
 4-[2-F-Ph]NHC(=O)O]Ph

 R^2

4-[(3-Me-Ph) NHC(=O) O] Ph
 4-[(3-pyridyl) NHC(=O) O] Ph
 4-[MeO-C(=O)] Ph
 4-[PhO-C(=O)] Ph
 4-[2-F-PhO-C(=O)] Ph
 4-[2, 4-diF-PhO-C(=O)] Ph
 4-[3-Me-PhO-C(=O)] Ph
 4-[4-MeO-PhO-C(=O)] Ph
 4-[(3-pyridyloxy) C(=O)] Ph
 4-[(2-F-3-pyridyloxy) C(=O)] Ph
 4-[(3-Me-2-pyridyloxy) C(=O)] Ph
 4-[MeC(=O)O]Ph
 4-[PhC(=O)O]Ph
 4-[2-F-PhC(=O)O]Ph
 4-[2, 4-diF-PhC(=O)O]Ph
 4-[3-Me-PhC(=O)O]Ph
 4-[4-CF₃-PhC(=O)O]Ph
 4-[4-MeO-PhC(=O)O]Ph
 4-[3-PhO-PhC(=O)O]Ph
 4-[(3-pyridyl) C(=O)O] Ph
 4-[(3-Cl-4-pyridyl) C(=O)O] Ph
 2-F-4-PhS-Ph
 4-F-3-thienyl
 4-Cl-2-thienyl
 4-Br-2-thienyl
 3, 4-diCl-2-thienyl
 2, 4-diF-3-thienyl
 2-thienyl
 3-thienyl
 2, 5-diF-3-thienyl
 3, 4-diF-2-thienyl
 5-Cl-2-F-3-thienyl
 2-F-5-Me-3-thienyl
 2, 5-diF-4-Me-3-thienyl
 2-F-3-thienyl

R^2	R^2
4-Me-2-thienyl	5-PhCH ₂ O-3-thienyl
4-NO ₂ -2-thienyl	5-(2-F-Ph)CH ₂ O-3-thienyl
4-MeS-2-thienyl	5-(2, 4-diF-Ph)CH ₂ O-3-thienyl
5-MeO-3-thienyl	5-(3-Me-Ph)CH ₂ O-3-thienyl
4-CF ₃ O-2-thienyl	5-(3-PhO-Ph)CH ₂ O-3-thienyl
4-MeOCH ₂ -2-thienyl	4-[MeNHC(=O)O]-2-thienyl
4-(2-propenylloxy)-2-thienyl	5-[PhNHC(=O)O]-3-thienyl
5-PhO-3-thienyl	5-[(3-Cl-Ph)NHC(=O)O]-3-thienyl
4-(3-Cl-PhO)-2-thienyl	5-[(2, 4-diF-Ph)NHC(=O)O]-3-thienyl
5-(2, 4-diF-PhO)-3-thienyl	4-[(3-Me-Ph)NHC(=O)O]-2-thienyl
5-(4-Me-PhO)-3-thienyl	4-[(3-PhO-Ph)NHC(=O)O]-2-thienyl
4-(3-CF ₃ -PhO)-2-thienyl	5-[(3-pyridyl)NHC(=O)O]-3-thienyl
4-(4-MeS-PhO)-2-thienyl	5-[(3-Cl-4-pyridyl)NHC(=O)O]-3-thienyl
5-(3-MeO-PhO)-3-thienyl	4-[MeO-C(=O)]-2-thienyl
4-PhCH=CH-2-thienyl	5-[PhO-C(=O)]-3-thienyl
4-(3-Cl-Ph)CH=CH-2-thienyl	5-[3-Br-PhO-C(=O)]-3-thienyl
5-(2, 4-diF-Ph)CH=CH-3-thienyl	5-[2, 4-diF-PhO-C(=O)]-3-thienyl
5-(3-Me-Ph)CH=CH-3-thienyl	5-[4-CN-PhO-C(=O)]-3-thienyl
5-PhCH ₂ CH ₂ -3-thienyl	5-[3-PhO-PhO-C(=O)]-3-thienyl
4-(3-Cl-Ph)CH ₂ CH ₂ -2-thienyl	5-[(3-pyridyloxy)C(=O)]-3-thienyl
4-(2, 4-diF-Ph)CH ₂ CH ₂ -2-thienyl	5-[(2-F-3-pyridyloxy)C(=O)]-3-thienyl
5-(3-Me-Ph)CH ₂ CH ₂ -3-thienyl	5-[(3-Me-2-pyridyloxy)C(=O)]-3-thienyl
4-PhOCH ₂ -2-thienyl	4-[(4-CN-2-pyridyloxy)C(=O)]-2-thienyl
5-(2-F-Ph)OCH ₂ -3-thienyl	4-[MeC(=O)O]-2-thienyl
4-(3-Cl-Ph)OCH ₂ -2-thienyl	
5-PhCH ₂ -3-thienyl	
5-(3-Br-Ph)CH ₂ -3-thienyl	
4-(2, 4-diF-Ph)CH ₂ -2-thienyl	
5-(3-Me-Ph)CH ₂ -3-thienyl	
4-(4-CF ₃ -Ph)CH ₂ -2-thienyl	
5-PhS-3-thienyl	
4-(3-Cl-Ph)S-2-thienyl	
5-(3-Me-Ph)S-3-thienyl	
4-Ph-2-thienyl	
5-(3-Cl-Ph)-3-thienyl	

R^2

5-[PhC(=O)O]-3-thienyl
 5-[2-F-PhC(=O)O]-3-thienyl
 4-[2,4-diF-PhC(=O)O]-2-thienyl
 4-[3-PhO-PhC(=O)O]-2-thienyl
 5-[(3-pyridyl)C(=O)O]-3-thienyl
 4-[(2-F-3-pyridyl)C(=O)O]-2-thienyl
 5-[(4-NO₂-2-pyridyl)C(=O)O]-3-thienyl
 5-[(3-PhO-2-pyridyl)C(=O)O]-3-thienyl
 3-F-4-PhCH₂CH₂-2-thienyl
 2-F-5-PhCH₂O-3-thienyl
 4-F-3-pyridyl
 4-Cl-3-pyridyl
 3-F-2-pyridyl
 2,3-diF-4-pyridyl
 2-pyridyl
 3-pyridyl
 4-pyridyl
 2,5-diF-3-pyridyl
 3,5-diF-4-pyridyl
 2,6-diF-3-pyridyl
 3,4-diF-2-pyridyl
 5-Cl-2-F-3-pyridyl
 2-F-5-Me-3-pyridyl
 2-F-4-pyridyl
 4-Me-2-pyridyl
 4-NO₂-2-pyridyl
 5-MeOCH₂-2-pyridyl
 5-(3-pentenyl)-2-pyridyl
 4-(Cl₂C=CHCH₂)-2-pyridyl
 4-(2-propenylloxy)-2-pyridyl
 6-PhO-3-pyridyl

 R^2

6-(4-Cl-PhO)-3-pyridyl
 6-(3-Cl-PhO)-3-pyridyl
 5-(2,4-diF-PhO)-2-pyridyl
 2-(4-CN-PhO)-4-pyridyl
 5-(4-Me-PhO)-2-pyridyl
 5-(4-allyl-PhO)-3-pyridyl
 6-((3-PhO)PhO)-3-pyridyl
 6-PhCH=CH-3-pyridyl
 5-(2-F-Ph)CH=CH-2-pyridyl
 4-(3-Me-Ph)CH=CH-2-pyridyl
 6-(4-CF₃-Ph)CH=CH-3-pyridyl
 6-PhCH₂CH₂-3-pyridyl
 2-(3-Cl-Ph)CH₂CH₂-4-pyridyl
 5-(2,4-diF-Ph)CH₂CH₂-3-pyridyl
 4-(3-Me-Ph)CH₂CH₂-2-pyridyl
 6-PhOCH₂-3-pyridyl
 5-(2-F-Ph)OCH₂-2-pyridyl
 5-(2,4-diF-Ph)OCH₂-3-pyridyl
 4-(3-Me-Ph)OCH₂-2-pyridyl
 6-PhCH₂-3-pyridyl
 6-(3-Br-Ph)CH₂-3-pyridyl
 6-PhS-3-pyridyl
 2-(3-Cl-Ph)S-4-pyridyl
 5-(2,4-diF-Ph)S-3-pyridyl
 4-(3-Me-Ph)S-2-pyridyl
 6-Ph-3-pyridyl
 4-(3-Me-Ph)-2-pyridyl
 5-(4-MeO-Ph)-2-pyridyl
 6-PhCH₂O-3-pyridyl
 6-(2-F-Ph)CH₂O-3-pyridyl
 2-(2,4-diF-Ph)CH₂O-4-pyridyl
 6-(4-NO₂-Ph)CH₂O-3-pyridyl
 6-(3-Me-Ph)CH₂O-3-pyridyl
 6-(4-BuO-Ph)CH₂O-3-pyridyl

R^2

5-[3-(4-Me-PhO)Ph]CH₂O-2-pyridyl
 6-[3-(3-CF₃-PhO)Ph]CH₂O-3-pyridyl
 6-[4-(4-MeO-PhO)Ph]CH₂O-3-pyridyl
 6-[(3-pyridyl)NHC(=O)O]-3-pyridyl
 6-[(3-pyridyloxy)C(=O)]-3-pyridyl
 6-[(2-F-3-pyridyloxy)C(=O)]-3-pyridyl
 2-[(4-CF₃-3-pyridyloxy)C(=O)]-4-pyridyl
 6-[(3-pyridyl)C(=O)O]-3-pyridyl
 6-[(2-F-3-pyridyl)C(=O)O]-3-pyridyl
 5-[(4-MeO-3-pyridyl)C(=O)O]-2-pyridyl
 5-[(3-PhO-2-pyridyl)C(=O)O]-2-pyridyl
 2-F-6-[octyl-NHC(=O)O]-3-pyridyl
 5-[PhNHC(=O)O]-3-pyridyl

 R^2

6-[(2,4-diF-Ph)NHC(=O)O]-3-pyridyl
 2-F-6-[(3-PhO-Ph)NHC(=O)O]-3-pyridyl
 5-[MeOC(=O)O]-2-pyridyl
 5-[PhOC(=O)O]-3-pyridyl
 5-[(2-F-PhO)C(=O)O]-3-pyridyl
 4-[(4-BuO-PhO)C(=O)O]-2-pyridyl
 5-[MeC(=O)O]-2-pyridyl
 5-[PhC(=O)O]-3-pyridyl
 5-[(2-F-Ph)C(=O)O]-3-pyridyl
 6-[(2,4-diF-Ph)C(=O)O]-3-pyridyl
 5-[(3-Bu-Ph)C(=O)O]-2-pyridyl
 6-[(4-CN-Ph)C(=O)O]-3-pyridyl
 2-furanyl
 3-furanyl
 2-F-3-furanyl
 3,4-diF-2-furanyl
 4-Me-2-furanyl
 5-Bu-3-furanyl
 4-CF₃-2-furanyl
 5-MeO-3-furanyl
 4-BuO-2-furanyl

TABLE 3

Compounds of Formula Iv wherein A=O, B=MeS, and:

<u>p</u>	<u>R</u> ²⁸	<u>p</u>	<u>R</u> ²⁸
5	Me	6	4-F-PhO
6	Ph	8	4-Et-PhO
8	4-CF ₃ -Ph	2	4-EtO-PhO
5	2, 4-diCl-Ph	1	4-(4-F-PhO) PhO
1	4-F-Ph	2	4-(3-Et-PhO) PhO
2	4-Et-Ph	3	MeNH
3	3-EtO-Ph	4	Me ₂ N
4	4-(4-F-PhO) Ph	1	PhNH
3	4-(3-Et-PhO) Ph	5	PhN(Me)
5	3-(4-CF ₃ -PhO) Ph	6	4-CF ₃ -PhNH
8	MeO	2	3-F ₃ CO-PhNH
6	PhO	3	2, 4-diCl-PhNH
2	4-F ₃ CO-PhO	8	4-Et-PhNH
4	3, 5-diCl-PhO		

TABLE 4

Compounds of Formula Iw wherein A=O, B=MeS, and:

<u>m</u>	<u>T</u>	<u>W</u>	<u>m</u>	<u>T</u>	<u>W</u>
1	O	H	2	O	NHMeC(=O)
4	O	Et	5	O	NHPhC(=O)
2	O	Bzl	4	NH	Me
3	O	4-Cl-Bzl	1	NH	Bzl
5	O	Ph	8	NH	Ph
1	O	2, 6-diF-Ph	3	NH	3, 5-diF-Ph
6	O	4-F-Ph	2	NH	4-pyridyl
8	O	4-pyridyl	1	NH	EtC(=O)
4	O	MeC(=O)	4	NH	PhC(=O)
2	O	PhC(=O)	6	NH	MeOC(=O)
1	O	3, 5-diF-PhC(=O)	3	NH	PhOC(=O)
3	O	3, 5-MeO-PhC(=O)	1	NH	(3-pyridyl)OC(=O)
6	O	4-pyridyl-C(=O)	1	NH	MeNHC(=O)
4	O	BuOC(=O)	2	N-Me	Me

m	T	W	m	T	W
2	O	PhOC(=O)	5	---	H
3	O	(4-EtO-Ph)OC(=O)	1	---	Me
6	O	(4-pyridyl)OC(=O)	2	---	Ph
3	N-Me	Ph			

TABLE 5

Compounds of Formula Ix wherein A=O, B=MeS, and:

I	q	R ³⁵	I	q	R ³⁵
2	1	MeS	2	1	c-hexyl
3	1	FCH ₂ CH ₂ O	1	2	c-pentyl
1	1	EtOC(=O)	1	2	EtO
3	1	MeC(=O)O	1	1	Ph
2	2	PhOC(=O)O	2	2	PhO
1	3	MeNHC(=O)O	2	6	H
2	1	PhNH	2	8	H
2	3	(MeO) ₂ P(=O)O	1	7	EtS
1	2	MeSO ₃	3	5	CF ₃ O
3	2	4-pyridyl	3	5	c-hexyl
1	3	4-pyridyloxy	1	8	Ph
2	2	2-pyrimidyl			

TABLE 6

Compounds of Formula I wherein

R¹=Me, R²=Ph, R³=Ph, R⁴=H, A=N-R¹⁵, B=MeS, and:

R ¹⁵	R ¹⁵
H	H (H ₃ PO ₄ salt)
H (HBr salt)	H (camphor sulfonic acid salt)
H (HCl salt)	Me
H (HI salt)	Me (HBr salt)
H (CF ₃ CO ₂ H salt)	Et
H (MeSO ₃ H salt)	Bu
H (PhSO ₃ H salt)	octyl
H (4-TsOH salt)	MeOCH ₂ CH ₂
H [(4-dodecyl-Ph)SO ₃ H salt]	cyclopropyl

R ¹⁵	R ¹⁵
allyl	4-Br-Bzl
2-octenyl	4-Me-Bzl
propargyl	4-CF ₃ -Bzl
Ph	4-MeS-Bzl
4-Cl-Ph	4-MeO-Bzl
3, 5-diCl-Ph	2-pyridyl
2-F-Ph	3-pyridyl
3-NO ₂ -Ph	5-Cl-2-pyridyl
4-Me-Ph	6-F-2-pyridyl
4-Me-O-Ph	6-Me-2-pyridyl
Bzl	2-MeS-3-pyridyl
Bzl (HBr salt)	2-MeO-3-pyridyl
4-Cl-Bzl	

TABLE 7

Compounds of Formula I wherein

 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=N-C(=O)R^{16}$, $B=MeS$, and:

R ¹⁶	R ¹⁶
H	PhSCH ₂
Me	4-Cl-Bzl
Et	2, 4-diCl-Bzl
i-Pr	4-F-Bzl
Bu	4-NO ₂ -Bzl
Me ₃ C	4-Me-Bzl
ClCH ₂	4-CF ₃ -Bzl
ClCH ₂ C(Me) ₂	4-MeO-Bzl
BrCH ₂	(4-Cl-Ph)OCH ₂
F(CH ₂) ₂	(4-F-Ph)OCH ₂
CF ₃	(4-Me-Ph)OCH ₂
MeOCH ₂	(4-MeS-Ph)OCH ₂
CH ₃ (CH ₂) ₇ OCH ₂	2, 4-diCl-Bzlo
MeSCH ₂	4-Br-Bzlo
Bzl	4-F-Bzlo
PhCH(Me)	4-I-Bzlo
PhOCH ₂	4-MeS-Bzlo

R^{15}	R^{15}
(4-Cl-Ph)SCH ₂	1-naphthalenyl
(4-Br-Ph)SCH ₂	2-furanyl
(4-I-Ph)SCH ₂	3-thienyl
(4-NO ₂ -Ph)SCH ₂	benzoyl
(4-CF ₃ -Ph)SCH ₂	2-pyridinyl
CH ₃ C(=O)CH ₂	1-Cl-2-naphthalenyl
MeOC(=O)CH ₂	5-Cl-2-furanyl
viny1	4,5-diCl-2-furanyl
1-propen-2-yl	5-NO ₂ -2-furanyl
ClCH ₂ CH=CH	5-Me-2-furanyl
Cl ₃ CCH-CH	5-Cl-2-thienyl
FCH ₂ CH=CH	5-F-2-thienyl
BuOCH ₂ CH=CH	5-Me-2-thienyl
cyclopropyl	4-Cl-PhC(=O)
cyclopentyl	2,4-diCl-PhC(=O)
cyclopropylmethyl	4-F-PhC(=O)
Ph	4-Me-PhC(=O)
4-Cl-Ph	4-CF ₃ -PhC(=O)
3-Cl-Ph	4-MeS-PhC(=O)
2,4-diCl-Ph	2-Cl-3-pyridyl
4-F-Ph	6-Cl-3-pyridyl
4-CN-Ph	2-F-3-pyridyl
4-Me-Ph	MeOC(=O)
4-MeO-Ph	EtOC(=O)
4-MeS-Ph	
4-CF ₃ -Ph	

TABLE 8

Compounds of Formula I wherein

 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=N-C(=O)OR^{17}$, $B=MeS$, and:

R^{17}	R^{17}
Me	Cl ₃ CCH ₂
Et	CF ₃ CH ₂
Bu	MeOCH ₂ CH ₂
ClCH ₂ CH ₂ ,	CH ₃ (CH ₂) ₁₁ OCH ₂ CH ₂

R^{17}	R^{17}
MeSCH ₂ CH ₂	MeOCH ₂ CH=CHCH ₂
Bz1	BuOCH ₂ CH=CHCH ₂
1-naphthalenylmethyl	HC≡CCH ₂
2-naphthalenylmethyl	cyclopropyl
PhOCH ₂ CH ₂	cyclohexyl
4-Cl-Bz1	1-naphthalenyl
2, 4-diCl-Bz1	2-naphthalenyl
4-F-Bz1	2-thienyl
4-NO ₂ -Bz1	4-Cl-Ph
4-CF ₃ -Bz1	2, 4-diCl-Ph
1-Cl-2-naphthalenylmethyl	4-F-Ph
(2, 4-diCl-Ph)OCH ₂ CH ₂	4-NO ₂ -Ph
(4-F-Ph)OCH ₂ CH ₂	4-CF ₃ -Ph
(4-Me-Ph)OCH ₂ CH ₂	4-MeO-Ph
(4-CF ₃ -Ph)OCH ₂ CH ₂	1-F-2-naphthalenyl
(4-MeS-Ph)OCH ₂ CH ₂	5-Cl-2-thienyl
CH ₂ =CH	4, 5-diCl-thienyl
CH ₃ (CH ₂) ₆ CH=CHCH ₂	5-Me-2-thienyl
CH ₂ =CHCH ₂	

TABLE 9

Compounds of Formula I wherein

 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=N-C(=O)SR^{18}$, $B=MeS$, and:

R^{18}	R^{18}
Me	2-naphthalenylmethyl
Et	PhOCH ₂ CH ₂
Bu	4-Cl-Bz1
ClCH ₂ CH ₂	4-CF ₃ -Bz1
Cl ₃ CCH ₂	1-Cl-2-naphthalenylmethyl
CF ₃ CH ₂	(4-Cl-Ph)OCH ₂ CH ₂
MeOCH ₂ CH ₂	(2, 4-diCl-Ph)OCH ₂ CH ₂
CH ₂ (CH ₂) ₁₁ OCH ₂ CH ₂	(4-F-Ph)OCH ₂ CH ₂
EtOCH ₂ CH ₂	(4-CF ₃ -Ph)OCH ₂ CH ₂
Bzyl	CH ₂ =CHCH ₂
1-naphthalenylmethyl	ClCH ₂ CH=CHCH ₂

R^{18}	R^{18}
$Cl_3CCH=CHCH_2$	2,4-diCl-Ph
$HC\equiv CCH_2$	4-F-Ph
cyclopropyl	4-MeS-Ph
cyclohexyl	1-F-2-naphthalenyl
1-naphthalenyl	5-Cl-2-thienyl
2-naphthalenyl	4,5-diCl-thienyl
3-thienyl	5-F-2-thienyl
4-Cl-Ph	5-Me-2-thienyl

TABLE 10

Compounds of Formula I wherein

 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=N-C(=O)NR^{19}R^{20}$, $B=MeS$, and:

R^{19}	R^{20}	R^{19}	R^{20}
H	H	4-CF ₃ -Ph	H
Me	H	4-MeS-Ph	H
Et	H	Me	Me
i-Pr	H	Me	Et
decyl	H		-CH ₂ CH ₂ CH ₂ CH ₂ -
Ph	H		-CH ₂ CH ₂ CH ₂ CH ₂ CH ₂ -
4-Cl-Ph	H		-CH ₂ CH ₂ OCH ₂ CH ₂ -
3,5-diCl-Ph	H		-CH ₂ CH(Me)OCH(Me)CH ₂ -
4-Me-Ph	H		

TABLE 11

Compounds of Formula I wherein

 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=N-OG$, $B=MeS$, and:

G	G
H	4-F-BzI
H (HBr salt)	4-NO ₂ -BzI
Me	4-Me-BzI
Me (HBr salt)	MeC(=O)
hexyl	MeCH ₂ C(=O)
BzI	MeC(CH ₂) ₂ C(=O)
4-Cl-BzI	CH ₃ (CH ₂) ₃ C(=O)
2,4-diCl-BzI	MeOC(=O)

G	G
EtOC(=O)	(4-Cl-Ph)NH(C=O)
MeNHC(=O)	(4-NO ₂ -Ph)NHC(=O)
BuNHC(=O)	(4-CF ₃ -Ph)NHC(=O)
CH ₃ (CH ₂) ₅ NHC(=O)	(4-MeS-Ph)NHC(=O)
Ph-NH(C=O)	

TABLE 12

Compounds of Formula I wherein
 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=N-J$, $B=MeS$, and:

I	J
H	EtC(=O)
H (HBr salt)	BuC(=O)
Me	MeSC(=O)
BzI	EtSC(=O)
HC(=O)	H ₂ NC(=O)
MeC(=O)	MeNHC(=O)
CH ₂ =CH-C(=O)	PhNHC(=O)
PhC(=O)	(4-Cl-Ph)NHC(=O)
4-Cl-PhC(=O)	(4-Me-Ph)NHC(=O)
2-naphthoyl	HO
2-furoyl	MeO
2-thienyl	CH ₃ C(=O)O
PhC(=O)C(=O)	MeOC(=O)O
3-pyridinyl-C(=O)	MeNHC(=O)O
MeOC(=O)C(=O)	PhNHC(=O)O
EtOC(=O)C(=O)	Me ₂ P(=O)
MeOC(=O)	

TABLE 13

Compounds of Formula I wherein
 $R^2=Ph$, $R^3=Ph$, $R^4=H$ (HBr salt), $B=MeS$, and:

R ¹	R ¹
Me	allyl
Et	cyclopropyl
CF ₃	vinyl

R^1	R^1
$CH_3CH=CHCH_2$	4-Me-Bzl
MeO (C=O)	4-CF ₃ -Bzl
Bzl	4-MeO-Bzl
4-Cl-Bzl	

TABLE 14
Compounds of Formula I wherein
 $R^1=Me$, $R^2=Ph$, $R^4=H$, $A=N-H$, $B=MeS$, and:

R^3	R^3
2-pyridyl	5-CN-2-pyridyl
3-pyridyl	6-Me-2-pyridyl
2-pyrimidinyl	5-CF ₃ -2-pyridyl
4-pyrimidinyl	5-MeS-2-pyridyl
5-pyrimidinyl	5-CF ₃ O-2-pyridyl
4-Cl-Ph	3-Cl-2-pyrimidinyl
4-Br-Ph	3,5-diCl-2-pyrimidinyl
4-F-Ph	3-Br-2-pyrimidinyl
4-NO ₂ -Ph	3-F-2-pyrimidinyl
4-Me-Ph	3-NO ₂ -2-pyrimidinyl
4-CF ₃ -Ph	3-NC-2-pyrimidinyl
4-MeO-Ph	3-Me-2-pyrimidinyl
4-MeS-Ph	3-CF ₃ -2-pyrimidinyl
4-CF ₃ O-Ph	3-MeO-2-pyrimidinyl
5-Cl-2-pyridyl	3-CF ₃ O-2-pyrimidinyl
5-F-2-pyridyl	Bzl
5-NO ₂ -2-pyridyl	

TABLE 15
Compounds of Formula I wherein
 $R^1=Me$, $R^2=Ph$, $R^3=Ph$, $R^4=H$, $A=O$, and:

B	B
H	cyano
Cl	NC
Br	S=C=N
F	O=C=N

B	B
nitro	HSCH ₂
Me	O ₂ NCH ₂
Et	O ₂ N(CH ₂) ₂
C ₃ H ₇	N≡CCH ₂
Me ₂ CH	N≡CCH(Me)
C ₄ H ₉	O=C=NCH ₂
C ₈ H ₁₇	S=C=NCH ₂
CH ₂ Cl	O=C=N(CH ₂) ₂
CH ₂ Br	S=C=N(CH ₂) ₂
CH ₂ F	MeNHCH ₂
CF ₃	Me ₂ NCH ₂
CH ₂ ClCH ₂	MeNH(CH ₂) ₂
MeOCH ₂	CH ₂ =CHCH ₂ NHCH ₂
EtOCH ₂	cyclopropyl-NMeCH ₂
MeO(CH ₂) ₂	cyclopropyl-NHCH ₂
FCH ₂ OCH ₂	HC≡CCH ₂ NMeCH ₂
ClCH ₂ CH ₂ OCH ₂	(-CH ₂ CH ₂ CH ₂ CH ₂ -)NCH ₂
MeOCH ₂ OCH ₂	(-CH ₂ CH ₂ OCH ₂ CH ₂ -)NCH ₂ CH ₂
MeO(CH ₂) ₂ OCH ₂	HON=CHCH ₂
EtOCH ₂ OCH ₂	MeON=CHCH ₂
MeSCH ₂	MeON=C(Me)CH ₂
EtSCH ₂	EtON=CH ₂
MeS(CH ₂) ₂	MeN=CHCH ₂
MeSCH(Me)	EtN=CHCH ₂
ClCH ₂ SCH ₂	FCH ₂ ON=CHCH ₂
CF ₃ SCH ₂	ClCH ₂ CH ₂ N=CHCH ₂
CH ₂ =CHCH ₂ OCH ₂	MeNN=CHCH ₂
CH ₂ =CHCH ₂ O(CH ₂) ₂	Me ₂ NN=CHCH ₂
HC≡CCH ₂ OCH ₂	MeOC(=O)CH ₂
HC≡CCH ₂ O(CH ₂) ₂	HOC(=O)CH ₂
MeSO ₂ CH ₂	HC(=O)OCH ₂
Me ₂ CHSO ₂ CH ₂ CH(Et)	MeC(=O)OCH ₂
CF ₃ SO ₂ CH ₂	HC(=O)SCH ₂
HOCH ₂	MeC(=O)SCH ₂
HO(CH ₂) ₂	HC(=O)NHCH ₂

B	B
HC(=O)N(Me)CH ₂	EtOC(=O)
MeC(=O)NHCH ₂	MeSC(=O)
Me ₂ CHCH ₂ C(=O)O(CH ₂) ₂	EtSC(=O)
CH(Br)=CHCH ₂ C(=O)OCH(Me)	MeSC(=S)
H ₂ NC(=O)CH ₂	EtSC(=S)
MeNHC(=O)CH ₂	H ₂ C=CHCH ₂ OC(=O)
Me ₂ NC(=O)CH ₂	CF ₃ CH=CHCH ₂ SC(=S)
MeNHC(=O)OCH ₂	H ₂ NN=CH
CH ₂ =CH	H ₂ NN=C(Me)
CH ₃ CH=CH	MeNHN=CH
CH ₂ =CHCH ₂	Me ₂ NN=C(Me)
F ₂ C=CH	EtNHN=CH
C1CH ₂ CH=CHCH ₂	H ₂ NC(=O)
BrCH=CH(CH ₂) ₂	MeNHC(=O)
N≡CCH=CH	Me ₂ NC(=O)
EtC(N≡C)=CH	C ₅ H ₁₁ NHN=CH
(O) ₂ NCH=CH ₂	MeO
HC≡C	EtO
MeC≡C	C ₃ H ₇ O
HC≡CCH ₂	Me ₂ CHO
CH ₂ FC≡CCH ₂	C1CH ₂ CH ₂ O
EtOC(=O)C≡C	CF ₃ O
cyclopropyl	N≡CCH ₂ O
(-CH ₂ CF ₂ -)CH	NO ₂ CH ₂ O
HON=CH	MeOCH ₂ O
HON=C(Me)	MeO(CH ₂) ₂ O
MeON=CH	CH ₂ FOCH ₂ O
MeON=C(Me)	MeOCH ₂ OCH ₂ O
EtON=CH	MeO(CH ₂) ₂ OCH ₂ O
MeN=CH	MeSCH ₂ CH ₂ O
MeN=C(Me)	C ₃ H ₇ O(CH ₂) ₂ O
EtN=CH	Me ₂ C(Br)CH ₂ OCH(Me)O
CH ₂ =CHCH ₂ N=CH	EtO(CH ₂) ₂ O(CH ₂) ₂ O
CH ₂ =CHCH ₂ ON=CH	Me ₂ NCH ₂ O
MeOC(=O),	Me ₂ N(CH ₂) ₂ O

B

$(-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-)\text{NCH}_2\text{O}$
 $(-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-)\text{NCH}_2\text{CH}_2\text{O}$
 $\text{HON}=\text{CHCH}_2\text{O}$
 $\text{MeON}=\text{CHCH}_2\text{O}$
 $\text{HON}=\text{C(Me)CH}_2\text{O}$
 $\text{MeON}=\text{C(Me)CH}_2\text{O}$
 $\text{MeN}=\text{CHCH}_2\text{O}$
 $\text{MeN}=\text{C(Me)CH}_2\text{O}$
 $\text{MeNN}=\text{CHCH}_2\text{O}$
 $\text{Me}_2\text{NN}=\text{CHCH}_2\text{O}$
 $\text{Me}_2\text{NN}=\text{C(Me)CH}_2\text{O}$
 $\text{MeNN}=\text{C(Me)CH}_2\text{O}$
 $\text{HOC(=O)CH}_2\text{O}$
 $\text{MeOC(=O)CH}_2\text{O}$
 $\text{MeOC(=O)OCH}_2\text{O}$
 $\text{HC(=O)OCH}_2\text{O}$
 $\text{MeC(=O)OCH}_2\text{O}$
 $\text{HC(=O)SCH}_2\text{O}$
 $\text{MeC(=O)SCH}_2\text{O}$
 $\text{H}_2\text{NC(=O)CH}_2\text{O}$
 $\text{MeNHC(=O)CH}_2\text{O}$
 $\text{Me}_2\text{NC(=O)CH}_2\text{O}$
 $\text{MeNHC(=O)O(CH}_2)_2\text{O}$
 $\text{Me}_2\text{NHC(=O)O(CH}_2)_2\text{O}$
 $\text{C}_3\text{H}_7\text{NHC(=O)CH}_2\text{O}$
 $\text{HC}\equiv\text{CCH}_2\text{NHC(=O)CH}_2\text{O}$
 $\text{MeO(CH}_2)_3\text{N(Me)C(=O)O(CH}_2)_2\text{O}$
 $\text{MeOC(=N(Me))CH}_2\text{O}$
 $\text{MeOC(=O)NHCH}_2\text{O}$
 $\text{MeOC(=O)N(Me)CH}_2\text{O}$
 $\text{HC(=O)NHCH}_2\text{O}$
 $\text{MeC(=O)NHCH}_2\text{O}$
 $\text{MeC(=O)N(Me)CH}_2\text{O}$
 $\text{HC(=NMe)O(CH}_2)_2\text{O}$
 $\text{C}_3\text{H}_7\text{C(=O)N(Me)CH}_2\text{O}$

B

$\text{H}_2\text{C=CHCH}_2\text{O}$
 $\text{Me}_2\text{CHCH=CHCH}_2\text{O}$
 $\text{F}_2\text{C=CHCH}_2\text{O}$
 $\text{CH}_2(\text{Br})\text{C=CHCH}_2\text{O}$
 $\text{HO}\equiv\text{CCH}_2\text{O}$
 cyclopropyl-O
 HC(=O)O
 MeC(=O)O
 $\text{C}_4\text{H}_9\text{C(=O)O}$
 $\text{ClCH}_2\text{C(=O)O}$
 $\text{CH}_2=\text{CHC(=O)O}$
 $\text{Me}_2\text{C=CHC(=O)O}$
 $\text{CF}_3\text{CH=CHCH}_2\text{C(=O)O}$
 HC(=NMe)O
 MeC(=NMe)O
 $\text{EtCH(Me)C(=N\text{Et})O}$
 $\text{ClCH}_2\text{C(=N(C}_3\text{H}_7\text{))O}$
 $\text{CH}_2=\text{CHC(=NCH(Me))O}$
 $\text{Cl}_2\text{C=CHCH}_2\text{C(=N(C}_4\text{H}_7\text{))O}$
 MeOC(=O)O
 EtOC(=O)O
 $\text{EtCH=CHC(=N\text{Et})O}$
 MeNHC(=O)O
 $\text{Me}_2\text{NC(=O)O}$
 $\text{MeCH=CHCH}_2\text{N(Me)C(=O)O}$
 $\text{CF}_3(\text{CH}_2)_2\text{N(Et)C(=O)O}$
 $\text{CH}_2=\text{C(Cl)CH}_2\text{N(C}_3\text{H}_7\text{)C(=O)O}$
 $\text{MeS(O)}_2\text{O}$
 $\text{C}_4\text{H}_9\text{S(O)}_2\text{O}$
 $\text{Me}_2\text{CHCHClS(O)}_2\text{O}$
 $\text{EtCH=CHS(O)}_2\text{O}$
 $\text{CH}_2=\text{NO}$
 MeCH=NO
 $\text{Me}_2\text{C=NO}$
 EtCH=NO

B

$\text{C}_4\text{H}_9\text{C}(\text{Me})=\text{NO}$
 $\text{CF}_3\text{CH}_2\text{C}(\text{Et})=\text{NO}$
 $\text{CH}_2=\text{CHC}(\text{C}_3\text{H}_7)=\text{NO}$
 $\text{ClCH}=\text{CHCH}_2\text{C}(\text{CH}_2(\text{Cl}))=\text{NO}$
 $\text{EtCH}(\text{Me})\text{OC}(\text{Et})=\text{NO}$
 $\text{ClCH}_2\text{CH}_2\text{OC}(\text{C}_3\text{H}_7)=\text{NO}$
 $\text{MeCH}=\text{CHCH}_2\text{OC}(\text{CH}_2(\text{Cl}))=\text{NO}$
 MeNH
 EtNH
 $\text{CH}_2=\text{CHCH}_2\text{NH}$
 $\text{HC}\equiv\text{CCH}_2\text{NH}$
 $\text{HC}(=\text{O})\text{NH}$
 $\text{Me}(=\text{O})\text{NH}$
 $\text{HC}(=\text{NMe})\text{NH}$
 $\text{MeC}(=\text{NMe})\text{NH}$
 $\text{MeOC}(=\text{O})\text{NH}$
 $\text{MeNHC}(=\text{O})\text{NH}$
 $\text{Me}_2\text{NC}(=\text{O})\text{NH}$
 Me_2N
 $\text{EtN}(\text{Me})$
 $\text{HC}\equiv\text{CCH}_2\text{N}(\text{Me})$
 $\text{HC}(=\text{O})\text{N}(\text{Me})$
 $\text{Me}(=\text{O})\text{N}(\text{Me})$
 $\text{HC}(=\text{NMe})\text{N}(\text{Me})$
 $\text{MeC}(=\text{NMe})\text{N}(\text{Me})$
 $\text{MeOC}(=\text{O})\text{N}(\text{Me})$
 $\text{Me}_2\text{NC}(=\text{O})\text{N}(\text{Me})$
 $\text{C}_3\text{H}_7\text{C}(=\text{O})\text{N}(\text{Et})$
 $\text{EtCHClC}(=\text{O})\text{N}(\text{C}_3\text{H}_7)$
 $\text{CH}_2=\text{CHC}(=\text{O})\text{N}(\text{C}_4\text{H}_9)$
 $\text{EtC}(\text{Cl})=\text{CHC}(=\text{O})\text{NH}$
 $\text{Me}_2\text{CHC}(=\text{N}(\text{Me}))\text{NH}$
 $\text{CH}_2\text{ClC}(=\text{N}(\text{Et}))\text{N}(\text{Me})$
 $\text{MeCH}=\text{CHCH}_2\text{C}(=\text{N}(\text{C}_3\text{H}_7))\text{NH}$

B

$\text{CF}_3\text{CH}_2\text{CH}=\text{CHC}(=\text{N}(\text{cyclopropyl}))=\text{N}(\text{Me})$
 $\text{ClCH}=\text{CHCH}_2\text{OC}(=\text{O})\text{N}(\text{Et})$
 $\text{Cl}_2\text{C}=\text{CHCH}_2\text{NHC}(=\text{O})\text{N}(\text{Et})$
 $\text{HON}(\text{CH}_3)$
 $\text{MeON}(\text{CH}_3)$
 $\text{EtON}(\text{CH}_3)$
 $\text{CH}_2=\text{CHCH}_2\text{ON}(\text{CH}_3)$
 $\text{CF}_2=\text{CHCH}_2\text{ON}(\text{CH}_3)$
 $\text{H}_2\text{C}=\text{N}$
 $\text{MeCH}=\text{N}$
 $\text{CF}_3\text{CH}=\text{N}$
 $\text{MeNHCH}=\text{N}$
 $\text{Me}_2\text{NCH}=\text{N}$
 $\text{MeOCH}=\text{N}$
 $\text{EtOCH}=\text{N}$
 $\text{MeSCH}=\text{N}$
 $\text{EtSCH}=\text{N}$
 $\text{C}_4\text{H}_9\text{C}(\text{H})=\text{N}$
 $\text{ClCH}_2\text{C}(\text{Me})=\text{N}$
 $\text{CH}_2=\text{CHCH}_2\text{C}(\text{CF}_3)=\text{N}$
 $\text{CH}_2\text{BrCH}=\text{CHCH}_2\text{C}(\text{Et})=\text{N}$
 $\text{MeNHC}(\text{C}_3\text{H}_9)=\text{N}$
 $\text{CH}_2=\text{CHCH}_2\text{N}(\text{Me})\text{C}(\text{CH}_2\text{F})=\text{N}$
 $\text{H}_3\text{COC}(\text{Me})=\text{N}$
 $\text{CF}_3\text{CH}_2\text{OC}(\text{CH}_2\text{Cl})=\text{N}$
 $\text{C}_4\text{H}_9\text{SC}(\text{C}_3\text{H}_9)=\text{N}$
 $\text{CH}_2=\text{CH}(\text{CH}_2)_2\text{SC}(\text{CF}_3)=\text{N}$
 $\text{CH}_2(\text{Br})(\text{CH}_2)_2\text{SC}(\text{C}_4\text{H}_9)=\text{N}$
 $(\text{Me})_2\text{C}=\text{N}$
 $(\text{Me})_2\text{NC}(\text{Me})=\text{N}$
 $\text{MeOC}(\text{Me})=\text{N}$
 $\text{MeSC}(\text{Me})=\text{N}$
 $(\text{Me})_2\text{NC}(\text{NH}(\text{Me}))=\text{N}$
 $\text{CH}_2=\text{CHCH}_2\text{N}(\text{Me})\text{C}(\text{NH}(\text{Et}))=\text{N}$

B

MeNHC(OMe)=N
 Me₂NC(OMe)=N
 EtN(Me)C(O(C₄H₉))=N
 (Et)₂NC(O(CH₂CH₂Cl))=N
 C₄H₉N(Me)C(O(CH₂CH=CH₂))=N
 Me₂NC(SMe)=N
 EtN(Me)C(S(Me₂CH))=N
 C₃H₇N(Et)C(S(CH₂F))=N
 Me₂NC(S(CH₃CH=CHCH₂))=N
 MeOC(S(Me))=N
 Me₂CHCH₂OC(S(Et))=N
 CF₃(CH₂)₂OC(S(C₃H₇))=N
 MeCH=CHCH₂OC(S(Me))=N
 MeSC(S(Me))=N
 C₄H₉SC(S(Me))=N
 BrCH₂CH₂SC(S(Et))=N
 H₂C=CH(CH₂)₂SC(S(C₃H₇))=N
 MeS
 EtS
 C₃H₇S
 Me₂CHS
 CH₂=CHCH₂S
 HC≡CCH₂S
 ClCH₂S
 CF₃CH₂S
 NCCCH₂CH₂S
 NO₂CH₂S
 MeOCH₂S
 EtoCH₂S
 MeO(CH₂)₂S
 Me₂CHCH₂OCH₂S
 FCH₂OCH₂S
 Cl(CH₂)₂O(CH₂)₂S
 MeOCH₂OCH₂S
 Eto(CH₂)₂O(CH₂)₂S

B

MeSCH₂S
 H₂N(CH₂)₂S
 MeNH(CH₂)₂S
 Me₂NCH₂S
 CH₂=CHCH₂N(Me)CH₂S
 (-CH₂CH₂CH₂CH₂-)NCH₂S
 (-CH₂(CH₂)₃CH₂-)NCH₂CH₂S
 (-CH₂CH₂OCH₂CH₂-)NCH₂S
 HON=CHCH₂S
 MeON=CHCH₂S
 EtON=CHCH₂S
 H₂NN=CHCH₂S
 MeNNH=CHCH₂S
 Me₂NN=CHCH₂S
 HN=CHCH₂S
 MeN=CHCH₂S
 EtN=CHCH₂S
 C₄H₉ON=C(Me)CH₂S
 MeCH=CHCH₂NHN=C(Et)(CH₂)₂S
 HOC(=O)CH₂S
 MeOC(=O)CH₂S
 MeOC(=O)OCH₂S
 H₂C=CHCH₂OC(=O)O(CH₂)₃S
 Cl₂C=CH(CH₂)₂OC(=O)CH(Me)S
 HC(=O)OCH₂S
 MeC(=O)OCH₂S
 HC(=O)SCH₂S
 MeC(=O)SCH₂S
 C₄H₉C(=O)O(CH₂)₂S
 MeCH₂CH=CHC(=O)SCH(Me)S
 CF₃CH=CHC(=O)O(CH₂)₃S
 H₂NC(=O)CH₂S
 MeNHC(=O)CH₂S
 Me₂NC(=O)CH₂S
 MeNHC(=O)OCH₂S

B

$\text{Me}_2\text{NC(=O)OCH}_2\text{S}$
 $\text{BrCH}_2\text{CH=CHCH}_2\text{N(C}_3\text{H}_9\text{)C(=O)CH}_2\text{S}$
 $3-\text{CF}_3\text{N(C}_3\text{H}_9\text{)C(=O)O(CH}_2)_2\text{S}$
 $\text{MeOC(=O)NHCH}_2\text{S}$
 $\text{MeOC(=O)N(Me)CH}_2\text{S}$
 $\text{HC(=O)NHCH}_2\text{S}$
 $\text{MeC(=O)NHCH}_2\text{S}$
 $\text{MeC(=O)N(Me)CH}_2\text{S}$
 $\text{HC(=O)N(Me)CH}_2\text{S}$
 $\text{Me}_2\text{CHC(=O)N(Me)(CH}_2)_2\text{S}$
 $\text{CF}_2=\text{CHCH}_2\text{S}$
 $\text{N}\equiv\text{CCH=CHCH}_2\text{S}$
 $\text{O}_2\text{NCH=CH}_2(\text{CH}_2)_2\text{S}$
 $\text{MeOC(=O)CH=CHCH}_2\text{S}$
 $\text{EtC}\equiv\text{CCH}_2\text{S}$
 $\text{CH}_2(\text{Cl})\text{C}\equiv\text{CCH}_2\text{S}$
 $\text{CF}_3(\text{CH}_2)_2\text{C}\equiv\text{CCH}_2\text{S}$
 $\text{NC-C}\equiv\text{C(CH}_2)_2\text{S}$
 $\text{C}_4\text{H}_9\text{OC(=O)C}\equiv\text{CCH}_2\text{S}$
cyclopropyl-S
 $(-\text{CH}_2(\text{CH}_2)_3\text{CH}_2-) \text{C(Cl)S}$
 CH(=O)S
 MeC(=O)S
 $\text{CH}_2=\text{CHCH}_2\text{C(=O)S}$
 MeOC(=O)S
 $\text{ClCH=CHCH}_2\text{OC(=O)S}$
 $\text{Me}_2\text{NC(=O)S}$
 MeNHC(=O)S

B

$\text{Me}_2\text{NC(=O)S}$
 $\text{ClCH=CHCH}_2\text{CH}_2\text{N(Et)C(=O)S}$
 MeS(O)_2
 MeS(O)
 EtS(O)_2
 EtS(O)
 $\text{CH}_2=\text{CHS(O)}_2$
 $\text{CH}_2=\text{CHS(O)}$
 $\text{CH}_2=\text{CHCH}_2\text{S(O)}_2$
 $\text{CH}_2=\text{CHCH}_2\text{S(O)}$
 $\text{CH}_2(\text{Cl})\text{CH=CHS(O)}$
 $\text{HC}\equiv\text{CCH}_2\text{S(O)}_2$
 $\text{MeC}\equiv\text{CCH(Me)S(O)}$
 $\text{MeOCH}_2\text{S(O)}_2$
 $\text{MeOCH}_2\text{S(O)}$
 $\text{EtO(CH}_2)_2\text{S(O)}_2$
 H_2NSO_2
 MeNHSO_2
 $(\text{Me})_2\text{NSO}_2$
 EtNHSO_2
 $\text{Me}_2\text{CHCH}_2\text{N(Me)SO}_2$
 $\text{CF}_3(\text{CH}_2)_2\text{N(Et)SO}_2$
 $\text{CH}_3\text{CH}_2\text{CH}_2\text{N(C}_3\text{H}_9\text{)SO}_2$
 $\text{FCH=CHCH}_2\text{N(C}_4\text{H}_9\text{)SO}_2$
 CH(=O)NHS(O)_2
 MeC(=O)NHS(O)_2
 $\text{MeC(=O)N(Me)S(O)}_2$

TABLE 16

Compounds of Formula I wherein R¹=Me, R³=Ph, R⁴=H, and:

R ²	A	B	R ²	A	B
2-thienyl	O	MeS	Ph	NH	MeS
2-thienyl	O	MeO	Ph	NH	MeO
2-thienyl	O	MeNH	Ph	NH	MeNH
2-thienyl	O	Me ₂ N	Ph	NH	Me ₂ N
2-thienyl	O	F	Ph	NH	F
2-thienyl	O	Cl	Ph	NH	Cl
2-thienyl	O	Br	Ph	NH	Br
2-thienyl	O	cyano	Ph	NH	cyano
2-thienyl	O	MeOC(=O)	Ph	NH	MeOC(=O)
3-phenoxypropyl	O	MeS	2-thienyl	NH	MeS
3-phenoxypropyl	O	MeO	2-thienyl	NH	MeO
3-phenoxypropyl	O	MeNH	2-thienyl	NH	MeNH
3-phenoxypropyl	O	Me ₂ N	2-thienyl	NH	Me ₂ N
3-phenoxypropyl	O	F	2-thienyl	NH	F
3-phenoxypropyl	O	Cl	2-thienyl	NH	Cl
3-phenoxypropyl	O	Br	2-thienyl	NH	Br
3-phenoxypropyl	O	cyano	2-thienyl	NH	cyano
3-phenoxypropyl	O	MeOC(=O)	2-thienyl	NH	MeOC(=O)
heptyl	O	MeS	heptyl	NH	MeS
heptyl	O	MeO	heptyl	NH	MeO
heptyl	O	MeNH	heptyl	NH	MeNH
heptyl	O	Me ₂ N	heptyl	NH	Me ₂ N
heptyl	O	F	heptyl	NH	F
heptyl	O	Cl	heptyl	NH	Cl
heptyl	O	Br	heptyl	NH	Br
heptyl	O	cyano	heptyl	NH	cyano
heptyl	O	MeOC(=O)	heptyl	NH	MeOC(=O)
3-phenoxypropyl	NH	MeS	3-phenoxypropyl	NH	Cl
3-phenoxypropyl	NH	MeO	3-phenoxypropyl	NH	Br
3-phenoxypropyl	NH	MeNH	3-phenoxypropyl	NH	cyano
3-phenoxypropyl	NH	Me ₂ N	3-phenoxypropyl	NH	MeOC(=O)
3-phenoxypropyl	NH	F			

R ²	A	B
Ph	NH (HBr salt)	MeS
Ph	NH (HBr salt)	MeO
Ph	NH (HBr salt)	MeNH
Ph	NH (HBr salt)	Me ₂ N
Ph	NH (HBr salt)	F
Ph	NH (HBr salt)	Cl
Ph	NH (HBr salt)	Br
Ph	NH (HBr salt)	cyano
Ph	NH (HBr salt)	MeOC(=O)
2-thienyl	NH (HBr salt)	MeS
2-thienyl	NH (HBr salt)	MeO
2-thienyl	NH (HBr salt)	MeNH
2-thienyl	NH (HBr salt)	Me ₂ N
2-thienyl	NH (HBr salt)	F
2-thienyl	NH (HBr salt)	Cl
2-thienyl	NH (HBr salt)	Br
2-thienyl	NH (HBr salt)	cyano
2-thienyl	NH (HBr salt)	MeOC(=O)
4-Bz1O-2-F-Ph	NH (HBr salt)	MeS
heptyl	NH (HBr salt)	MeS
heptyl	NH (HBr salt)	MeO
heptyl	NH (HBr salt)	MeNH
heptyl	NH (HBr salt)	Me ₂ N
heptyl	NH (HBr salt)	F
heptyl	NH (HBr salt)	Cl
heptyl	NH (HBr salt)	Br
heptyl	NH (HBr salt)	cyano
heptyl	NH (HBr salt)	MeOC(=O)
3-phenoxypropyl	NH (HBr salt)	MeS
3-phenoxypropyl	NH (HBr salt)	MeO
3-phenoxypropyl	NH (HBr salt)	MeNH
3-phenoxypropyl	NH (HBr salt)	Me ₂ N
3-phenoxypropyl	NH (HBr salt)	F
3-phenoxypropyl	NH (HBr salt)	Cl

R^2	A	B
3-phenoxypropyl	NH (HBr salt)	Br
3-phenoxypropyl	NH (HBr salt)	cyano
3-phenoxypropyl	NH (HBr salt)	MeOC(=O)

Formulation/Utility

Compounds of this invention will generally be used in formulation with an agriculturally suitable composition. The fungicidal compositions of the present invention comprise an effective amount of at least one compound of Formula I as defined above and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent. Useful formulations can be prepared in conventional ways.

They include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up 100 weight percent.

Weight Percent

	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Wettable Powders	25-90	0-74	1-10
Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules, Baits and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in 5 Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list 10 surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, etc.

Methods for formulating such compositions are well known. Solutions are prepared by simply mixing the 15 ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating a fine powder composition; see for example, Cross et al., *Pesticide Formulations*, 20 Washington, D.C., 1988, pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, 25 "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-148, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pp 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and 30 water-soluble granules can be prepared as taught in DE 3,246,493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41; 35 U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132,

138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855,
 Col. 3, line 66 through Col. 5, line 17 and
 Examples 1-4; Klingman, *Weed Control as a Science*, John
 Wiley and Sons, Inc., New York, 1961, pp 81-96; and
 5 Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell
 Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by
 weight and all formulations are worked up in
 conventional ways. Compound numbers refer to Index
 10 Table A hereinafter.

Example A

Wettable Powder

	Compound 1	65.0%
	dodecylphenol polyethylene glycol ether	2.0%
15	sodium ligninsulfonate	4.0%
	sodium silicoaluminate	6.0%
	montmorillonite (calcined)	23.0%.

Example B

Granule

20	Compound 1	10.0%
	attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	
		90.0%.

Example C

Extruded Pellet

25	Compound 1	25.0%
	anhydrous sodium sulfate	10.0%
	crude calcium ligninsulfonate	5.0%
	sodium alkynaphthalenesulfonate	1.0%
30	calcium/magnesium bentonite	59.0%.

Example D

Emulsifiable Concentrate

35	Compound 1	20.0%
	blend of oil soluble sulfonates	
	and polyoxyethylene ethers	10.0%
	isophorone	70.0%.

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens

5 comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of Formula I or a fungicidal composition containing said compound. The compounds and compositions of this

10 invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the *Basidiomycete*, *Ascomycete*, *Oomycete* and *Deuteromycete* classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar

15 pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*, *Alternaria brassicae*, *Septoria nodorum*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudo-*

20 *cercosporella herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necatur*, *Puccinia recondita*, *Puccinia graminis*, *Hemileia vastatrix*,

25 *Puccinia striiformis*, *Puccinia arachidis*, *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma* and other genera and species

30 closely related to these pathogens.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, semiochemicals, repellants, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of

agricultural protection. Examples of other agricultural protectants with which compounds of this invention can be formulated are: insecticides such as monocrotophos, carbofuran, tetrachlorvinphos,
5 malathion, parathion-methyl, methomyl, chlordimeform, diazinon, deltamethrin, oxamyl, fenvalerate, esfenvalerate, permethrin, profenofos, sulprofos, triflumuron, diflubenzuron, methoprene, buprofezin, thiodicarb, acephate, azinphosmethyl, chlorpyrifos, 10 dimethoate, fipronil, flufenprox, fonophos, isofenphos, methidathion, methamidophos, phosmet, phosphamidon, phosalone, pirimicarb, phorate, terbufos, trichlorfon, methoxychlor, bifenthrin, biphenate, cyfluthrin, fenpropathrin, fluvalinate, flucythrinate, 15 tralomethrin, metaldehyde and rotenone; fungicides such as carbendazim, thiuram, dodine, maneb, chloroneb, benomyl, cymoxanil, fenpropidine, fenpropimorph, triadimefon, captan, thiophanate-methyl, thiabendazole, phosethyl-Al, chlorothalonil, dichloran, metalaxyl, 20 captafol, iprodione, oxadixyl, vinclozolin, kasugamycin, myclobutanil, tebuconazole, difenoconazole, diniconazole, fluquinconazole, ipconazole, metconazole, penconazole, propiconazole, uniconazole, flutriafol, prochloraz, pyrifenoxy, fenarimol, 25 triadimenol, diclobutrazol, copper oxychloride, furalaxyd, folpet, flusilazol, blasticidin S, diclomezine, edifenphos, isoprothiolane, iprobenfos, mepronil, neo-asozin, pencycuron, probenazole, pyroquilon, tricyclazole, validamycin, and flutolanil; 30 nematocides such as aldoxycarb, fenamiphos and fosthietan; bactericides such as oxytetracycline, streptomycin and tribasic copper sulfate; acaricides such as binapacryl, oxythioquinox, chlorobenzilate, dicofol, dienochlor, cyhexatin, hexythiazox, amitraz, 35 propargite, tebufenpyrad and fenbutatin oxide; and

biological agents such as *Bacillus thuringiensis*, baculovirus and avermectin B.

In certain instances, combinations with other fungicides having a similiar spectrum of control but a 5 different mode of action will be particularly advantageous for resistance management.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion 10 of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

15 Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active 20 ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

The following Tests demonstrate the control 25 efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Table A for compound descriptions.

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then 30 suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests.

TEST A

35 The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the

seedlings were inoculated with a spore dust of *Erysiphe graminis f. sp. tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

5

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

10

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

20

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

25

TEST E

The test suspension was sprayed to the point of run-off on potato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth

30

35

chamber at 20°C for 5 days, after which disease ratings were made.

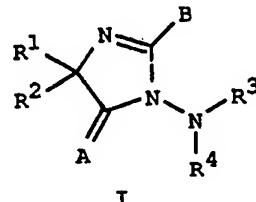
TEST F

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 10 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST G

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

Index Table A



Compounds of Formula I wherein A=O and:

Cmpd	R ¹	R ²	R ³	R ⁴	B	m.p. (°C)
1	Me	Ph	Ph	H	MeS	132-134
2	Me	Ph	Ph	H	CH ₂ =CHCH ₂ S	93-95
3	Me	Ph	Ph	H	EtOC(O)CH ₂ S	71-72
4	Me	Ph	Ph	H	PhCH ₂ S	133-135

Cmpd	R ¹	R ²	R ³	R ⁴	R	m.p. (°C)
5	Me	Ph	Ph	H	H	120-121
6	Me	4-PhOPh	Ph	H	MeS	71-73
7	Et	Ph	Ph	H	MeS	142-145
8	Me	Ph	Ph	H	EtS	112-114
9	Me	Ph	Ph	Me	MeS	115-117
10	Me	Ph	2-F-Ph	H	MeS	128-130
11	Me	Ph	3-F-Ph	H	MeS	147-150
12	Me	Ph	Ph	H	CHF ₂ S	168-169
13	Me	2,4-diF-Ph	Ph	H	MeS	112-114
14	Me	Ph	Ph	H	(CH ₃) ₂ CHS	oil
15	Me	Ph	Ph	H	Me	110-112
16	Me	Ph	3-Cl-Ph	H	MeS	154-155
17	Me	Ph	4-Me-Ph	H	MeS	150-151
18	Me	Ph	Ph	Me	MeO	183-185
19	Me	Ph	Ph	Et	EtO	oil
20	-	(CH ₂ (CH ₂) ₂ CH ₂)-	Ph	H	MeS	138-140
21	Me	PhCH ₂	Ph	H	MeS	178-180
22	Me	Ph	Ph	H	Et	oil
23	Me	Ph	Ph	H	CH ₃ OCH ₂	99-101
24	Me	2-Cl-Ph	Ph	H	MeS	190-192
25	Me	4-Cl-Ph	Ph	H	MeS	174-176
26	Me	CH ₃ SCH ₂ CH ₂	Ph	H	MeS	102-104
27	Me	4-MeO-Ph	Ph	H	MeS	63-65
28	Me	4-PhCH ₂ O-Ph	Ph	H	MeS	64-65
29	Me	4-Me-Ph	Ph	H	MeS	158-160
30	Me	2-thienyl	Ph	H	MeS	130-132
31	Me	Me	Ph	H	MeS	156-158
32	Me	n-heptyl	Ph	H	MeS	108-110
33	Me	PhOCH ₂ CH ₂ CH ₂	Ph	H	MeS	123-125
34	Me	CH ₂ =CH(CH ₂) ₆	Ph	H	MeS	-
35	Me	Ph	Ph	H	n-propyl	oil
36	Me	Ph	Ph	H	EtO	109-110
37	Me	Ph	Ph	H	MeO	110-111
38	H	Ph	Ph	H	SMe	178-180

Index Table BCmpd No.¹H NMR Data (CDCl₃, δ)

14	1.48 (d, J=6.8 Hz, 3H), 1.52 (d, J=6.8 Hz, 3H), 1.76 (s, 3H), 4.03 (m, J=6.8 Hz, 1H), 6.10 (broad s, 1H), 6.93-7.62 (m, 10H)
19	major isomer: δ 1.27 (t, J=6.5 Hz, 3H), 1.79 (s, 3H), 3.60 (m, 2H), 4.52 (m, 2H), 6.75-7.65 (m, 10H) minor isomer: δ 1.06 (t, J=6.5 Hz, 3H), 1.77 (s, 3H), 3.60 (m, 2H), 4.52 (m, 2H), 6.60-7.65 (m, 10H)
22	1.30 (t, J=7.4 Hz, 3H), 1.68 (s, 3H), 2.55 (q, J=7.4 Hz, 2H), 6.70 (s, 1H), 6.52-7.60 (m, 10H)
34	0.95-1.30 (m, 8H), 1.23 (s, 3H), 1.50-2.00 (m, 4H), 2.45 (s, 3H), 4.78-5.12 (m, 2H), 5.50-5.92 (m, 1H), 6.40 (s, 1H), 6.61-7.30 (m, 5H)
35	1.04 (t, J=7.6 Hz, 3H), 1.73 (s, 3H), 1.84 (m, 2H), 2.77 (q, J=7.6 Hz, 2H), 6.25 (s, 1H), 6.58-7.63 (m, 10H)

Results for Tests A-G are given in Table C. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls). NT = not tested.

TABLE C

<u>Cmpd No.</u>	<u>Test A</u>	<u>Test B</u>	<u>Test C</u>	<u>Test D</u>	<u>Test E</u>	<u>Test F</u>	<u>Test G</u>
1	100**	85*	0	NT	98*	100*	0**
2	0	26	0	60	50	100	0
3	36	0	0	0	NT	62	36

<u>Cmpd No.</u>	<u>Test A</u>	<u>Test B</u>	<u>Test C</u>	<u>Test D</u>	<u>Test E</u>	<u>Test F</u>	<u>Test G</u>
4	0	19	0	0	41	20	32
5	NT	61	NT	NT	30	100*	NT
6	0	85	0	24	82	100	14
8	0	40	0	67	37	96	0
9	0	100	0	89	86	100	0
10	0	97	0	95	100	100	5*
11	0	100	0	99	100	100	0
12	0	100	0	99	100	100	0
13	0	100	53	99	100	100	0
14	49	0	0	0	0	91	0
15	49	95	0	97	95**	100	70
16	49	100	0	97	92	100	0
17	49	100	0	99	95	100	0
18	49	100	74	97	99	100	0
20	62	4	0	97	100	100	0
21	37	27	0	0	0	12	0
23	29	0	0	0	NT	37	39
24	77	53	0	99	100	100	0
25	86	100	0	99	100	100	0
26	42	36	0	33	99	100	0
27	55	100	18	99	100	100	0
28	26	100	99	73	92	99	0
29	55	100	18	99	100	100	11
30	30	100	0	97	100	100	0
31	47	80	31	44	68	97	0
32	61	96	0	93	100	100	62
33	31	91	0	99	100	100	0

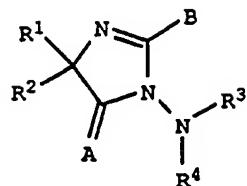
<u>Cmpd No.</u>	<u>Test A</u>	<u>Test B</u>	<u>Test C</u>	<u>Test D</u>	<u>Test E</u>	<u>Test F</u>	<u>Test G</u>
35	0	1	0	63	29	75	63*
36	40*	0	0	0	0	56	0
37	13*	97*	0	NT	98*	100*	NT
38	49*	88	0	77	37	100	0

* The compound was sprayed at a concentration of 40 ppm

** The compound was sprayed at a concentration of 100 ppm

What is claimed is:

1. A compound of Formula I



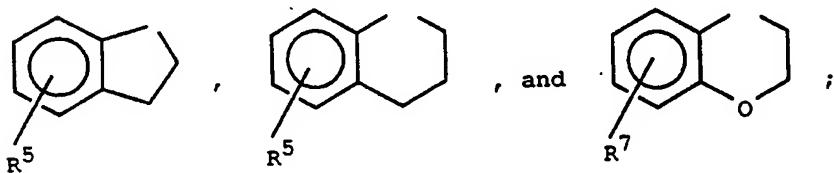
5

I

wherein:

- A is O; S or N-J;
- J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸;
- 10 C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG;
- G is H; C₁-C₆ alkyl; benzyl optionally substituted with R³⁴ on the phenyl ring; C(=O)(C₁-C₄ alkyl); C(=O)(C₁-C₄ alkoxy); or C(=O)NHR³⁶;
- B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro; R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)_nR⁴⁸; or SO₂NR⁴⁹R⁶⁰;
- 15 n is 1 or 2;
- R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆ cycloalkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy-carbonyl; or phenylmethyl optionally substituted with R⁶ on the phenyl ring and with R⁸ on the benzylic carbon;
- 20 R² is C₁-C₂₀ alkyl optionally substituted with R²²; C₂-C₂₀ alkoxyalkyl optionally substituted with R³⁵; C₂-C₂₀ alkenyl optionally substituted with R⁴²; C₂-C₂₀ alkynyl optionally substituted with R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-; (CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇ cycloalkenyl; phenyl optionally substituted with R⁵ and R⁷; 2-naphthalenyl; thiienyl optionally substituted with R⁵ and R⁷; furyl
- 25
- 30

optionally substituted with R⁷; or pyridyl
optionally substituted with R⁵ and R⁷; or
R¹ and R² can be taken together to form a structure
selected from the group consisting of
5 -CH₂(CH₂)₂CH₂-, -CH₂(CH₂)₃CH₂-, -CH₂(CH₂)₄CH₂-,
-CH₂CH₂OCH₂CH₂-, -CH₂CH₂SCH₂CH₂-,



- 10 R³ is phenyl, pyridyl, or pyrimidinyl each
optionally substituted with R¹⁰; or
phenylmethyl;
- R⁴ is H or methyl;
- 15 R⁵ is halogen; nitro; cyano; C₁-C₆ alkyl; C₅-C₆
cycloalkyl; C₁-C₆ haloalkyl; C₁-C₆ alkylthio;
C₁-C₆ haloalkylthio; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₅-C₆ cycloalkyloxy; C₂-C₆
alkoxyalkyl; C₂-C₆ alkoxyalkoxy; C₃-C₆ alkenyl;
C₃-C₆ haloalkenyl; C₃-C₆ alkenyloxy; C₃-C₆
alkynyl; C₃-C₆ haloalkynyl; C₃-C₆ alkynyloxy;
20 C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylsulfonyl;
phenyl or phenylthio each optionally
substituted with R²⁴; phenylmethyl,
phenoxyethyl, phenethyl, or styryl each
optionally substituted with R²⁴ on the phenyl
ring; phenoxy optionally substituted with R²⁷;
25 benzyloxy optionally substituted with R³⁰ on
the phenyl ring; -OC(=O)NHR²⁸; -C(=O)OR²⁸; or
-OC(=O)R²⁸;
- 30 R⁶, R⁷, R¹², R¹³, R²⁴, R²⁶ and R³⁴ are independently
1-2 halogen; nitro; C₁-C₄ alkyl; trifluoro-
methyl; methylthio; or C₁-C₄ alkoxy;

R⁸, R¹⁴, R²⁰, R³⁸ and R⁴⁰ are independently H or C₁-C₄ alkyl;

R⁹ is C₁-C₁₈ alkyl; or phenyl optionally substituted with R⁷;

5 R¹⁰, R²⁵ and R³³ are each independently 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkylthio, C₁-C₄ alkoxy and trifluoromethoxy;

10 R¹¹ and R³⁶ are independently C₁-C₆ alkyl; or phenyl optionally substituted with R¹²;

R¹⁵ is H; C₁-C₈ alkyl optionally substituted with C₁-C₂ alkoxy; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; phenyl optionally substituted with R¹³; benzyl optionally substituted with R¹³ on the phenyl ring and with R²⁰ on the benzylic carbon; or pyridyl optionally substituted with R¹³;

15 R¹⁶ is H; C₁-C₁₇ alkyl optionally substituted with R³¹; C₂-C₁₇ alkenyl optionally substituted with R³²; C₂-C₇ alkynyl; C₃-C₈ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₄-C₈ cycloalkylalkyl; phenyl optionally substituted with R³³; naphthalenyl, furanyl, thienyl, benzoyl, or pyridyl each optionally substituted with R³⁴; or C₂-C₅ alkoxy carbonyl;

20 R¹⁷ and R¹⁸ are independently C₁-C₁₈ alkyl optionally substituted with R²³; C₂-C₁₀ alkenyl optionally substituted with R³²; C₃-C₈ alkynyl; C₃-C₁₂ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₆-C₇ cycloalkylalkyl; or phenyl, naphthalenyl, or thienyl each optionally substituted with R³⁴;

25 R¹⁹ is H; C₁-C₁₀ alkyl; C₅-C₆ cycloalkyl; or phenyl optionally substituted with R³⁴; or

R^{19} and R^{20} can be taken together to form a structure selected from the group consisting of $-CH_2(CH_2)_2CH_2-$, $-CH_2(CH_2)_3CH_2-$, $-CH_2(CH_2)_4CH_2-$, $-CH_2CH_2OCH_2CH_2-$, $-CH_2CH(Me)CH_2CH(Me)CH_2-$, and $-CH_2CH(Me)OCH(Me)CH_2-$;

5 R^{21} is C_1-C_8 alkyl optionally substituted with R^{51} ; C_2-C_8 alkenyl or C_2-C_8 alkynyl each optionally substituted with R^{69} ; C_3-C_6 cycloalkyl optionally substituted with 1-3 halogen; 10 $C(=N-V-R^{53})R^{52}$; $C(=O)OR^{53}$; $C(=O)SR^{53}$; $C(=NR^{55})OR^{53}$; $C(=S)SR^{53}$; $C(=O)NR^{53}R^{56}$; or $C(=NR^{55})NR^{53}R^{56}$;

V is O; NR⁵⁵; or a direct bond;

15 R^{22} is cyano; nitro; C_1-C_{19} alkylthio; C_1-C_{19} alkyl-sulfinyl; C_1-C_{19} haloalkoxy; C_5-C_6 cycloalkyloxy; C_3-C_{19} alkenyloxy; C_3-C_{19} alkynyloxy; C_1-C_{19} alkylsulfonyl; C_2-C_{19} alkoxy carbonyl; hydroxyl; hydroxycarbonyl; $R^{28}C(=O)O$; $R^{28}OC(=O)O$; $R^{28}R^{40}NC(=O)O$; $R^{39}R^{40}N$; (C_1-C_4 alkoxy)₂P(=E)O; $R^{11}SO_3$; $R^{40}R^{14}R^{38}N^+$; phenyl, phenylthio, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R^{30} ; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, 25 naphthalenyloxy each optionally substituted with R^7 ; tetrahydropyranyl; C_3-C_6 cycloalkyl; 2-tetrahydropyranyloxy; or $C(=Q)R^{40}$;

E is O or S;

Q is O or N-T-W;

30 T is O; NR³⁷; or a direct bond;

W is H; C_1-C_8 alkyl, C_3-C_8 alkenyl; phenylmethyl optionally substituted with R^7 on the phenyl ring and R^{14} on the benzylic carbon; phenyl or pyridyl each optionally substituted with R^7 ; 35 $C(=O)R^{28}$; $C(=O)OR^{28}$; or $C(=O)NR^{28}R^{14}$;

- R²³ is 1-3 halogen; C₁-C₁₂ alkoxy; C₁-C₁₂ alkylthio; phenyl or naphthalenyl each optionally substituted with R³⁴; or phenoxyethyl optionally substituted with R³⁴ on the phenyl ring;
- 5 R²⁷ is 1-2 halogen; nitro; cyano; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₄ alkylsulfonyl; C₂-C₆ alkoxyalkyl; C₁-C₄ alkylthio; C₅-C₆ cycloalkyl; C₅-C₆ cycloalkyloxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; hydroxycarbonyl; C₂-C₄ alkoxy carbonyl; or phenoxy optionally substituted with R²⁴;
- 10 R²⁸ is C₁-C₈ alkyl; or phenyl or pyridyl each optionally substituted with R³⁰;
- 15 R²⁹ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹;
- 20 C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄ alkyl)]OR⁵³; C(=O)NR⁵³R⁵⁶; N=CR⁶⁸R⁶⁷; or SO₂R⁵²;
- 25 R³⁰ is 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkoxy and trifluoromethoxy; or phenoxy optionally substituted with R²⁶;
- 30 R³¹ is 1-3 halogen; C₁-C₁₈ alkoxy; allyloxy; C₁-C₁₈ alkylthio; phenyl, phenoxy, benzyloxy, or phenylthio each optionally substituted with R³⁴ on the phenyl ring; acetyl; or C₂-C₅ alkoxy-carbonyl;
- 35 R³² is 1-3 halogen; or C₁-C₄ alkoxy; R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-oxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyoxy; C₁-C₁₇

alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenyl-thio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenylloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; C₃-C₆ cycloalkyl; or C₂-C₁₇ haloalkoxyalkoxy; R³⁷ is H; C₁-C₆ alkyl; or phenyl optionally substituted with R⁷;

R³⁹ is C₁-C₁₉ alkyl; C₂-C₁₉ alkylcarbonyl; C₂-C₁₉ alkoxy carbonyl; (R⁹R⁴⁰N)C=O; phenyl optionally substituted with R²⁵; or phenoxy carbonyl optionally substituted with R⁷;

R⁴¹ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ alkynylloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenylloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; or C₃-C₆ cycloalkyl;

R⁴² is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl;

C_3-C_{17} alkynyoxy; C_1-C_{17} alkylsulfonyl; C_2-C_{17} alkoxy carbonyl; hydroxyl; hydroxycarbonyl; $R^{28}C(=O)O$; $R^{28}OC(=O)O$; $R^{28}R^{40}NC(=O)O$; $R^{40}R^{39}N$; $(C_1-C_4$ alkoxy) $_2P(=E)O$; $R^{11}SO_3$; $R^{40}R^{14}R^{38}N^+$; phenyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R^{30} ; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R^7 ; tetrahydropyranyl; 2-tetrahydropyranloxy; C_1-C_{17} alkoxy; 1-3 halogen; C_2-C_{17} alkoxyalkoxy; C_3-C_{17} alkynyl; or C_3-C_6 cycloalkyl;
 5 R^{44} is 1-3 halogen; cyano; nitro; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkoxyalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfonyl; phenyl or phenoxy each optionally substituted with R^{57} and R^{59} ; $NR^{49}R^{50}$; or R^{62} ;
 10 R^{45} is H; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_2-C_6 haloalkenyl; $NR^{54}R^{55}$; or SR^{54} ;
 15 R^{46} is H; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_2-C_6 haloalkenyl; phenyl optionally substituted with R^{57} ; $NR^{56}R^{64}$; OR^{65} ; or SR^{65} ;
 20 R^{47} is C_1-C_8 alkyl optionally substituted with R^{44} ; C_3-C_6 alkenyl or C_3-C_6 alkynyl each optionally substituted with R^{69} ; C_3-C_6 cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R^{57} and R^{59} ; $C(=O)R^{52}$; $C(=NR^{55})R^{52}$; $C(=O)OR^{53}$; $C[=N(C_1-C_4$ alkyl)] OR^{53} ; or $C(=O)NR^{53}R^{56}$;
 25 R^{48} is C_1-C_6 alkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 alkoxyalkyl; phenyl optionally substituted with R^{58} ; or phenylmethyl optionally substituted with R^{58} on the phenyl ring;
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- R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclo-
propyl;
- 5 R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl;
C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl
optionally substituted with R⁵⁸ and R⁵⁹; or
phenylmethyl optionally substituted with R⁵⁸
and R⁵⁹ on the phenyl ring; or
- 10 R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-;
-(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;
- 15 R⁵¹ is 1-3 halogen; C₁-C₆ alkoxy; C₂-C₆ haloalkoxy;
C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆
haloalkylthio; C₃-C₆ alkenyloxy; C₃-C₆ alkynyl-
oxy; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkyl-
sulfonyl; phenylsulfonyl optionally substituted
with R⁵⁷; phenyl or phenoxy each optionally
substituted with R⁵⁸ and R⁵⁹; OH; SH; nitro;
cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;
- 20 R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; or phenyl
optionally substituted with R⁵⁷;
- 25 R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆
haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl;
phenyl optionally substituted with R⁵⁸ and R⁵⁹;
or phenylmethyl optionally substituted with R⁵⁸
and R⁵⁹ on the phenyl ring;
- 30 R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄
alkyl;
- 35 R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or
cyano;
- 30 R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl;
ethyl; methylthio; cyano; or methoxycarbonyl;
- R⁵⁹ is halogen or C₁-C₄ alkyl;
- R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl;
C₂-C₆ haloalkenyl; phenyl optionally
substituted with R⁵⁷; or C(=O)R⁶¹;

- R⁶¹ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;
- 5 R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶;
C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²;
SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²;
N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶;
OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or
N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;
- 10 R⁶³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl;
C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl
optionally substituted with R⁵⁸ and R⁵⁹; or
phenylmethyl optionally substituted with R⁵⁸
and R⁵⁹ on the phenyl ring; C(=O)R⁵²;
C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; OR⁵³; or
SO₂R⁵²;
- 15 R⁶⁴ is C₁-C₄ alkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
- 20 R⁶⁵ and R⁶⁶ are each independently C₁-C₄ alkyl;
C₃-C₄ haloalkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
- 25 R⁶⁷ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally
substituted with R⁵⁷; OR⁶⁶; SR⁶⁶; or NR⁵⁴R⁶⁶;
- 30 R⁶⁸ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄ alkenyl; and
R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴;
provided that the total number of carbons in R², R¹⁶,
R¹⁷ and R¹⁸ is each less than or equal to 20.
- 30 2. A compound of Claim 1 wherein:
- R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₄ cycloalkyl; or C₂-C₄ alkenyl;
- R²¹ is C₁-C₄ alkyl optionally substituted with R⁵¹;
C₂-C₄ alkenyl, C₂-C₈ alkynyl, or cyclopropyl
35 each optionally substituted with 1-3 halogen;
C(=N-V-R⁵³)H; C(=N-V-R⁵³) (C₁-C₄ alkyl);

$\text{C}(=\text{O})\text{OR}^{53}$; $\text{C}(=\text{O})\text{SR}^{53}$; $\text{C}(=\text{S})\text{SR}^{53}$; or
 $\text{C}(=\text{O})\text{NR}^{53}\text{R}^{56}$;
 R²⁹ is C₁-C₄ alkyl optionally substituted with R⁴⁴;
 C₃-C₆ alkenyl, C₃-C₆ alkynyl, or cyclopropyl
 5 each optionally substituted with 1-3 halogen;
 $\text{C}(=\text{O})\text{R}^{52}$; $\text{C}(=\text{NR}^{55})\text{R}^{52}$; $\text{C}(=\text{O})\text{OR}^{53}$; $\text{C}(=\text{O})\text{NR}^{53}\text{R}^{56}$;
 $\text{N}=\text{CR}^{68}\text{R}^{67}$; or SO_2R^{52} ;
 R⁴⁴ is 1-3 halogen; cyano; nitro; C₁-C₄ alkoxy;
 C₁-C₄ haloalkoxy; C₂-C₄ alkoxyalkoxy; C₁-C₄
 10 alkylthio; or R⁶²;
 R⁴⁵ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
 alkenyl; or C₂-C₄ haloalkenyl;
 R⁴⁶ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
 15 alkenyl; C₂-C₆ haloalkenyl; NR⁵⁶R⁶⁴; OR⁶⁵; or
 SR^{65} ;
 R⁴⁷ is C₁-C₄ alkyl optionally substituted with R⁴⁴;
 C₃-C₄ alkenyl or C₃-C₄ alkynyl each optionally
 20 substituted with R⁶⁹; C₃-C₆ cycloalkyl
 optionally substituted with 1-3 halogen;
 $\text{C}(=\text{O})\text{R}^{52}$; $\text{C}(=\text{O})\text{OR}^{53}$; or $\text{C}(=\text{O})\text{NR}^{53}\text{R}^{56}$;
 R⁴⁸ is C₁-C₄ alkyl; C₂-C₄ alkenyl; C₂-C₄ halo-
 alkenyl; C₂-C₄ alkynyl; or C₂-C₆ alkoxyalkyl;
 R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclo-
 25 propyl;
 R⁵⁰ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or C₃-C₄
 alkynyl; or
 R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-;
 - (CH₂)₅- or -CH₂CH₂OCH₂CH₂-;
 R⁵¹ is 1-3 halogen; C₁-C₄ alkoxy; C₂-C₄ haloalkoxy;
 30 C₂-C₄ alkoxyalkoxy; C₁-C₄ alkylthio; C₃-C₄
 alkenyloxy; C₃-C₄ alkynyloxy; OH; SH; nitro;
 cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;
 R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
 alkenyl; or C₂-C₄ haloalkenyl;
 35 R⁵³ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or C₃-C₄
 haloalkenyl;

R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₄ haloalkenyl; C(=O)(C₁-C₄ alkyl); or C(=O)H;

R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶;

5

OC(=O)R⁵²; SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²;

OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶; or N(R⁵⁶)C(=O)OR⁵³;

R⁶³ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; C₃-C₄ alkynyl; C₃-C₄ haloalkenyl; C(=O)R⁵²; C(=NR⁵⁵)R⁵²;

C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; or OR⁵³;

10

R⁶⁴ is C₁-C₄ alkyl; or C₃-C₄ alkenyl;

R⁶⁵ is C₁-C₄ alkyl; C₃-C₄ haloalkyl; or C₃-C₄ alkenyl; and

R⁶⁷ is H or C₁-C₄ alkyl;

provided that when R³ is a phenyl or heterocyclic ring

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disubstituted with two alkyl or alkoxy groups, or one alkyl and one alkoxy group, then at least one of the alkyl and alkoxy groups is methyl or methoxy.

3. A compound of Claim 2 wherein:

20

A is O or NH;

B is halogen; cyano; R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; or S(O)₂R⁴⁸;

R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; or vinyl;

R² is C₂-C₂₀ alkyl; C₂-C₂₀ alkoxyalkyl; C₂-C₂₀

25

haloalkyl; C₃-C₈ alkyl substituted with phenoxy or phenylthio each optionally substituted with R³⁰; C₅-C₇ cycloalkyl; C₂-C₂₀ alkenyl; C₅-C₇ cycloalkenyl; phenyl optionally substituted with R⁵ and R⁷; 2-naphthalenyl; thienyl

30

optionally substituted with R⁵ and R⁷; furyl optionally substituted with R⁷; or pyridyl optionally substituted with R⁵ and R⁷;

provided that when R² is phenyl and R⁵ is other than F, then R⁵ is attached to the para-position relative to the imidazolinone ring;

35

R³ is phenyl optionally substituted with R¹⁰;

R⁴⁴ is 1-3 halogen; C₁-C₄ alkoxy or C₁-C₄ haloalkoxy;

R⁴⁵ is H or C₁-C₄ alkyl;

R⁴⁶ is H; C₁-C₄ alkyl; OR⁶⁵; or SR⁶⁵;

5 R⁴⁷ is C₁-C₄ alkyl; C₃-C₄ alkenyl; C(=O)R⁵²; or C(=O)OR⁵⁵;

R⁴⁸ is C₁-C₄ alkyl;

R⁵¹ is 1-3 halogen or C₂-C₃ haloalkoxy;

10 R⁵² and R⁵³ are each independently H; C₁-C₄ alkyl; or C₃-C₄ alkenyl;

R⁶⁰ and R⁶³ are each independently C₁-C₄ alkyl; and R⁶⁵ is C₁-C₄ alkyl or C₃-C₄ alkenyl.

4. A compound of Claim 3 wherein:

B is halogen; cyano; C₁-C₄ alkyl, C₁-C₄ alkylthio, or C₁-C₄ alkoxy each optionally substituted with halogen; N=CR⁴⁵R⁴⁶; NR⁴⁹R⁶³; or S(O)₂(C₁-C₄ alkyl);

R¹ is methyl or halomethyl;

15 R² is C₂-C₁₂ alkyl; C₃-C₈ alkyl substituted with phenoxy optionally substituted with R³⁰; phenyl optionally substituted with R⁵ and R⁷; thienyl optionally substituted with R⁷; or pyridyl optionally substituted with R⁵ and R⁷;

20 R³ is phenyl optionally substituted with F; Cl; or methyl;

R⁴ is H;

25 R⁵ is halogen; nitro; C₁-C₆ alkyl; C₁-C₃ haloalkyl; methylthio; C₁-C₆ alkoxy; C₁-C₂ haloalkoxy; C₅-C₆ cycloalkyloxy; phenoxy optionally substituted with R²⁷; phenylthio substituted with R²⁴; phenoxyethyl optionally substituted with R²⁴ on the phenyl ring; benzyloxy optionally substituted with R³⁰ on the phenyl ring; or -OC(=O)R²⁸;

30 R⁷ and R²⁴ are independently F; C₁-C₂ alkyl; methylthio; or C₁-C₂ alkoxy;

100

R¹⁶ is C₁-C₆ alkyl;

R¹⁹ is phenyl optionally substituted with R³⁴;

R²⁷ is 1-2 halogen; cyano; C₁-C₄ alkyl; trifluoromethyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₁-C₄ alkylthio; C₅-C₆ cycloalkyloxy; or allyl;

5 R³⁰ is 1-2 halogen; cyano; C₁-C₄ alkyl; trifluoromethyl; C₁-C₄ alkoxy; or trifluoromethoxy;

R³⁴ is 1-2 halogen; nitro; C₁-C₂ alkyl; or C₁-C₂ alkoxy;

10 R⁴⁶ is H or C₁-C₄ alkyl;

R⁴⁹ and R⁶³ are each independently C₁-C₂ alkyl.

5. A compound of Claim 4 wherein:

B is F; Cl; cyano; C₁-C₂ alkyl; C₁-C₂ alkylthio; C₁-C₂ alkoxy; N=CR⁴⁵R⁴⁶; NMe₂; or S(O)₂(C₁-C₂)alkyl);

15 R¹ is methyl;

R² is C₁-C₁₂ alkyl; phenyl optionally substituted with R⁵ and R⁷; or thienyl optionally substituted with R⁵ and R⁷; and

20 R⁵ is F; Cl; Br; C₁-C₆ alkyl; trifluoromethyl; C₁-C₆ alkoxy; trifluoromethoxy; 2,2,2-trifluoroethoxy; C₅-C₆ cycloalkyloxy; methylthio; phenoxy optionally substituted with R²⁷; phenylthio optionally substituted with R²⁴; benzylxy optionally substituted with R³⁰ on the phenyl ring; or -OC(=O)R²⁸.

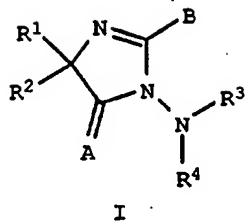
25 6. A compound of Claim 5 which is:

3,5-dihydro-2-methoxy-5-methyl-5-phenyl-3-(phenylamino)-4H-imidazol-4-one; or

30 3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one.

7. A fungicidal composition comprising an effective amount of a compound of Formula I

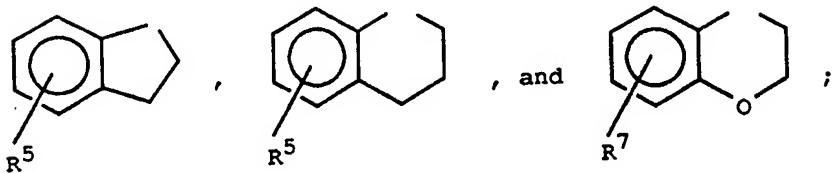
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wherein:

- A is O; S or N-J;
- 5 J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸;
C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG;
- G is H; C₁-C₆ alkyl; benzyl optionally substituted
with R³⁴ on the phenyl ring; C(=O)(C₁-C₄
alkyl); C(=O)(C₁-C₄ alkoxy); or C(=O)NHR³⁶;
- 10 B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro;
R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)_nR⁴⁸; or
SO₂NR⁴⁹R⁶⁰;
- n is 1 or 2;
- 15 R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆ cyclo-
alkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy carbonyl; or
phenylmethyl optionally substituted with R⁶ on
the phenyl ring and with R⁸ on the benzylic
carbon;
- 20 R² is C₁-C₂₀ alkyl optionally substituted with R²²;
C₂-C₂₀ alkoxy alkyl optionally substituted with
R³⁵; C₂-C₂₀ alkenyl optionally substituted with
R⁴²; C₂-C₂₀ alkynyl optionally substituted with
R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-;
(CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇
cycloalkenyl; phenyl optionally substituted
with R⁵ and R⁷; 2-naphthalenyl; thiienyl
optionally substituted with R⁵ and R⁷; furyl
optionally substituted with R⁷; or pyridyl
optionally substituted with R⁵ and R⁷; or
- 25 R¹ and R² can be taken together to form a structure
selected from the group consisting of
- 30 R¹ and R² can be taken together to form a structure
selected from the group consisting of

$-\text{CH}_2(\text{CH}_2)_2\text{CH}_2-$, $-\text{CH}_2(\text{CH}_2)_3\text{CH}_2-$, $-\text{CH}_2(\text{CH}_2)_4\text{CH}_2-$,
 $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH}_2-$,



5

R^3 is phenyl, pyridyl, or pyrimidinyl each optionally substituted with R^{10} ; or phenylmethyl;

R^4 is H or methyl;

10 R^5 is halogen; nitro; cyano; $\text{C}_1\text{-C}_6$ alkyl; $\text{C}_5\text{-C}_6$ cycloalkyl; $\text{C}_1\text{-C}_6$ haloalkyl; $\text{C}_1\text{-C}_6$ alkylthio; $\text{C}_1\text{-C}_6$ haloalkylthio; $\text{C}_1\text{-C}_6$ alkoxy; $\text{C}_1\text{-C}_6$ haloalkoxy; $\text{C}_5\text{-C}_6$ cycloalkyloxy; $\text{C}_2\text{-C}_6$ alkoxyalkyl; $\text{C}_2\text{-C}_6$ alkoxyalkoxy; $\text{C}_3\text{-C}_6$ alkenyl; $\text{C}_3\text{-C}_6$ haloalkenyl; $\text{C}_3\text{-C}_6$ alkenyloxy; $\text{C}_3\text{-C}_6$ alkynyl; $\text{C}_3\text{-C}_6$ haloalkynyl; $\text{C}_3\text{-C}_6$ alkynyloxy; $\text{C}_1\text{-C}_6$ alkylsulfonyl; $\text{C}_1\text{-C}_6$ haloalkylsulfonyl; phenyl or phenylthio each optionally substituted with R^{24} ; phenylmethyl, phenoxy-methyl, phenethyl, or styryl each optionally substituted with R^{24} on the phenyl ring; phenoxy optionally substituted with R^{27} ; benzyloxy optionally substituted with R^{30} on the phenyl ring; $-\text{OC}(=\text{O})\text{NHR}^{28}$; $-\text{C}(=\text{O})\text{OR}^{28}$; or $-\text{OC}(=\text{O})\text{R}^{28}$;

15 R^6 , R^7 , R^{12} , R^{13} , R^{24} , R^{26} and R^{34} are independently 1-2 halogen; nitro; $\text{C}_1\text{-C}_4$ alkyl; trifluoromethyl; methylthio; or $\text{C}_1\text{-C}_4$ alkoxy;

20 R^8 , R^{14} , R^{20} , R^{38} and R^{40} are independently H or $\text{C}_1\text{-C}_4$ alkyl;

25 R^9 is $\text{C}_1\text{-C}_{18}$ alkyl; or phenyl optionally substituted with R^7 ;

R¹⁰, R²⁵ and R³³ are each independently 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkylthio, C₁-C₄ alkoxy and trifluoromethoxy;

5 R¹¹ and R³⁶ are independently C₁-C₆ alkyl; or phenyl optionally substituted with R¹²;

10 R¹⁵ is H; C₁-C₈ alkyl optionally substituted with C₁-C₂ alkoxy; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; phenyl optionally substituted with R¹³; benzyl optionally substituted with R¹³ on the phenyl ring and with R²⁰ on the benzylic carbon; or pyridyl optionally substituted with R¹³;

15 R¹⁶ is H; C₁-C₁₇ alkyl optionally substituted with R³¹; C₂-C₁₇ alkenyl optionally substituted with R³²; C₂-C₇ alkynyl; C₃-C₈ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₄-C₈ cycloalkylalkyl; phenyl optionally substituted with R³³; naphthalenyl, furanyl, thienyl, benzoyl, or pyridyl each optionally substituted with R³⁴; or C₂-C₅ alkoxy carbonyl;

20 R¹⁷ and R¹⁸ are independently C₁-C₁₈ alkyl optionally substituted with R²³; C₂-C₁₀ alkenyl optionally substituted with R³²; C₃-C₈ alkynyl; C₃-C₁₂ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₆-C₇ cycloalkylalkyl; or phenyl, naphthalenyl, or thienyl each optionally substituted with R³⁴;

25 R¹⁹ is H; C₁-C₁₀ alkyl; C₅-C₆ cycloalkyl; or phenyl optionally substituted with R³⁴; or

30 R¹⁹ and R²⁰ can be taken together to form a structure selected from the group consisting of -CH₂(CH₂)₂CH₂-, -CH₂(CH₂)₃CH₂-, -CH₂(CH₂)₄CH₂-, -CH₂CH₂OCH₂CH₂-, -CH₂CH(Me)CH₂CH(Me)CH₂-, and -CH₂CH(Me)OCH(Me)CH₂-;

R²¹ is C₁-C₈ alkyl optionally substituted with R⁵¹;
C₂-C₈ alkenyl or C₂-C₈ alkynyl each optionally
substituted with R⁶⁹; C₃-C₆ cycloalkyl
optionally substituted with 1-3 halogen;
5 C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)SR⁵³;
C(=NR⁵⁵)OR⁵³; C(=S)SR⁵³; C(=O)NR⁵³R⁵⁶; or
C(=NR⁵⁵)NR⁵³R⁵⁶;
V is O; NR⁵⁵; or a direct bond;
R²² is cyano; nitro; C₁-C₁₉ alkylthio; C₁-C₁₉ alkyl-
10 sulfinyl; C₁-C₁₉ haloalkoxy; C₅-C₆ cycloalkyl-
oxy; C₃-C₁₉ alkenyloxy; C₃-C₁₉ alkynyloxy;
C₁-C₁₉ alkylsulfonyl; C₂-C₁₉ alkoxy carbonyl;
hydroxyl; hydroxycarbonyl; R²⁸C(=O)O;
R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R³⁹R⁴⁰N; (C₁-C₄
15 alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl,
phenylthio, phenoxy, phenylsulfonyl, phenyl-
sulfinyl, pyridyl or pyridyloxy each optionally
substituted with R³⁰; thienyl, pyrimidinyl,
furanyl, naphthalenyl, pyrimidinyloxy,
20 naphthalenyloxy each optionally substituted
with R⁷; tetrahydropyranyl; C₃-C₆ cycloalkyl;
2-tetrahydropyranyloxy; or C(=Q)R⁴⁰;
E is O or S;
Q is O or N-T-W;
25 T is O; NR³⁷; or a direct bond;
W is H; C₁-C₈ alkyl, C₃-C₈ alkenyl; phenylmethyl
optionally substituted with R⁷ on the phenyl
ring and R¹⁴ on the benzylic carbon; phenyl or
pyridyl each optionally substituted with R⁷;
30 C(=O)R²⁸; C(=O)OR²⁸; or C(=O)NR²⁸R¹⁴;
R²³ is 1-3 halogen; C₁-C₁₂ alkoxy; C₁-C₁₂ alkylthio;
phenyl or naphthalenyl each optionally
substituted with R³⁴; or phenoxy methyl
optionally substituted with R³⁴ on the phenyl
35 ring;

- R²⁷ is 1-2 halogen; nitro; cyano; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₄ alkylsulfonyl; C₂-C₆ alkoxyalkyl; C₁-C₄ alkyl-thio; C₅-C₆ cycloalkyl; C₅-C₆ cycloalkyloxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; hydroxycarbonyl; C₂-C₄ alkoxy carbonyl; or phenoxy optionally substituted with R²⁴;
- 5 R²⁸ is C₁-C₈ alkyl; or phenyl or pyridyl each optionally substituted with R³⁰;
- 10 R²⁹ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹;
- 15 C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄ alkyl)]OR⁵³; C(=O)NR⁵³R⁵⁶; N=CR⁶⁸R⁶⁷; or SO₂R⁵²;
- R³⁰ is 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkoxy and trifluoromethoxy; or phenoxy optionally substituted with R²⁶;
- 20 R³¹ is 1-3 halogen; C₁-C₁₈ alkoxy; allyloxy; C₁-C₁₈ alkylthio; phenyl, phenoxy, benzyloxy, or phenylthio each optionally substituted with R³⁴ on the phenyl ring; acetyl; or C₂-C₅ alkoxy-carbonyl;
- 25 R³² is 1-3 halogen; or C₁-C₄ alkoxy;
- R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-oxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyoxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O;
- 30 R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O;
- 35 R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenyl-thio, phenylsulfonyl, phenylsulfinyl, pyridyl

or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷;

5 tetrahydropyranyl; 2-tetrahydropyranyloxy; C₁-C₁₇ alkoxy; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; C₃-C₆ cycloalkyl; or C₂-C₁₇ haloalkoxy-alkoxy;

10 R³⁷ is H; C₁-C₆ alkyl; or phenyl optionally substituted with R⁷;

15 R³⁹ is C₁-C₁₉ alkyl; C₂-C₁₉ alkylcarbonyl; C₂-C₁₉ alkoxy carbonyl; (R⁹R⁴⁰N)C=O; phenyl optionally substituted with R²⁵; or phenoxy carbonyl optionally substituted with R⁷;

20 R⁴¹ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl,

25 furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydro-pyranyloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; or C₃-C₆ cycloalkyl;

30 R⁴² is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O;

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R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenyl-thio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranyloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; or C₃-C₆ cycloalkyl;

5 R⁴⁴ is 1-3 halogen; cyano; nitro; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfonyl; phenyl or phenoxy each optionally substituted with R⁵⁷ and R⁵⁹; NR⁴⁹R⁵⁰; or R⁶²;

10 R⁴⁵ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; NR⁵⁴R⁵⁵; or SR⁵⁴;

15 R⁴⁶ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; NR⁵⁶R⁶⁴; OR⁶⁵; or SR⁶⁵;

20 R⁴⁷ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹;

25 C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄ alkyl)]OR⁵³; or C(=O)NR⁵³R⁵⁶;

30 R⁴⁸ is C₁-C₆ alkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸; or phenylmethyl optionally substituted with R⁵⁸ on the phenyl ring;

35 R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclopropyl;

R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or

phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring; or R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-; -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;

5 R⁵¹ is 1-3 halogen; C₁-C₆ alkoxy; C₂-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₃-C₆ alkenyloxy; C₃-C₆ alkynyl-oxo; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylsulfonyl; phenylsulfonyl optionally substituted with R⁵⁷; phenyl or phenoxy each optionally substituted with R⁵⁸ and R⁵⁹; OH; SH; nitro; cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;

10 R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

15 R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring;

20 R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄ alkyl;

25 R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or cyano;

30 R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl; ethyl; methylthio; cyano; or methoxycarbonyl; R⁵⁹ is halogen or C₁-C₄ alkyl;

35 R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; or C(=O)R⁶¹;

40 R⁶¹ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

45 R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²; SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²;

N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶;
OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or
N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;

R⁶³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl;
5 C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl
optionally substituted with R⁵⁸ and R⁵⁹; or
phenylmethyl optionally substituted with R⁵⁸
and R⁵⁹ on the phenyl ring; C(=O)R⁵²;
C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; OR⁵³; or
SO₂R⁵²;

R⁶⁴ is C₁-C₄ alkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;

R⁶⁵ and R⁶⁶ are each independently C₁-C₄ alkyl;
C₃-C₄ haloalkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;

R⁶⁷ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; phenyl optionally
substituted with R⁵⁷; OR⁶⁶; SR⁶⁶; or NR⁵⁴R⁶⁶;

R⁶⁸ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
alkenyl; and

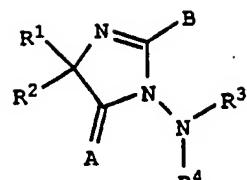
R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴;
provided that the total number of carbons in R², R¹⁶,

R¹⁷ and R¹⁸ is each less than or equal to 20;

and at least one of (a) a surfactant, (b) an organic
solvent, and (c) at least one solid or liquid diluent.

8. A method for controlling plant diseases caused
by fungal plant pathogens comprising applying to the
plant or portion thereof to be protected, or to the
plant seed or seedling to be protected, an effective
amount of a compound of Formula I

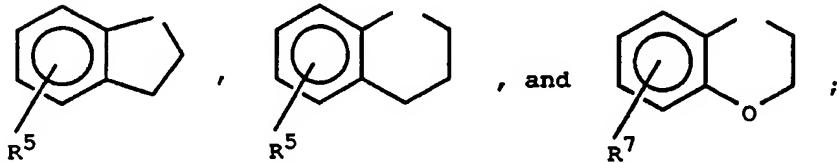
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wherein:

- A is O; S or N-J;
- 5 J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸;
C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG;
- G is H; C₁-C₆ alkyl; benzyl optionally substituted with R³⁴ on the phenyl ring; C(=O)(C₁-C₄ alkyl); C(=O)(C₁-C₄ alkoxy); or C(=O)NHR³⁶;
- 10 B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro;
R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)_nR⁴⁸; or
SO₂NR⁴⁹R⁶⁰;
- n is 1 or 2;
- 15 R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆ cycloalkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy-carbonyl; or phenylmethyl optionally substituted with R⁶ on the phenyl ring and with R⁸ on the benzylic carbon;
- 20 R² is C₁-C₂₀ alkyl optionally substituted with R²²;
C₂-C₂₀ alkoxyalkyl optionally substituted with R³⁵; C₂-C₂₀ alkenyl optionally substituted with R⁴²; C₂-C₂₀ alkynyl optionally substituted with R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-;
(CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇ cycloalkenyl; phenyl optionally substituted with R⁵ and R⁷; 2-naphthalenyl; thienyl optionally substituted with R⁵ and R⁷; furyl optionally substituted with R⁷; or pyridyl optionally substituted with R⁵ and R⁷; or
- 25 R¹ and R² can be taken together to form a structure selected from the group consisting of
- 30

$-\text{CH}_2(\text{CH}_2)_2\text{CH}_2-$, $-\text{CH}_2(\text{CH}_2)_3\text{CH}_2-$, $-\text{CH}_2(\text{CH}_2)_4\text{CH}_2-$,
 $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH}_2-$,



5

R³ is phenyl, pyridyl, or pyrimidinyl each
 optionally substituted with R¹⁰; or
 phenylmethyl;

R⁴ is H or methyl;

10 R⁵ is halogen; nitro; cyano; C₁-C₆ alkyl; C₅-C₆
 cycloalkyl; C₁-C₆ haloalkyl; C₁-C₆ alkylthio;
 C₁-C₆ haloalkylthio; C₁-C₆ alkoxy; C₁-C₆
 haloalkoxy; C₅-C₆ cycloalkyloxy; C₂-C₆
 alkoxyalkyl; C₂-C₆ alkoxyalkoxy; C₃-C₆ alkenyl;
 C₃-C₆ haloalkenyl; C₃-C₆ alkenyloxy; C₃-C₆

15 alkynyl; C₃-C₆ haloalkynyl; C₃-C₆ alkynyloxy;
 C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylsulfonyl;
 phenyl or phenylthio each optionally
 substituted with R²⁴; phenylmethyl,

20 phenoxyethyl, phenethyl, or styryl each
 optionally substituted with R²⁴ on the phenyl
 ring; phenoxy optionally substituted with R²⁷;
 benzyloxy optionally substituted with R³⁰ on
 the phenyl ring; -OC(=O)NHR²⁸; -C(=O)OR²⁸; or
 -OC(=O)R²⁸;

25 R⁶, R⁷, R¹², R¹³, R²⁴, R²⁶ and R³⁴ are independently
 1-2 halogen; nitro; C₁-C₄ alkyl; trifluoro-
 methyl; methylthio; or C₁-C₄ alkoxy;

30 R⁸, R¹⁴, R²⁰, R³⁸ and R⁴⁰ are independently H or
 C₁-C₄ alkyl;

R⁹ is C₁-C₁₈ alkyl; or phenyl optionally
 substituted with R⁷;

R^{10} , R^{25} and R^{33} are each independently 1-2
 substituents selected from the group consisting
 of halogen, nitro, cyano, C_1-C_4 alkyl,
 trifluoromethyl, C_1-C_4 alkylthio, C_1-C_4 alkoxy
 and trifluoromethoxy;
 5 R^{11} and R^{36} are independently C_1-C_6 alkyl; or
 phenyl optionally substituted with R^{12} ;
 R^{15} is H; C_1-C_8 alkyl optionally substituted with
 C_1-C_2 alkoxy; C_3-C_6 cycloalkyl; C_3-C_8 alkenyl;
 10 C_3-C_8 alkynyl; phenyl optionally substituted
 with R^{13} ; benzyl optionally substituted with
 R^{13} on the phenyl ring and with R^{20} on the
 benzylic carbon; or pyridyl optionally
 substituted with R^{13} ;
 15 R^{16} is H; C_1-C_{17} alkyl optionally substituted with
 R^{31} ; C_2-C_{17} alkenyl optionally substituted with
 R^{32} ; C_2-C_7 alkynyl; C_3-C_8 cycloalkyl; C_5-C_6
 cycloalkenyl; C_6-C_7 alkylcycloalkyl; C_4-C_8
 cycloalkylalkyl; phenyl optionally substituted
 with R^{33} ; naphthalenyl, furanyl, thienyl,
 20 benzoyl, or pyridyl each optionally substituted
 with R^{34} ; or C_2-C_5 alkoxy carbonyl;
 R^{17} and R^{18} are independently C_1-C_{18} alkyl
 optionally substituted with R^{23} ; C_2-C_{10} alkenyl
 25 optionally substituted with R^{32} ; C_3-C_8 alkynyl;
 C_3-C_{12} cycloalkyl; C_5-C_6 cycloalkenyl; C_6-C_7
 alkylcycloalkyl; C_6-C_7 cycloalkylalkyl; or
 phenyl, naphthalenyl, or thienyl each
 optionally substituted with R^{34} ;
 30 R^{19} is H; C_1-C_{10} alkyl; C_5-C_6 cycloalkyl; or phenyl
 optionally substituted with R^{34} ; or
 R^{19} and R^{20} can be taken together to form a
 35 structure selected from the group consisting of
 $-CH_2(CH_2)_2CH_2-$, $-CH_2(CH_2)_3CH_2-$, $-CH_2(CH_2)_4CH_2-$,
 $-CH_2CH_2OCH_2CH_2-$, $-CH_2CH(Me)CH_2CH(Me)CH_2-$, and
 $-CH_2CH(Me)OCH(Me)CH_2-$;

R²¹ is C₁-C₈ alkyl optionally substituted with R⁵¹;
 C₂-C₈ alkenyl or C₂-C₈ alkynyl each optionally
 substituted with R⁶⁹; C₃-C₆ cycloalkyl
 optionally substituted with 1-3 halogen;
 5 C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)SR⁵³;
 C(=NR⁵⁵)OR⁵³; C(=S)SR⁵³; C(=O)NR⁵³R⁵⁶; or
 C(=NR⁵⁵)NR⁵³R⁵⁶;
 V is O; NR⁵⁵; or a direct bond;
 R²² is cyano; nitro; C₁-C₁₉ alkylthio; C₁-C₁₉ alkyl-
 10 sulfinyl; C₁-C₁₉ haloalkoxy; C₅-C₆ cyclo-
 alkyloxy; C₃-C₁₉ alkenyloxy; C₃-C₁₉ alkynyoxy;
 C₁-C₁₉ alkylsulfonyl; C₂-C₁₉ alkoxy carbonyl;
 hydroxyl; hydroxycarbonyl; R²⁸C(=O)O;
 R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R³⁹R⁴⁰N; (C₁-C₄
 15 alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl,
 phenylthio, phenoxy, phenylsulfonyl, phenyl-
 sulfinyl, pyridyl or pyridyloxy each optionally
 substituted with R³⁰; thienyl, pyrimidinyl,
 furanyl, naphthalenyl, pyrimidinyloxy,
 20 naphthalenyl, naphthalenyoxy each optionally substituted
 with R⁷; tetrahydropyranyl; C₃-C₆ cycloalkyl;
 2-tetrahydropyranyloxy; or C(=Q)R⁴⁰;
 E is O or S;
 Q is O or N-T-W;
 25 T is O; NR³⁷; or a direct bond;
 W is H; C₁-C₈ alkyl, C₃-C₈ alkenyl; phenylmethyl
 optionally substituted with R⁷ on the phenyl
 ring and R¹⁴ on the benzylic carbon; phenyl or
 pyridyl each optionally substituted with R⁷;
 30 C(=O)R²⁸; C(=O)OR²⁸; or C(=O)NR²⁸R¹⁴;
 R²³ is 1-3 halogen; C₁-C₁₂ alkoxy; C₁-C₁₂ alkylthio;
 phenyl or naphthalenyl each optionally
 substituted with R³⁴; or phenoxy methyl
 optionally substituted with R³⁴ on the phenyl
 35 ring;

R²⁷ is 1-2 halogen; nitro; cyano; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₄ alkylsulfonyl; C₂-C₆ alkoxyalkyl; C₁-C₄ alkylthio; C₅-C₆ cycloalkyl; C₅-C₆ cycloalkyloxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; hydroxycarbonyl; C₂-C₄ alkoxy carbonyl; or phenoxy optionally substituted with R²⁴;

5 R²⁸ is C₁-C₈ alkyl; or phenyl or pyridyl each optionally substituted with R³⁰;

10 R²⁹ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹;

15 C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[N(C₁-C₄ alkyl)]OR⁵³; C(=O)NR⁵³R⁵⁶; N=CR⁶⁸R⁶⁷; or SO₂R⁵²;

20 R³⁰ is 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkoxy and trifluoromethoxy; or phenoxy optionally substituted with R²⁶;

25 R³¹ is 1-3 halogen; C₁-C₁₈ alkoxy; allyloxy; C₁-C₁₈ alkylthio; phenyl, phenoxy, benzyloxy, or phenylthio each optionally substituted with R³⁴ on the phenyl ring; acetyl; or C₂-C₅ alkoxy-carbonyl;

30 R³² is 1-3 halogen; or C₁-C₄ alkoxy;

R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-oxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyoxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O;

35 R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfinyl, pyridyl

or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; C₃-C₆ cycloalkyl; or C₂-C₁₇ haloalkoxyalkoxy; R³⁷ is H; C₁-C₆ alkyl; or phenyl optionally substituted with R⁷;

R³⁹ is C₁-C₁₉ alkyl; C₂-C₁₉ alkylcarbonyl; C₂-C₁₉ alkoxy carbonyl; (R⁹R⁴⁰N)C=O; phenyl optionally substituted with R²⁵; or phenoxy carbonyl optionally substituted with R⁷;

R⁴¹ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ alkynylloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; or C₃-C₆ cycloalkyl;

R⁴² is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynylloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylthio, phenylsulfonyl,

phenylsulfinyl, pyridyl or pyridyloxy each
optionally substituted with R³⁰; thienyl,
pyrimidinyl, furanyl, naphthalenyl,
pyrimidinyloxy, naphthalenyloxy each optionally
substituted with R⁷; tetrahydropyranyl;
5 2-tetrahydropyranyloxy; C₁-C₁₇ alkoxy; 1-3
halogen; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; or
C₃-C₆ cycloalkyl;

10 R⁴⁴ is 1-3 halogen; cyano; nitro; C₁-C₆ alkoxy;
C₁-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆
alkylthio; C₁-C₆ alkylsulfonyl; phenyl or
phenoxy each optionally substituted with R⁵⁷
and R⁵⁹; NR⁴⁹R⁵⁰; or R⁶²;

15 R⁴⁵ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; NR⁵⁴R⁵⁵; or SR⁵⁴;

R⁴⁶ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; phenyl optionally
substituted with R⁵⁷; NR⁵⁶R⁶⁴; OR⁶⁵; or SR⁶⁵;

20 R⁴⁷ is C₁-C₈ alkyl optionally substituted with R⁴⁴;
C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally
substituted with R⁶⁹; C₃-C₆ cycloalkyl
optionally substituted with 1-3 halogen; phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄
alkyl)]OR⁵³; or C(=O)NR⁵³R⁵⁶;

25 R⁴⁸ is C₁-C₆ alkyl; C₂-C₆ alkenyl; C₂-C₆ halo-
alkenyl; C₂-C₆ alkynyl; C₂-C₆ alkoxyalkyl;
phenyl optionally substituted with R⁵⁸; or
phenylmethyl optionally substituted with R⁵⁸ on
the phenyl ring;

30 R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclo-
propyl;

R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl;
C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl
optionally substituted with R⁵⁸ and R⁵⁹; or

phenylmethyl optionally substituted with R⁵⁸
and R⁵⁹ on the phenyl ring; or
R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-;
-(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;

5 R⁵¹ is 1-3 halogen; C₁-C₆ alkoxy; C₂-C₆ haloalkoxy;
C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆
haloalkylthio; C₃-C₆ alkenyloxy; C₃-C₆ alkynyl-
oxy; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkyl-
sulfonyl; phenylsulfonyl optionally substituted
with R⁵⁷; phenyl or phenoxy each optionally
substituted with R⁵⁸ and R⁵⁹; OH; SH; nitro;
10 cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;

R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; or phenyl
optionally substituted with R⁵⁷;

15 R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆
haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl;
phenyl optionally substituted with R⁵⁸ and R⁵⁹;
or phenylmethyl optionally substituted with R⁵⁸
20 and R⁵⁹ on the phenyl ring;

R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄
alkyl;

R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or
cyano;

25 R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl;
ethyl; methylthio; cyano; or methoxycarbonyl;

R⁵⁹ is halogen or C₁-C₄ alkyl;

R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl;
C₂-C₆ haloalkenyl; phenyl optionally
30 substituted with R⁵⁷; or C(=O)R⁶¹;

R⁶¹ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; or phenyl
optionally substituted with R⁵⁷;

R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶;
35 C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²;
SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²;

$N(R^{56})C(=NR^{55})R^{52}$; $OC(=O)OR^{53}$; $OC(=O)NR^{53}R^{56}$;
 $OC(=S)SR^{53}$; $SC(=O)OR^{53}$; $N(R^{56})C(=O)OR^{53}$; or
 $N(R^{56})C(=NR^{55})NR^{53}R^{54}$;

5 R^{63} is H; C_1-C_6 alkyl; C_3-C_6 alkenyl; C_3-C_6 alkynyl;
 C_2-C_6 alkoxyalkyl; C_3-C_6 haloalkenyl; phenyl
 optionally substituted with R^{58} and R^{59} ; or
 phenylmethyl optionally substituted with R^{58}
 and R^{59} on the phenyl ring; $C(=O)R^{52}$;
 $C(=NR^{55})R^{52}$; $C(=O)OR^{53}$; $C(=O)NR^{53}R^{56}$; OR^{53} ; or
10 SO_2R^{52} ;

R^{64} is C_1-C_4 alkyl; C_3-C_6 alkenyl; or phenyl
 optionally substituted with R^{57} and R^{59} ;

15 R^{65} and R^{66} are each independently C_1-C_4 alkyl;
 C_3-C_4 haloalkyl; C_3-C_6 alkenyl; or phenyl
 optionally substituted with R^{57} and R^{59} ;

R^{67} is H; C_1-C_4 alkyl; C_1-C_4 haloalkyl; or C_2-C_4
 alkenyl; C_2-C_6 haloalkenyl; phenyl optionally
 substituted with R^{57} ; OR^{66} ; SR^{66} ; or $NR^{54}R^{66}$;

20 R^{68} is H; C_1-C_4 alkyl; C_1-C_4 haloalkyl; or C_2-C_4
 alkenyl; and

R^{69} is 1-3 halogen; cyano; nitro; or $C(=O)OR^{54}$;
provided that the total number of carbons in R^2 , R^{16} ,
 R^{17} and R^{18} is each less than or equal to 20.

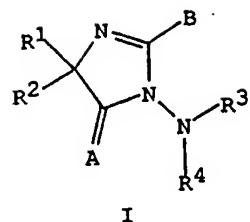
25 9. A method for controlling plant diseases caused
 by fungal plant pathogens comprising applying to the
 plant or portion thereof to be protected, or to the
 plant seed or seedling to be protected, an effective
 amount of a composition of Claim 7.

AMENDED CLAIMS

[received by the International Bureau
on 18 October 1993 (18.10.93);
original claims unchanged;
new claims 10-18 added (27 pages)]

- N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶;
OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or
N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;
- R⁶³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl;
5 C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl
optionally substituted with R⁵⁸ and R⁵⁹; or
phenylmethyl optionally substituted with R⁵⁸
and R⁵⁹ on the phenyl ring; C(=O)R⁵²;
C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; OR⁵³; or
10 SO₂R⁵²;
- R⁶⁴ is C₁-C₄ alkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
- R⁶⁵ and R⁶⁶ are each independently C₁-C₄ alkyl;
15 C₃-C₄ haloalkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
- R⁶⁷ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
20 alkenyl; C₂-C₆ haloalkenyl; phenyl optionally
substituted with R⁵⁷; OR⁶⁶; SR⁶⁶; or NR⁵⁴R⁶⁶;
- R⁶⁸ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
25 alkenyl; and
- R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴;
provided that the total number of carbons in R², R¹⁶,
R¹⁷ and R¹⁸ is each less than or equal to 20.
9. A method for controlling plant diseases caused
25 by fungal plant pathogens comprising applying to the
plant or portion thereof to be protected, or to the
plant seed or seedling to be protected, an effective
amount of a composition of Claim 7.
10. A fungicidal composition comprising an effective
30 amount of a compound of Formula I

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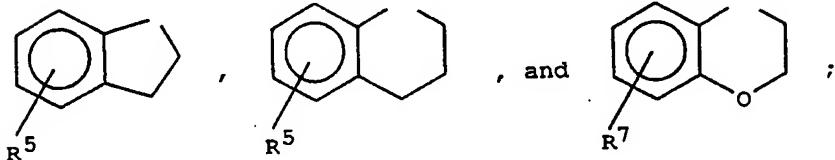


wherein:

- 5 A is O; S or N-J;
 J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸;
 C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG;
 G is H; C₁-C₆ alkyl; benzyl optionally substituted
 with R³⁴ on the phenyl ring; C(=O)(C₁-C₄
 alkyl); C(=O)(C₁-C₄ alkoxy); or C(=O)NHR³⁶;
- 10 B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro;
 R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)_nR⁴⁸; or
 SO₂NR⁴⁹R⁶⁰;
- 15 n is 1 or 2;
- 15 R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆
 cycloalkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy-
 carbonyl; or phenylmethyl optionally
 substituted with R⁶ on the phenyl ring and with
 R⁸ on the benzylic carbon;
- 20 R² is C₁-C₂₀ alkyl optionally substituted with R²²;
 C₂-C₂₀ alkoxyalkyl optionally substituted with
 R³⁵; C₂-C₂₀ alkenyl optionally substituted with
 R⁴²; C₂-C₂₀ alkynyl optionally substituted with
 R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-;
 (CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇
 cycloalkenyl; phenyl optionally substituted
 with R⁵ and R⁷; 2-naphthalenyl; thiienyl
 optionally substituted with R⁵ and R⁷; furyl
 optionally substituted with R⁷; or pyridyl
 optionally substituted with R⁵ and R⁷; or
- 25 30

R^1 and R^2 can be taken together to form a structure selected from the group consisting of
 $-CH_2(CH_2)_2CH_2-$, $-CH_2(CH_2)_3CH_2-$, $-CH_2(CH_2)_4CH_2-$,
 $-CH_2CH_2OCH_2CH_2-$, $-CH_2CH_2SCH_2CH_2-$,

5



R^3 is phenyl, pyridyl, or pyrimidinyl each optionally substituted with R^{10} ; or phenylmethyl;

10

R^4 is H or methyl;

15

R^5 is halogen; nitro; cyano; C_1-C_6 alkyl; C_5-C_6 cycloalkyl; C_1-C_6 haloalkyl; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_5-C_6 cycloalkyloxy; C_2-C_6 alkoxyalkyl; C_2-C_6 alkoxyalkoxy; C_3-C_6 alkenyl; C_3-C_6 haloalkenyl; C_3-C_6 alkenyloxy; C_3-C_6 alkynyl; C_3-C_6 haloalkynyl; C_3-C_6 alkynyloxy; C_1-C_6 alkylsulfonyl; C_1-C_6 haloalkylsulfonyl; phenyl or phenylthio each optionally substituted with

20

R^{24} ; phenylmethyl, phenoxyethyl, phenethyl, or styryl each optionally substituted with R^{24} on the phenyl ring; phenoxy optionally substituted with R^{27} ; benzyloxy optionally substituted with R^{30} on the phenyl ring; $-OC(=O)NHR^{28}$;

25

$-C(=O)OR^{28}$; or $-OC(=O)R^{28}$;

R^6 , R^7 , R^{12} , R^{13} , R^{24} , R^{26} and R^{34} are independently 1-2 halogen; nitro; C_1-C_4 alkyl; trifluoromethyl; methylthio; or C_1-C_4 alkoxy;

30

R^8 , R^{14} , R^{20} , R^{38} and R^{40} are independently H or C_1-C_4 alkyl;

R⁹ is C₁-C₁₈ alkyl; or phenyl optionally substituted with R⁷;

5 R¹⁰, R²⁵ and R³³ are each independently 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkylthio, C₁-C₄ alkoxy and trifluoromethoxy;

10 R¹¹ and R³⁶ are independently C₁-C₆ alkyl; or phenyl optionally substituted with R¹²;

15 R¹⁵ is H; C₁-C₈ alkyl optionally substituted with C₁-C₂ alkoxy; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; phenyl optionally substituted with R¹³; benzyl optionally substituted with R¹³ on the phenyl ring and with R²⁰ on the benzylic carbon; or pyridyl optionally substituted with R¹³;

20 R¹⁶ is H; C₁-C₁₇ alkyl optionally substituted with R³¹; C₂-C₁₇ alkenyl optionally substituted with R³²; C₂-C₇ alkynyl; C₃-C₈ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₄-C₈ cycloalkylalkyl; phenyl optionally substituted with R³³; naphthalenyl, furanyl, thienyl, benzoyl, or pyridyl each optionally substituted with R³⁴; or C₂-C₅ alkoxy carbonyl;

25 R¹⁷ and R¹⁸ are independently C₁-C₁₈ alkyl optionally substituted with R²³; C₂-C₁₀ alkenyl optionally substituted with R³²; C₃-C₈ alkynyl; C₃-C₁₂ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₆-C₇ cycloalkylalkyl; or phenyl, naphthalenyl, or thienyl each optionally substituted with R³⁴;

30 R¹⁹ is H; C₁-C₁₀ alkyl; C₅-C₆ cycloalkyl; or phenyl optionally substituted with R³⁴; or

35 R¹⁹ and R²⁰ can be taken together to form a structure selected from the group consisting of

-CH₂(CH₂)₂CH₂-, -CH₂(CH₂)₃CH₂-, -CH₂(CH₂)₄CH₂-,
-CH₂CH₂OCH₂CH₂-, -CH₂CH(Me)CH₂CH(Me)CH₂-, and
-CH₂CH(Me)OCH(Me)CH₂-;

R²¹ is C₁-C₈ alkyl optionally substituted with R⁵¹;
5 C₂-C₈ alkenyl or C₂-C₈ alkynyl each optionally
substituted with R⁶⁹; C₃-C₆ cycloalkyl
optionally substituted with 1-3 halogen;
C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)SR⁵³;
C(=NR⁵⁵)OR⁵³; C(=S)SR⁵³; C(=O)NR⁵³R⁵⁶; or
C(=NR⁵⁵)NR⁵³R⁵⁶;

V is O; NR⁵⁵; or a direct bond;

R²² is cyano; nitro; C₁-C₁₉ alkylthio; C₁-C₁₉ alkyl-
sulfinyl; C₁-C₁₉ haloalkoxy; C₅-C₆ cycloalkyl-
oxy; C₃-C₁₉ alkenyloxy; C₃-C₁₉ alkynyloxy;

15 C₁-C₁₉ alkylsulfonyl; C₂-C₁₉ alkoxy carbonyl;
hydroxyl; hydroxycarbonyl; R²⁸C(=O)O;
R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R³⁹R⁴⁰N; (C₁-C₄
alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl,
phenylthio, phenoxy, phenylsulfonyl, phenyl-
20 sulfinyl, pyridyl or pyridyloxy each optionally
substituted with R³⁰; thienyl, pyrimidinyl,
furanyl, naphthalenyl, pyrimidinyloxy,
naphthalenyloxy each optionally substituted
with R⁷; tetrahydropyranyl; C₃-C₆ cycloalkyl;
2-tetrahydropyrynyloxy; or C(=Q)R⁴⁰;

E is O or S;

Q is O or N-T-W;

T is O; NR³⁷; or a direct bond;

W is H; C₁-C₈ alkyl, C₃-C₈ alkenyl; phenylmethyl

30 optionally substituted with R⁷ on the phenyl
ring and R¹⁴ on the benzylic carbon; phenyl or
pyridyl each optionally substituted with R⁷;
C(=O)R²⁸; C(=O)OR²⁸; or C(=O)NR²⁸R¹⁴;

R²³ is 1-3 halogen; C₁-C₁₂ alkoxy; C₁-C₁₂ alkylthio;
35 phenyl or naphthalenyl each optionally

- substituted with R³⁴; or phenoxyethyl
optionally substituted with R³⁴ on the phenyl
ring;
- 5 R²⁷ is 1-2 halogen; nitro; cyano; C₁-C₆ alkyl; C₁-C₆
haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₄
alkylsulfonyl; C₂-C₆ alkoxyalkyl; C₁-C₄ alkyl-
thio; C₅-C₆ cycloalkyl; C₅-C₆ cycloalkyloxy;
C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl;
hydroxycarbonyl; C₂-C₄ alkoxy carbonyl; or
10 phenoxy optionally substituted with R²⁴;
- R²⁸ is C₁-C₈ alkyl; or phenyl or pyridyl each
optionally substituted with R³⁰;
- 15 R²⁹ is C₁-C₈ alkyl optionally substituted with R⁴⁴;
C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally
substituted with R⁶⁹; C₃-C₆ cycloalkyl
optionally substituted with 1-3 halogen; phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄
alkyl)]OR⁵³; C(=O)NR⁵³R⁵⁶; N=CR⁶⁸R⁶⁷; or SO₂R⁵²;
- 20 R³⁰ is 1-2 substituents selected from the group
consisting of halogen, nitro, cyano, C₁-C₄
alkyl, trifluoromethyl, C₁-C₄ alkoxy and
trifluoromethoxy; or phenoxy optionally
substituted with R²⁶;
- 25 R³¹ is 1-3 halogen; C₁-C₁₈ alkoxy; allyloxy; C₁-C₁₈
alkylthio; phenyl, phenoxy, benzyloxy, or
phenylthio each optionally substituted with R³⁴
on the phenyl ring; acetyl; or C₂-C₅ alkoxy-
carbonyl;
- 30 R³² is 1-3 halogen; or C₁-C₄ alkoxy;
- 35 R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkyl-
sulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-
oxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy;
C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyoxy; C₁-C₁₇
alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl;

hydroxycarbonyl; $R^{28}C(=O)O$; $R^{28}OC(=O)O$;
5 $R^{28}R^{40}NC(=O)O$; $R^{40}R^{39}N$; $(C_1-C_4$ alkoxy $)_2P(=E)O$;
 $R^{11}SO_3$; $R^{40}R^{14}R^{38}N^+$; phenyl, phenoxy, phenyl-
 thio, phenylsulfonyl, phenylsulfinyl, pyridyl
 or pyridyloxy each optionally substituted with
 R^{30} ; thienyl, pyrimidinyl, furanyl,
 naphthalenyl, pyrimidinyloxy, naphthalenyloxy
 each optionally substituted with R^7 ; tetra-
 hydropyranyl; 2-tetrahydropyranyloxy; C_1-C_{17}
10 alkoxy; C_2-C_{17} alkoxyalkoxy; C_3-C_{17} alkynyl;
 C_3-C_6 cycloalkyl; or C_2-C_{17} haloalkoxyalkoxy;
 R^{37} is H; C_1-C_6 alkyl; or phenyl optionally
 substituted with R^7 ;
 R^{39} is C_1-C_{19} alkyl; C_2-C_{19} alkylcarbonyl; C_2-C_{19}
15 alkoxy carbonyl; $(R^9R^{40}N)C=O$; phenyl optionally
 substituted with R^{25} ; or phenoxy carbonyl
 optionally substituted with R^7 ;
 R^{41} is cyano; nitro; C_1-C_{17} alkylthio; C_1-C_{17} alkyl-
20 sulfinyl; C_1-C_{17} haloalkoxy; C_5-C_6 cycloalkyl-
 oxy; C_3-C_{17} alkenyloxy; C_3-C_{17} alkynyloxy;
 C_1-C_{17} alkylsulfonyl; C_2-C_{17} alkoxy carbonyl;
 hydroxyl; hydroxycarbonyl; $R^{28}C(=O)O$;
 $R^{28}OC(=O)O$; $R^{28}R^{40}NC(=O)O$; $R^{40}R^{39}N$; $(C_1-C_4$
25 alkoxy $)_2P(=E)O$; $R^{11}SO_3$; $R^{40}R^{14}R^{38}N^+$; phenyl,
 phenoxy, phenylsulfonyl, phenylsulfinyl,
 pyridyl or pyridyloxy each optionally
 substituted with R^{30} ; thienyl, pyrimidinyl,
 furanyl, naphthalenyl, pyrimidinyloxy,
 naphthalenyloxy each optionally substituted
30 with R^7 ; tetrahydropyranyl; 2-tetrahydro-
 pyranyloxy; C_1-C_{17} alkoxy; 1-3 halogen; C_2-C_{17}
 alkoxyalkoxy; or C_3-C_6 cycloalkyl;
 R^{42} is cyano; nitro; C_1-C_{17} alkylthio; C_1-C_{17} alkyl-
35 sulfinyl; C_1-C_{17} haloalkoxy; C_5-C_6 cyclo-
 alkyloxy; C_3-C_{17} alkenyloxy; C_3-C_{17} haloalkynyl;

C₃-C₁₇ alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺;

5 phenyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenylloxy each optionally substituted with R⁷; tetrahydropyranyl;

10 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; or C₃-C₆ cycloalkyl;

R⁴⁴ is 1-3 halogen; cyano; nitro; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfonyl; phenyl or phenoxy each optionally substituted with R⁵⁷ and R⁵⁹; NR⁴⁹R⁵⁰; or R⁶²;

15 R⁴⁵ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; NR⁵⁴R⁵⁵; or SR⁵⁴;

20 R⁴⁶ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; NR⁵⁶R⁶⁴; OR⁶⁵; or SR⁶⁵;

25 R⁴⁷ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹; C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄ alkyl)]OR⁵³; or C(=O)NR⁵³R⁵⁶;

30 R⁴⁸ is C₁-C₆ alkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸; or phenylmethyl optionally substituted with R⁵⁸ on the phenyl ring;

35

- R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclopropyl;
- 5 R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring; or
- 10 R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-; -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;
- 15 R⁵¹ is 1-3 halogen; C₁-C₆ alkoxy; C₂-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₃-C₆ alkenyloxy; C₃-C₆ alkynyl-oxy; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylsulfonyl; phenylsulfonyl optionally substituted with R⁵⁷; phenyl or phenoxy each optionally substituted with R⁵⁸ and R⁵⁹; OH; SH; nitro; cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;
- 20 R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;
- 25 R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring;
- 30 R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄ alkyl;
- R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or cyano;
- 35 R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl; ethyl; methylthio; cyano; or methoxycarbonyl;
- R⁵⁹ is halogen or C₁-C₄ alkyl;
- R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; or C(=O)R⁶¹;

R⁶¹ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

5 R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²; SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²; N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶; OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;

10 R⁶³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring; C(=O)R⁵²;

15 C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; OR⁵³; or SO₂R⁵²;

R⁶⁴ is C₁-C₄ alkyl; C₃-C₆ alkenyl; or phenyl optionally substituted with R⁵⁷ and R⁵⁹;

20 R⁶⁵ and R⁶⁶ are each independently C₁-C₄ alkyl; C₃-C₄ haloalkyl; C₃-C₆ alkenyl; or phenyl optionally substituted with R⁵⁷ and R⁵⁹;

R⁶⁷ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; OR⁶⁶; SR⁶⁶; or NR⁵⁴R⁶⁶;

25 R⁶⁸ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄ alkenyl; and

R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴; provided that the total number of carbons in R², R¹⁶, R¹⁷ and R¹⁸ is each less than or equal to 20;

30 at least one additional fungicidal compound; and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent.

11. A composition of Claim 10 wherein the additional fungicidal compound is selected from the group consisting of maneb, cymoxanil, fenpropidine,

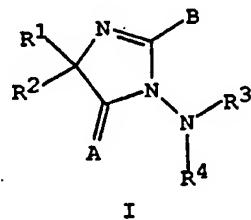
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fenpropimorph, phosethyl-Al, metalaxyl, oxadixyl,
 tebuconazole, difenoconazole, diniconazole,
 fluquinoxonazole, ipconazole, metconazole, penconazole,
 propiconazole, uniconazole, copper oxychloride,
 5 furalaxyd, folpet, flusilazol, probenazole,
 tricyclazole, and flutriafol.

12. A composition of Claim 11 wherein the compound
 of Formula I is 3,5-dihydro-2-methoxy-5-methyl-5-
 phenyl-3-(phenylamino)-4H-imidazol-4-one; or
 10 3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenyl-
 amino)-4H-imidazol-4-one.

13. A composition of Claim 12 wherein the compound
 of Formula I is 3,5-dihydro-5-methyl-2-(methylthio)-5-
 phenyl-3-(phenylamino)-4H-imidazol-4-one and the
 15 additional fungicidal compound is cymoxanil.

14. A method for controlling plant diseases
 comprising applying to the plant or portion thereof to
 be protected, or to the plant seed or seedling to be
 protected an effective amount of fungicidal composition
 20 comprising a compound of Formula I



wherein:

- 25 A is O; S or N-J;
 J is R¹⁵; C(=O)R¹⁶; C(=O)OR¹⁷; C(=O)SR¹⁸;
 C(=O)NR¹⁹R²⁰; P(=O)(C₁-C₄ alkyl)₂; or OG;
 G is H; C₁-C₆ alkyl; benzyl optionally substituted
 with R³⁴ on the phenyl ring; C(=O)(C₁-C₄
 alkyl); C(=O)(C₁-C₄ alkoxy); or C(=O)NHR³⁶;
- 30

B is H; halogen; cyano; NC; S=C=N; O=C=N; nitro;
 R²¹; OR²⁹; NR⁴⁹R⁶³; N=CR⁴⁵R⁴⁶; SR⁴⁷; S(O)_nR⁴⁸; or
 SO₂NR⁴⁹R⁶⁰;

n is 1 or 2;

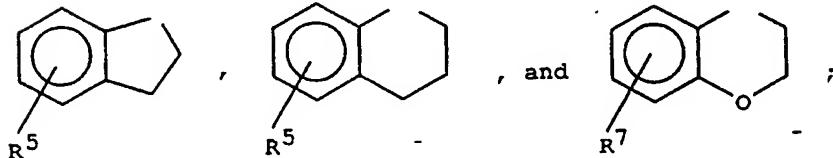
5 R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆
 cycloalkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy-
 carbonyl; or phenylmethyl optionally
 substituted with R⁶ on the phenyl ring and with
 R⁸ on the benzylic carbon;

10 R² is C₁-C₂₀ alkyl optionally substituted with R²²;
 C₂-C₂₀ alkoxyalkyl optionally substituted with
 R³⁵; C₂-C₂₀ alkenyl optionally substituted with
 R⁴²; C₂-C₂₀ alkynyl optionally substituted with
 R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-;

15 (CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇
 cycloalkenyl; phenyl optionally substituted
 with R⁵ and R⁷; 2-naphthalenyl; thiienyl
 optionally substituted with R⁵ and R⁷; furyl
 optionally substituted with R⁷; or pyridyl
 optionally substituted with R⁵ and R⁷; or

20 R¹ and R² can be taken together to form a structure
 selected from the group consisting of
 -CH₂(CH₂)₂CH₂-; -CH₂(CH₂)₃CH₂-; -CH₂(CH₂)₄CH₂-,
 -CH₂CH₂OCH₂CH₂-; -CH₂CH₂SCH₂CH₂-,

25



30

R³ is phenyl, pyridyl, or pyrimidinyl each
 optionally substituted with R¹⁰; or phenyl-
 methyl;

R⁴ is H or methyl;

R⁵ is halogen; nitro; cyano; C₁-C₆ alkyl; C₅-C₆ cycloalkyl; C₁-C₆ haloalkyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₅-C₆ cycloalkyloxy; C₂-C₆ alkoxyalkyl; C₂-C₆ alkoxyalkoxy; C₃-C₆ alkenyl; C₃-C₆ haloalkenyl; C₃-C₆ alkenyloxy; C₃-C₆ alkynyl; C₃-C₆ haloalkynyl; C₃-C₆ alkynyloxy; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkylsulfonyl; phenyl or phenylthio each optionally substituted with R²⁴; phenylmethyl, phenoxyethyl, phenethyl, or styryl each optionally substituted with R²⁴ on the phenyl ring; phenoxy optionally substituted with R²⁷; benzyloxy optionally substituted with R³⁰ on the phenyl ring; -OC(=O)NHR²⁸; -C(=O)OR²⁸; or -OC(=O)R²⁸;

10 R⁶, R⁷, R¹², R¹³, R²⁴, R²⁶ and R³⁴ are independently 1-2 halogen; nitro; C₁-C₄ alkyl; trifluoromethyl; methylthio; or C₁-C₄ alkoxy; R⁸, R¹⁴, R²⁰, R³⁸ and R⁴⁰ are independently H or C₁-C₄ alkyl;

15 R⁹ is C₁-C₁₈ alkyl; or phenyl optionally substituted with R⁷;

20 R¹⁰, R²⁵ and R³³ are each independently 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkylthio, C₁-C₄ alkoxy and trifluoromethoxy;

25 R¹¹ and R³⁶ are independently C₁-C₆ alkyl; or phenyl optionally substituted with R¹²;

30 R¹⁵ is H; C₁-C₈ alkyl optionally substituted with C₁-C₂ alkoxy; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; phenyl optionally substituted with R¹³; benzyl optionally substituted with R¹³ on the phenyl ring and with R²⁰ on the

benzylic carbon; or pyridyl optionally substituted with R¹³;

5 R¹⁶ is H; C₁-C₁₇ alkyl optionally substituted with R³¹; C₂-C₁₇ alkenyl optionally substituted with R³²; C₂-C₇ alkynyl; C₃-C₈ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₄-C₈ cycloalkylalkyl; phenyl optionally substituted with R³³; naphthalenyl, furanyl, thieryl, benzoyl, or pyridyl each optionally substituted with R³⁴; or C₂-C₅ alkoxy carbonyl;

10 R¹⁷ and R¹⁸ are independently C₁-C₁₈ alkyl optionally substituted with R²³; C₂-C₁₀ alkenyl optionally substituted with R³²; C₃-C₈ alkynyl; C₃-C₁₂ cycloalkyl; C₅-C₆ cycloalkenyl; C₆-C₇ alkylcycloalkyl; C₆-C₇ cycloalkylalkyl; or phenyl, naphthalenyl, or thieryl each optionally substituted with R³⁴;

15 R¹⁹ is H; C₁-C₁₀ alkyl; C₅-C₆ cycloalkyl; or phenyl optionally substituted with R³⁴; or

20 R¹⁹ and R²⁰ can be taken together to form a structure selected from the group consisting of -CH₂(CH₂)₂CH₂-, -CH₂(CH₂)₃CH₂-, -CH₂(CH₂)₄CH₂-, -CH₂CH₂OCH₂CH₂-, -CH₂CH(Me)CH₂CH(Me)CH₂-, and -CH₂CH(Me)OCH(Me)CH₂-;

25 R²¹ is C₁-C₈ alkyl optionally substituted with R⁵¹; C₂-C₈ alkenyl or C₂-C₈ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen;

30 C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)SR⁵³; C(=NR⁵⁵)OR⁵³; C(=S)SR⁵³; C(=O)NR⁵³R⁵⁶; or C(=NR⁵⁵)NR⁵³R⁵⁶;

V is O; NR⁵⁵; or a direct bond;

35 R²² is cyano; nitro; C₁-C₁₉ alkylthio; C₁-C₁₉ alkylsulfinyl; C₁-C₁₉ haloalkoxy; C₅-C₆ cycloalkyl-oxy; C₃-C₁₉ alkenyloxy; C₃-C₁₉ alkynyloxy;

C_1-C_{19} alkylsulfonyl; C_2-C_{19} alkoxy carbonyl; hydroxyl; hydroxycarbonyl; $R^{28}C(=O)O$; $R^{28}OC(=O)O$; $R^{28}R^{40}NC(=O)O$; $R^{39}R^{40}N$; (C_1-C_4 alkoxy)₂P(=E)O; $R^{11}SO_3$; $R^{40}R^{14}R^{38}N^+$; phenyl, phenylthio, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R^{30} ; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R^7 ; tetrahydropyranyl; C_3-C_6 cycloalkyl; 2-tetrahydropyranyloxy; or $C(=Q)R^{40}$;

5 E is O or S;
 Q is O or N-T-W;
 T is O; NR³⁷; or a direct bond;

10 W is H; C_1-C_8 alkyl, C_3-C_8 alkenyl; phenylmethyl optionally substituted with R^7 on the phenyl ring and R¹⁴ on the benzylic carbon; phenyl or pyridyl each optionally substituted with R^7 ; C(=O)R²⁸; C(=O)OR²⁸; or C(=O)NR²⁸R¹⁴;

15 R²³ is 1-3 halogen; C_1-C_{12} alkoxy; C_1-C_{12} alkylthio; phenyl or naphthalenyl each optionally substituted with R³⁴; or phenoxy methyl optionally substituted with R³⁴ on the phenyl ring;

20 R²⁷ is 1-2 halogen; nitro; cyano; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_4 alkylsulfonyl; C_2-C_6 alkoxyalkyl; C_1-C_4 alkylthio; C_5-C_6 cycloalkyl; C_5-C_6 cycloalkyloxy; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl;

25 R²⁷ is C_1-C_6 alkyl; or phenyl or pyridyl each optionally substituted with R³⁰;

30 R²⁸ is C_1-C_8 alkyl; or phenyl or pyridyl each optionally substituted with R³⁴;

35 R²⁹ is C_1-C_8 alkyl optionally substituted with R⁴⁴; C_3-C_6 alkenyl or C_3-C_6 alkynyl each optionally

substituted with R⁶⁹; C₃-C₆ cycloalkyl
optionally substituted with 1-3 halogen; phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄
alkyl)]OR⁵³; C(=O)NR⁵³R⁵⁶; N=CR⁶⁸R⁶⁷; or SO₂R⁵²;

5 R³⁰ is 1-2 substituents selected from the group
consisting of halogen, nitro, cyano, C₁-C₄
alkyl, trifluoromethyl, C₁-C₄ alkoxy and
trifluoromethoxy; or phenoxy optionally
substituted with R²⁶;

10 R³¹ is 1-3 halogen; C₁-C₁₈ alkoxy; allyloxy; C₁-C₁₈
alkylthio; phenyl, phenoxy, benzyloxy, or
phenylthio each optionally substituted with R³⁴
on the phenyl ring; acetyl; or C₂-C₅ alkoxy-
15 carbonyl;

R³² is 1-3 halogen; or C₁-C₄ alkoxy;

20 R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkyl-
sulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-
oxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy;
C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyloxy; C₁-C₁₇
alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl;
hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O;
R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O;

25 R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenyl-
thio, phenylsulfonyl, phenylsulfinyl, pyridyl
or pyridyloxy each optionally substituted with
R³⁰; thienyl, pyrimidinyl, furanyl,
naphthalenyl, pyrimidinyloxy, naphthalenyloxy
each optionally substituted with R⁷; tetra-
30 hydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇
alkoxy; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl;
C₃-C₆ cycloalkyl; or C₂-C₁₇ haloalkoxyalkoxy;

R³⁷ is H; C₁-C₆ alkyl; or phenyl optionally
substituted with R⁷;

R³⁹ is C₁-C₁₉ alkyl; C₂-C₁₉ alkylcarbonyl; C₂-C₁₉ alkoxy carbonyl; (R⁹R⁴⁰N)C=O; phenyl optionally substituted with R²⁵; or phenoxy carbonyl optionally substituted with R⁷;

5 R⁴¹ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkyl-sulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-oxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ alkynylloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl,

10 15 furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydro-pyranyloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; or C₃-C₆ cycloalkyl;

20 R⁴² is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkyl-sulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cyclo-alkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynylloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl,

25 30 pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranyloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; or C₃-C₆ cycloalkyl;

35

R⁴⁴ is 1-3 halogen; cyano; nitro; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfonyl; phenyl or phenoxy each optionally substituted with R⁵⁷ and R⁵⁹; NR⁴⁹R⁵⁰; or R⁶²;

5 R⁴⁵ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; NR⁵⁴R⁵⁵; or SR⁵⁴;

R⁴⁶ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; NR⁵⁶R⁶⁴; OR⁶⁵; or SR⁶⁵;

10 R⁴⁷ is C₁-C₈ alkyl optionally substituted with R⁴⁴; C₃-C₆ alkenyl or C₃-C₆ alkynyl each optionally substituted with R⁶⁹; C₃-C₆ cycloalkyl optionally substituted with 1-3 halogen; phenyl optionally substituted with R⁵⁷ and R⁵⁹;

15 C(=O)R⁵²; C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C[=N(C₁-C₄ alkyl)]OR⁵³; or C(=O)NR⁵³R⁵⁶;

R⁴⁸ is C₁-C₆ alkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸; or phenylmethyl optionally substituted with R⁵⁸ on the phenyl ring;

20 R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclopropyl;

25 R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring; or

30 R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-; -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;

R⁵¹ is 1-3 halogen; C₁-C₆ alkoxy; C₂-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₃-C₆ alkenyloxy; C₃-C₆ alkynyl-oxo; C₁-C₆ alkylsulfonyl; C₁-C₆ haloalkyl-

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sulfonyl; phenylsulfonyl optionally substituted with R⁵⁷; phenyl or phenoxy each optionally substituted with R⁵⁸ and R⁵⁹; OH; SH; nitro; cyano; O=C=N; S=C=N; NR⁴⁹R⁵⁰; or R⁶²;

5 R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

10 R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring;

15 R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄ alkyl;

15 R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or cyano;

20 R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl; ethyl; methylthio; cyano; or methoxycarbonyl;

20 R⁵⁹ is halogen or C₁-C₄ alkyl;

25 R⁶⁰ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁷; or C(=O)R⁶¹;

25 R⁶¹ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

30 R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²; SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²; N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶; OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;

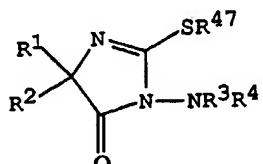
35 R⁶³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸

- and R⁵⁹ on the phenyl ring; C(=O)R⁵²;
C(=NR⁵⁵)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶; OR⁵³; or
SO₂R⁵²;
- 5 R⁶⁴ is C₁-C₄ alkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
- R⁶⁵ and R⁶⁶ are each independently C₁-C₄ alkyl;
C₃-C₄ haloalkyl; C₃-C₆ alkenyl; or phenyl
optionally substituted with R⁵⁷ and R⁵⁹;
- 10 R⁶⁷ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
alkenyl; C₂-C₆ haloalkenyl; phenyl optionally
substituted with R⁵⁷; OR⁶⁶; SR⁶⁶; or NR⁵⁴R⁶⁶;
- R⁶⁸ is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; or C₂-C₄
alkenyl; and
- R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴;
- 15 provided that the total number of carbons in R², R¹⁶,
R¹⁷ and R¹⁸ is each less than or equal to 20;
at least one additional fungicidal compound; and at
least one of (a) a surfactant, (b) an organic solvent,
and (c) at least one solid or liquid diluent.
- 20 15. The method of Claim 14 wherein the additional
fungicidal compound is selected from the group
consisting of maneb, cymoxanil, fenpropidine,
fenpropimorph, phosethyl-Al, metalaxyl, oxadixyl,
tebuconazole, difenoconazole, diniconazole,
25 fluquinoxonazole, ipconazole, metconazole, penconazole,
propiconazole, uniconazole, copper oxychloride,
furalaxyl, folpet, flusilazol, probenazole,
tricyclazole, and flutriafol.
16. The method of Claim 15 wherein the compound of
30 Formula I is 3,5-dihydro-2-methoxy-5-methyl-5-phenyl-3-
(phenylamino)-4H-imidazol-4-one; or 3,5-dihydro-5-
methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-
imidazol-4-one.
- 35 17. The method of Claim 16 wherein the compound of
Formula I is 3,5-dihydro-5-methyl-2-(methylthio)-5-

phenyl-3-(phenylamino)-4H-imidazol-4-one and the additional fungicidal compound is cymoxanil.

18. A process for the preparation of imidazolinones of Formula Ia:

5



Ia

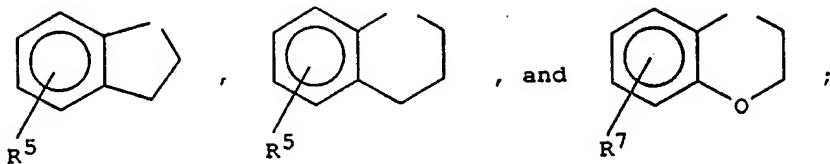
wherein

R¹ is C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₃-C₆ cycloalkyl; C₂-C₄ alkenyl; C₂-C₄ alkoxy-carbonyl; or phenylmethyl optionally substituted with R⁶ on the phenyl ring and with R⁸ on the benzylic carbon;

10 R² is C₁-C₂₀ alkyl optionally substituted with R²²; C₂-C₂₀ alkoxyalkyl optionally substituted with R³⁵; C₂-C₂₀ alkenyl optionally substituted with R⁴²; C₂-C₂₀ alkynyl optionally substituted with R⁴¹; (CH₂CH₂OCH₂CH₂)CH-; (CH₂CH₂SCH₂CH₂)CH-; (CH₂CH₂SO₂CH₂CH₂)CH-; C₅-C₇ cycloalkyl; C₅-C₇ cycloalkenyl; phenyl optionally substituted

15 with R⁵ and R⁷; 2-naphthalenyl; thiienyl optionally substituted with R⁵ and R⁷; furyl optionally substituted with R⁷; or pyridyl optionally substituted with R⁵ and R⁷; or
20 R¹ and R² can be taken together to form a structure selected from the group consisting of

25 -CH₂(CH₂)₂CH₂-; -CH₂(CH₂)₃CH₂-; -CH₂(CH₂)₄CH₂-; -CH₂CH₂OCH₂CH₂-; -CH₂CH₂SCH₂CH₂-;



- , and ;
- 5 R^3 is phenyl, pyridyl, or pyrimidinyl each
optionally substituted with R^{10} ; or phenyl-
methyl;
- 10 R^4 is H or methyl; and
 R^{47} is C_1-C_8 alkyl optionally substituted with R^{44} ;
 C_3-C_6 alkenyl or C_3-C_6 alkynyl each optionally
substituted with R^{69} ; C_3-C_6 cycloalkyl
optionally substituted with 1-3 halogen; phenyl
optionally substituted with R^{57} and R^{59} ;
 $C(=O)R^{52}$; $C(=NR^{55})R^{52}$; $C(=O)OR^{53}$; $C[=N(C_1-C_4$
alkyl)]OR⁵³; or $C(=O)NR^{53}R^{56}$;
- 15 R^5 is halogen; nitro; cyano; C_1-C_6 alkyl; C_5-C_6
cycloalkyl; C_1-C_6 haloalkyl; C_1-C_6 alkylthio;
 C_1-C_6 haloalkylthio; C_1-C_6 alkoxy; C_1-C_6
haloalkoxy; C_5-C_6 cycloalkyloxy; C_2-C_6 alkoxy-
alkyl; C_2-C_6 alkoxyalkoxy; C_3-C_6 alkenyl; C_3-C_6
haloalkenyl; C_3-C_6 alkenyloxy; C_3-C_6 alkynyl;
 C_3-C_6 haloalkynyl; C_3-C_6 alkynyloxy; C_1-C_6
alkylsulfonyl; C_1-C_6 haloalkylsulfonyl; phenyl
or phenylthio each optionally substituted with
 R^{24} ; phenylmethyl, phenoxyethyl, phenethyl, or
styryl each optionally substituted with R^{24} on
the phenyl ring; phenoxy optionally substituted with
 R^{27} ; benzyloxy optionally substituted with
 R^{30} on the phenyl ring; $-OC(=O)NHR^{28}$;
 $-C(=O)OR^{28}$; or $-OC(=O)R^{28}$;
- 20 R^6 , R^7 , R^{12} , R^{24} , and R^{26} are independently 1-2
halogen; nitro; C_1-C_4 alkyl; trifluoromethyl;
methylthio; or C_1-C_4 alkoxy;
- 25 R^6 , R^7 , R^{12} , R^{24} , and R^{26} are independently 1-2
halogen; nitro; C_1-C_4 alkyl; trifluoromethyl;
methylthio; or C_1-C_4 alkoxy;
- 30 R^6 , R^7 , R^{12} , R^{24} , and R^{26} are independently 1-2
halogen; nitro; C_1-C_4 alkyl; trifluoromethyl;
methylthio; or C_1-C_4 alkoxy;

R⁸, R¹⁴, R³⁸ and R⁴⁰ are independently H or C₁-C₄ alkyl;

5 R¹⁰, and R²⁵ are each independently 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkylthio, C₁-C₄ alkoxy and trifluoromethoxy;

10 R¹¹ is independently C₁-C₆ alkyl; or phenyl optionally substituted with R¹²;

15 R²² is cyano; nitro; C₁-C₁₉ alkylthio; C₁-C₁₉ alkylsulfinyl; C₁-C₁₉ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₉ alkenyloxy; C₃-C₁₉ alkynyloxy; C₁-C₁₉ alkylsulfonyl; C₂-C₁₉ alkoxycarbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O;

20 R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R³⁹R⁴⁰N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenylthio, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; C₃-C₆ cycloalkyl; 2-tetrahydropyranyloxy; or C(=Q)R⁴⁰;

25 R²⁷ is 1-2 halogen; nitro; cyano; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₄ alkylsulfonyl; C₂-C₆ alkoxyalkyl; C₁-C₄ alkylthio; C₅-C₆ cycloalkyl; C₅-C₆ cycloalkyloxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; hydroxycarbonyl; C₂-C₄ alkoxycarbonyl; or phenoxy optionally substituted with R²⁴;

30 R²⁸ is C₁-C₈ alkyl; or phenyl or pyridyl each optionally substituted with R³⁰;

35 R³⁰ is 1-2 substituents selected from the group consisting of halogen, nitro, cyano, C₁-C₄ alkyl, trifluoromethyl, C₁-C₄ alkoxy and

trifluoromethoxy; or phenoxy optionally substituted with R²⁶;

5 R³⁵ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₂-C₁₇ haloalkenyl; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O;

10 R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; C₂-C₁₇ alkoxy alkoxy; C₃-C₁₇ alkynyl; C₃-C₆ cycloalkyl; or C₂-C₁₇ haloalkoxy alkoxy;

15 R³⁹ is C₁-C₁₉ alkyl; C₂-C₁₉ alkyl carbonyl; C₂-C₁₉ alkoxy carbonyl; (R⁹R⁴⁰N)C=O; phenyl optionally substituted with R²⁵; or phenoxy carbonyl optionally substituted with R⁷;

20 R⁴¹ is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyloxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenyloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydro-

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pyranyloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; or C₃-C₆ cycloalkyl;

R⁴² is cyano; nitro; C₁-C₁₇ alkylthio; C₁-C₁₇ alkylsulfinyl; C₁-C₁₇ haloalkoxy; C₅-C₆ cycloalkyl-oxy; C₃-C₁₇ alkenyloxy; C₃-C₁₇ haloalkynyl; C₃-C₁₇ alkynyloxy; C₁-C₁₇ alkylsulfonyl; C₂-C₁₇ alkoxy carbonyl; hydroxyl; hydroxycarbonyl; R²⁸C(=O)O; R²⁸OC(=O)O; R²⁸R⁴⁰NC(=O)O; R⁴⁰R³⁹N; (C₁-C₄ alkoxy)₂P(=E)O; R¹¹SO₃; R⁴⁰R¹⁴R³⁸N⁺; phenyl, phenoxy, phenylthio, phenylsulfonyl, phenylsulfinyl, pyridyl or pyridyloxy each optionally substituted with R³⁰; thienyl, pyrimidinyl, furanyl, naphthalenyl, pyrimidinyloxy, naphthalenylloxy each optionally substituted with R⁷; tetrahydropyranyl; 2-tetrahydropyranloxy; C₁-C₁₇ alkoxy; 1-3 halogen; C₂-C₁₇ alkoxyalkoxy; C₃-C₁₇ alkynyl; or C₃-C₆ cycloalkyl;

R⁴⁴ is 1-3 halogen; cyano; nitro; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkoxyalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfonyl; phenyl or phenoxy each optionally substituted with R⁵⁷ and R⁵⁹; NR⁴⁹R⁵⁰; or R⁶²;

R⁴⁹ is H; C₁-C₄ alkyl; C₃-C₄ alkenyl; or cyclo-propyl;

R⁵⁰ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; C₃-C₆ haloalkenyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring; or

R⁴⁹ and R⁵⁰ can be taken together to form -(CH₂)₄-; -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-;

R⁵² is H; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₂-C₆ haloalkenyl; or phenyl optionally substituted with R⁵⁷;

R⁵³ is H; C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ haloalkenyl; C₃-C₆ alkynyl; C₂-C₆ alkoxyalkyl; phenyl optionally substituted with R⁵⁸ and R⁵⁹; or phenylmethyl optionally substituted with R⁵⁸ and R⁵⁹ on the phenyl ring;

5 R⁵⁴, R⁵⁵ and R⁵⁶ are each independently H or C₁-C₄ alkyl;

R⁵⁷ is 1-2 halogen; nitro; CF₃; methoxy; methyl; or cyano;

10 R⁵⁸ is halogen; nitro; CF₃; OCF₃; methoxy; methyl; ethyl; methylthio; cyano; or methoxycarbonyl;

R⁵⁹ is halogen or C₁-C₄ alkyl;

R⁶² is C(=N-V-R⁵³)R⁵²; C(=O)OR⁵³; C(=O)NR⁵³R⁵⁶;

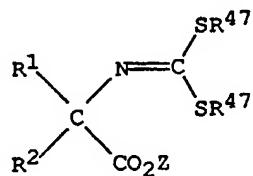
15 C(=NR⁵⁵)OR⁵³; C(=NR⁵⁵)NR⁵³R⁵⁶; OC(=O)R⁵²; SC(=O)R⁵²; N(R⁵⁶)C(=O)R⁵²; OC(=NR⁵⁵)R⁵²;

N(R⁵⁶)C(=NR⁵⁵)R⁵²; OC(=O)OR⁵³; OC(=O)NR⁵³R⁵⁶;

OC(=S)SR⁵³; SC(=O)OR⁵³; N(R⁵⁶)C(=O)OR⁵³; or N(R⁵⁶)C(=NR⁵⁵)NR⁵³R⁵⁴;

R⁶⁹ is 1-3 halogen; cyano; nitro; or C(=O)OR⁵⁴;

20 comprising reacting of an alpha-bis(thio)methyleneamino acid ester of Formula 7



7

25 wherein

R¹, R² and R⁴⁷ are as defined above for Formula Ia;
and

Z is C₁-C₄ alkyl, C₃-C₄ alkenyl, C₃-C₆ cycloalkyl,
or C₆H₅CH₂;

with a hydrazine of Formula 3



3

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wherein R³ and R⁴ are as defined above for Formula Ia;
to yield a compound of Formula Ia.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 93/04396

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all)⁶

According to International Patent Classification (IPC) or to both National Classification and IPC

Int.C1. 5 C07D233/86;	C07D233/72;	C07D233/70;	C07D235/02
C07D409/04;	C07D495/10;	C07D491/107;	C07D405/04

II. FIELDS SEARCHED

Minimum Documentation Searched⁷

Classification System	Classification Symbols
Int.C1. 5	C07D ; A01N

Documentation Searched other than Minimum Documentation
to the Extent that such Documents are Included in the Fields Searched⁸

III. DOCUMENTS CONSIDERED TO BE RELEVANT⁹

Category ¹⁰	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³
A	WO,A,9 012 791 (DU PONT) 1 November 1990 cited in the application see claims 1,11 -----	1,7
A	WO,A,8 807 040 (DU PONT) 22 September 1988 see claims 1,15 -----	1,7

* Special categories of cited documents :¹⁰

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"Z" document member of the same patent family

IV. CERTIFICATION

Date of the Actual Completion of the International Search

25 AUGUST 1993

Date of Mailing of this International Search Report

- 3. 09. 93

International Searching Authority

EUROPEAN PATENT OFFICE

Signature of Authorized Officer

ALFARO FAUS I.

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 93/04396

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) *

According to International Patent Classification (IPC) or to both National Classification and IPC

IPC : C07D401/04; A01N43/50; A01N43/52

II. FIELDS SEARCHED

Minimum Documentation Searched †

Classification System	Classification Symbols
IPC ⁵	

Documentation Searched other than Minimum Documentation
to the Extent that such Documents are Included in the Fields Searched ‡

III. DOCUMENTS CONSIDERED TO BE RELEVANT*

Category *	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³

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- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed
- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

IV. CERTIFICATION

Date of the Actual Completion of the International Search

Date of Mailing of this International Search Report

International Searching Authority

Signature of Authorized Officer

EUROPEAN PATENT OFFICE

**ANNEX TO THE INTERNATIONAL SEARCH REPORT
ON INTERNATIONAL PATENT APPLICATION NO.**

US 9304396
SA 74276

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report.
The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information. 25/08/93

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